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Priority App. Filing Date 12/12/2003

Case/App. #	10/581947
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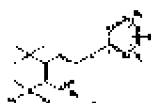
Mailbox # 5024 Phone

SCORE	PAPER
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Identify the novelty

Please search the genus of *clim* : as currently amended

20. <http://www.fishbase.org/Abstract.asp?ID=2541>



2419

[illegible]

8. Ученые в разных странах "открыли" алмаз

C. and  $\hat{A}_0$  was used to solve the problem. The results are shown in Table 1.

[illegible]

**PLANT.**

[[ 1998年, 中国首次对 10 个省市进行了 10 年来的首次全面调查。]]

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10/581947

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DICTIONARY FILE UPDATES: 29 APR 2010 HIGHEST RN 1220951-91-6

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FILE COVERS 1907 - 30 Apr 2010 VOL 152 ISS 19  
FILE LAST UPDATED: 29 Apr 2010 (20100429/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC)  
reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate  
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'OBI' IS DEFAULT SEARCH FIELD FOR 'ZCAPLUS' FILE

=> d stat que L37

L34	72	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	LEBLOND B?/AU,AUTH
L35	0	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	LE BLOND B?/AU,AUTH
L36	27	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	BEAUSOLEIL E?/AU,AUTH
L37	10	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	(L34 OR L35) AND L36

10/581947

=> file medline embase biosis wpix japio compendex  
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=> d stat que L39

L34	72	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	LEBLOND B?/AU,AUTH
L35	0	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	LE BLOND B?/AU,AUTH
L36	27	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	BEAUSOLEIL E?/AU,AUTH
L37	10	SEA	FILE=ZCAPLUS	SPE=ON	ABB=ON	PLU=ON	(L34 OR L35) AND L36
L39	17	SEA	L37				

=> dup rem L37 L39

FILE 'ZCAPLUS' ENTERED AT 13:53:31 ON 30 APR 2010  
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PROCESSING COMPLETED FOR L37  
PROCESSING COMPLETED FOR L39  
L40 16 DUP REM L37 L39 (11 DUPLICATES REMOVED)  
ANSWERS '1-10' FROM FILE ZCAPLUS  
ANSWER '11' FROM FILE BIOSIS  
ANSWERS '12-16' FROM FILE WPIX

=> d ibib abs L40 1-10; d iall L40 11-16

L40 ANSWER 1 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2009:975172 ZCAPLUS Full-text  
DOCUMENT NUMBER: 151:245486  
TITLE: Preparation of  
3-(4-fluorophenyl)-3-hydroxy-2-aminopropionic acid  
amides and related compounds having analgesic activity

10/581947

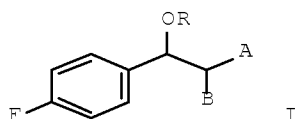
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.; Yang, Rong; Chauvignac, Cedric  
 PATENT ASSIGNEE(S): Allergan, Inc., USA  
 SOURCE: PCT Int. Appl., 76pp.; Chemical Indexing Equivalent to 151:528606 (US)  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009100095	A1	20090813	WO 2009-US33014	20090204
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090281085	A1	20091112	US 2009-364930	20090203
PRIORITY APPLN. INFO.:			US 2008-26178P	P 20080205
			US 2009-364930	A 20090203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:245486

GI



AB The invention is concerned about compds. according to formula I (A = amide group; B = amine group, N-amide group, sulfonamide group; R = H, C1-6 alkyl, acyl), their preparation, and their use in treatment of pain. Thus Me 2-isocyanoacetate and pyrrolidine were reacted to give 2-isocyano-1-(pyrrolidin-1-yl)ethanone which was reacted with 4-fluorobenzaldehyde to provide (±)-[trans-5-(4-fluorophenyl)-4,5- dihydrooxazol-4-yl](pyrrolidin-1-yl)methanone (II); treating compound II with concentrated HCl in MeOH gave (±)-threo-2-amino-3-(4-fluorophenyl)-3- hydroxy-1-(pyrrolidin-1-yl)propan-1-one hydrochloride, which is a compound of this invention.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/581947

ACCESSION NUMBER: 2009:54139 ZCAPLUS Full-text  
DOCUMENT NUMBER: 150:144312  
TITLE: Isoquinoline derivatives as Rac GTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer  
INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Chauvignac, Cedric; Taverne, Thierry; Picard, Virginie; De Oliveira, Catherine; Schweighoffer, Fabien  
PATENT ASSIGNEE(S): Exonhit Therapeutics S. A., Fr.  
SOURCE: PCT Int. Appl., 124pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009007457	A2	20090115	WO 2008-EP59134	20080711
WO 2009007457	A3	20090326		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 2014651 A1 20090114 EP 2007-301230 20070712 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS AU 2008274201 A1 20090115 AU 2008-274201 20080711 CA 2692485 A1 20090115 CA 2008-2692485 20080711 PRIORITY APPLN. INFO.: EP 2007-301230 A 20070712 WO 2008-EP59134 W 20080711 OTHER SOURCE(S): CASREACT 150:144312; MARPAT 150:144312 GI				

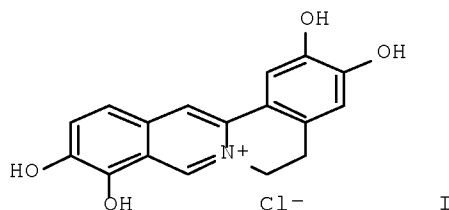
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of formulas I and II, to methods and compns. that affect the GTP-binding activity of members of the Rho family GTPases, preferably Rac GTPases (Rac1, Rac1b, Rac2 and/or Rac3). Compds. of formulas I and II wherein J is C and N; R1-R4 are independently H, halo, C1-6 alkyl, OH, C1-6 alkoxy, C2-6 alkenyl, C2-6 alkynyl, NO2, NH2, etc.; R4 is absent when J is N; R4 is present when J is C; R9-R11 are independently H, OH and C1-6 alkoxy; R2R3 and/or R3R4 may be fused together to form naphthalene and -O(CH2)1-6O- linked to the adjacent cycle; R9R10 and/or R10R11 may be fused together to -O(CH2)1-6O- linked to the adjacent cycle; R12 is H, C1-6 alkyl, C2-6 alkenyl and C2-6 alkynyl; A is N, N+, NH, N+H, N-C1-6 alkyl, N+-C1-6 alkyl and N-arylalkyl; A is preferably N-benzyl and N+-benzyl; B is absent, CH, CH2, C(-Me), C(-benzyl) and C(-phenyl); D is absent, CH and CH2; E is C,

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CH and CH<sub>2</sub>; F and G are independently absent, CH and CH<sub>2</sub>; with the proviso that at least one of B and D is present; both B and D are present when G and F are absent; when B or D is absent, then G and F are present; R<sub>13</sub>-R<sub>14</sub>, R<sub>5</sub> and R<sub>16</sub> are independently H, OH and C<sub>1</sub>-6 alkoxy; R<sub>13</sub>R<sub>14</sub> and/or R<sub>16</sub>R<sub>5</sub> may be fused together to form -O(CH<sub>2</sub>)<sub>1-6</sub>- linked to the adjacent cycle; R<sub>15</sub> and R<sub>6</sub>-R<sub>8</sub> are independently H, C<sub>1</sub>-6 alkyl, C<sub>2</sub>-6 alkylene and C<sub>2</sub>-6 alkynyl; H is N, N<sup>+</sup>, N<sup>+</sup>-C<sub>1</sub>-6 alkyl and N<sup>+</sup>-benzyl; and their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts. thereof, are claimed. Example compound III was prepared by demethylation of berberine chloride. All the invention compds. were evaluated for their Rac GTPases inhibitory activity. From the assay, it was determined that III exhibited the inhibition of 100 % against all of the Rac1, Rac1b and Cdc42;.

L40 ANSWER 3 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3  
ACCESSION NUMBER: 2009:1127806 ZCAPLUS Full-text  
DOCUMENT NUMBER: 151:528956  
TITLE: Structure-activity relationship of isoform selective inhibitors of Rac1/1b GTPase nucleotide binding  
AUTHOR(S): Beausoleil, Eric; Chauvignac, Cedric; Taverne, Thierry; Lacombe, Sandrine; Pognante, Laure; Leblond, Bertrand; Pallares, Diego; De Oliveira, Catherine; Bachelot, Florence; Carton, Rachel; Peillon, Helene; Coutadeur, Severine; Picard, Virginie; Lambeng, Nathalie; Desire, Laurent; Schweighoffer, Fabien  
CORPORATE SOURCE: Exonhit Therapeutics, Paris, F-75013, Fr.  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(19), 5594-5598  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier B.V.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 151:528956  
GI



AB The synthesis of a series of berberine, phenanthridine and isoquinoline derivs. was realized to explore their Rho GTPase nucleotide inhibitory activity. The compds. were evaluated in a nucleotide binding competition assay against Rac1, Rac1b, Cdc42 and in a cellular Rac GTPase activation assay. The insertion of 19 AA in the splice variant Rac1b is shown to be sufficient to introduce a conformational difference that allows compds. such as I to exhibit selective inhibition of Rac1b over Rac1.  
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 4 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4

10/581947

ACCESSION NUMBER: 2007:80940 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 146:184375  
 TITLE: Preparation of substituted quinolines for treatment of amyloid- $\beta$ -peptide related disorders  
 INVENTOR(S): ~~Leblond, Bertrand~~; Beausoleil, Eric; Taverne, Thierry; Desire, Laurent; Schweighoffer, Fabien  
 PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.  
 SOURCE: Eur. Pat. Appl., 38pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1746092	A1	20070124	EP 2005-291576	20050722
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20070027146	A1	20070201	US 2005-190070	20050727
CA 2615897	A1	20070329	CA 2006-2615897	20060721
WO 2007034329	A2	20070329	WO 2006-IB3242	20060721
WO 2007034329	A3	20070830		
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EP 1910334	A2	20080416	EP 2006-831578	20060721
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CA 2616237	A1	20070322	CA 2006-2616237	20060726
WO 2007031878	A2	20070322	WO 2006-IB3503	20060726
WO 2007031878	A3	20070907		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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EP 1951247	A2	20080806	EP 2006-831657	20060726
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US 20090093471	A1	20090409	US 2008-989396	20080125
US 20090118282	A1	20090507	US 2008-989024	20080619
PRIORITY APPLN. INFO.:			EP 2005-291576	A 20050722

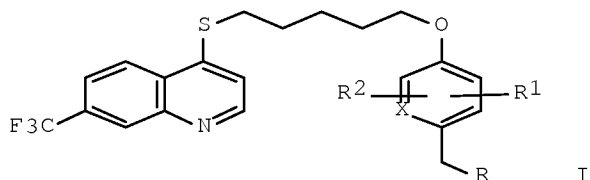
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US 2005-190070 A 20050727  
 WO 2006-IB3242 W 20060721  
 WO 2006-IB3503 W 20060726

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:184375; MARPAT 146:184375

GI



AB The title compds. I [X = CH or N; R1, R2 = H, halo, alkyl, etc.; R = H, OH, piperidino, morpholino, etc.], useful for the treatment of Alzheimer's disease and other similar diseases, were prepared E.g., a multi-step synthesis of I.3HCl [X = CH; R1, R2 = H; R = piperazino], starting from 7-trifluoromethyl-4-quinolinethiol and 1,5-dibromopentane, was given. More specifically the inventive compds. I modulate (in particular, inhibit) the level of amyloid- $\beta$  peptide (A $\beta$ ) exhibited by cells or tissues (A $\beta$  peptide is a major component of the amyloid plaques found in the brains of Alzheimer's sufferers). Exemplified compds. I were tested for inhibition of A $\beta$  40 production in HEK-293 cells overexpressing swAPP751 (data given for representative compds. I). This invention also relates to the use of these inhibitors to prevent, treat or ameliorate the symptoms of Alzheimer's disease or any Amyloid- $\beta$ -Peptide Related Disorder. Pharmaceutical composition comprising the compound I is also disclosed.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 5 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2006:768956 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:188739

TITLE: Preparation of 3-heterocyclyl-3-hydroxy-2-aminopropionic acid amides and related compounds having analgesic and/or immunostimulant activity

INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

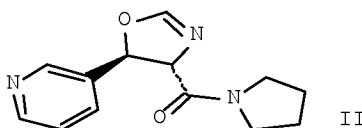
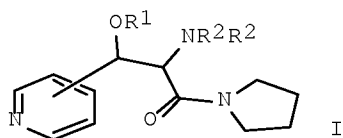
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081280	A1	20060803	WO 2006-US2580	20060125
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SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
VN, YU, ZA, ZM, ZW  
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GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM  
AU 2006209207 A1 20060803 AU 2006-209207 20060125  
CA 2595544 A1 20060803 CA 2006-2595544 20060125  
EP 1841756 A1 20071010 EP 2006-719438 20060125  
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
JP 2008528602 T 20080731 JP 2007-553193 20060125  
BR 2006007304 A2 20090825 BR 2006-7304 20060125  
ZA 2007006010 A 20090429 ZA 2007-6010 20070720  
US 20090318499 A1 20091224 US 2009-814593 20090910  
PRIORITY APPLN. INFO.: US 2005-647271P P 20050126  
WO 2006-US2580 W 20060125  
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 145:188739; MARPAT 145:188739  
GI



AB Amides I [R<sup>1</sup> = H, alkyl, CO-alkyl; each R<sup>2</sup> = independently H, carbonylalkylamino, etc.; or NR<sup>2</sup>R<sup>2</sup> = phthalimido; and their pharmaceutically acceptable salts], especially their threo derivs., and their related derivs., having analgesic and/or immunostimulant activity in mammals, were prepared Thus, reacting Me isocyanoacetate withpyrrolidine, followed by cyclization with pyridine-3-carboxaldehyde gave amide II. Selected I showed analgesic activity in the rat Chung model. OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 6 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2006:768543 ZCAPLUS Full-text

DOCUMENT NUMBER: 145:210740

TITLE: Preparation of  $\alpha$ -(1,2-diaminoethyl)benzyl alcohols and related compounds as analgesic agents

INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne, Thierry; Donello, John E.; Schweighoffer, Fabien

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

10/581947

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 4

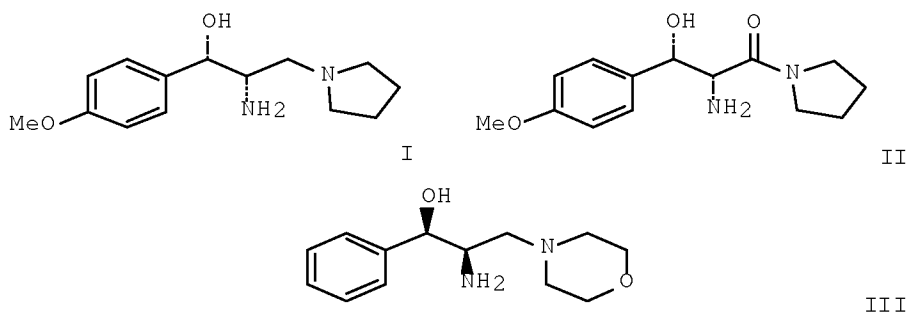
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081252	A2	20060803	WO 2006-US2505	20060125
WO 2006081252	A3	20061228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006209197	A1	20060803	AU 2006-209197	20060125
CA 2595519	A1	20060803	CA 2006-2595519	20060125
EP 1841423	A2	20071010	EP 2006-719390	20060125
EP 1841423	B1	20100310		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008528596	T	20080731	JP 2007-553179	20060125
BR 2006007379	A2	20090901	BR 2006-7379	20060125
AT 460159	T	20100315	AT 2006-719390	20060125
ZA 2007006010	A	20090429	ZA 2007-6010	20070720
US 20090088433	A1	20090402	US 2008-814604	20080402
PRIORITY APPLN. INFO.:			US 2005-647271P	P 20050126
			WO 2006-US2505	W 20060125

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:210740

GI



AB Title compds. I, II, III, etc. and their pharmaceutically acceptable salts were prepared For example, LAH reduction of the hydrochloride salt of amide II afforded title compound I in 46% yield. In Chung model pain reversal assays, 12-examples of title compds. exhibited analgesic activity. OS.CITING REF COUNT: 1

10/581947

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 7 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2005:516308 ZCAPLUS Full-text

DOCUMENT NUMBER: 143:43695

TITLE: Preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase (HDAC) inhibitors.

INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric

PATENT ASSIGNEE(S): Exonhit Therapeutics S.A., Fr.

SOURCE: Eur. Pat. Appl., 50 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

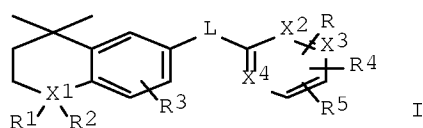
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1541549	A1	20050615	EP 2003-293143	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2005058803	A1	20050630	WO 2004-IB4334	20041210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1692097	A1	20060823	EP 2004-806498	20041210
EP 1692097	B1	20090902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
AT 441628	T	20090915	AT 2004-806498	20041210
PT 1692097	E	20091030	PT 2004-806498	20041210
ES 2330749	T3	20091215	ES 2004-806498	20041210
US 20070129368	A1	20070607	US 2006-581947	20060606
PRIORITY APPLN. INFO.:			EP 2003-293143	A 20031212
			WO 2004-IB4334	W 20041210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:43695; MARPAT 143:43695

GI



AB Title compds. [I; R = CONR7R8, COCONR8R9, COCONHMe, COCF3, etc.; R7 = OH, OR9, 2-aminophenyl; R8, R9 = H, alkyl; X1 = C, O, N, S; R1, R2 = null, H, alkyl, 1-2 O; X2, X3 = CH, O, N; X2X3 = S, O, N; X4 = N, CH; R3-R5 = H, OH, NH2, halo, alkyl, perfluoroalkyl, etc.; L = alkylene, alkenylene, alkynylene, (aromatic) cycloalkyl, O, CO, CONH, CF2CONH, SO2NH, NMeSO2, etc.], were prepared Thus, 4-[2,2-difluoro-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl)acetylaminobenzonic acid (preparation given) was stirred with SOCl2 and cat. DMF at 0° for 1 h. The residue in CH2Cl2 was added to a mixture prepared from hydroxylamine hydrochloride, H2O, and Et3N in THF at 0° followed by stirring at 0° for 10 min. and at room temperature for 17.75 h to give 33.4% 4-[2,2-difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido]-N-hydroxybenzamide (EHT 9299). The latter showed HDAC inhibitory activity with IC50 = 424 nM. OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 8 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2005:1191062 ZCAPLUS Full-text

DOCUMENT NUMBER: 144:68139

TITLE: RAC1 Inhibition Targets Amyloid Precursor Protein Processing by  $\gamma$ -Secretase and Decreases A $\beta$  Production in Vitro and in Vivo

AUTHOR(S): Desire, Laurent; Bourdin, Jerome; Loiseau, Nadia; Peillon, Helene; Picard, Virginie; De Oliveira, Catherine; Bachelot, Florence; Lebland, Bertrand; Taverne, Thierry; Seausoleil, Eric; Lacombe, Sandrine; Drouin, Dominique; Schweighoffer, Fabien

CORPORATE SOURCE: Exonhit Therapeutics, Paris, 75013, Fr.

SOURCE: Journal of Biological Chemistry (2005), 280(45), 37516-37525

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB  $\beta$ -Amyloid peptides (A $\beta$ ) that form the senile plaques of Alzheimer disease consist mainly of 40- and 42-amino acid (A $\beta$  40 and A $\beta$  42) peptides generated from the cleavage of the amyloid precursor protein (APP). Generation of A $\beta$  involves  $\beta$ -secretase and  $\gamma$ -secretase activities and is regulated by membrane trafficking of the proteins involved in A $\beta$  production Here we describe a new small mol., EHT 1864, which blocks the Rac1 signaling pathways. In vitro, EHT 1864 blocks A $\beta$  40 and A $\beta$  42 production but does not impact sAPP $\alpha$  levels and does not inhibit  $\beta$ -secretase. Rather, EHT 1864 modulates APP processing at the level of  $\gamma$ -secretase to prevent A $\beta$  40 and A $\beta$  42 generation. This effect does not result from a direct inhibition of the  $\gamma$ -secretase activity and is specific for APP cleavage, since EHT 1864 does not affect Notch cleavage. In vivo, EHT 1864 significantly reduces A $\beta$  40 and A $\beta$  42 levels in guinea pig brains at a threshold that is compatible with delaying plaque accumulation and/or clearing the existing plaque in brain. EHT 1864 is the first derivative of a new chemical series that consists of candidates for inhibiting A $\beta$  formation in the brain of AD patients. Our findings represent the first pharmacol. validation of Rac1 signaling as a target for developing novel therapies for Alzheimer disease. OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS

RECORD (35 CITINGS)

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS

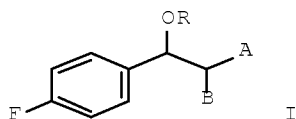
L40 ANSWER 9 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2009:1403056 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 151:528606  
 TITLE: Preparation of  
 3-(4-fluorophenyl)-3-hydroxy-2-aminopropionic acid  
 amides and related compounds having analgesic activity  
 INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Taverne,  
 Thierry; Donello, John E.; Yang, Rong; Chauvignac,  
 Cedric  
 PATENT ASSIGNEE(S): Allergan, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 37 pp., Chemical Indexing  
 Equivalent to 151:245486 (WO)  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090281085	A1	20091112	US 2009-364930	20090203
WO 2009100095	A1	20090813	WO 2009-US33014	20090204

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,  
 CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,  
 FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,  
 KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,  
 ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,  
 PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,  
 IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI,  
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-26178P P 20080205  
 US 2009-364930 A 20090203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 GI



AB Compds. according to the formula below are disclosed herein: Therapeutic methods, compns., and medicaments related thereto are also disclosed. The invention is concerned about compds. according to formula I (A = amide group; B = amine group, N-amide group, sulfonamide group; R = H, C1-6 alkyl, acyl), their preparation, and their use in treatment of pain. Thus Me 2-isocyanoacetate and pyrrolidine were reacted to give 2-isocyano-1-(pyrrolidin-1-yl)ethanone which was reacted with 4-fluorobenzaldehyde to provide (±)-[trans-5-(4-fluorophenyl)-4,5-dihydrooxazol-4-yl] (pyrrolidin-1-yl)methanone

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(II); treating compound II with concentrated HCl in MeOH gave (±)-threo-2-amino-3-(4-fluorophenyl)-3-hydroxy-1-(pyrrolidin-1-yl)propan-1-one hydrochloride, which is a compound of this invention.

L40 ANSWER 10 OF 16 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:45500 ZCAPLUS Full-text

DOCUMENT NUMBER: 150:121499

TITLE: Isoquinoline derivatives as Rac GTPases inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric; Chauvignac, Cedric; Taverne, Thierry; Picard, Virginie; De Oliveira, Catherine; Schweighoffer, Fabien

PATENT ASSIGNEE(S): Exonhit Therapeutics SA, Fr.

SOURCE: Eur. Pat. Appl., 46pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2014651	A1	20090114	EP 2007-301230	20070712
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
AU 2008274201	A1	20090115	AU 2008-274201	20080711
CA 2692485	A1	20090115	CA 2008-2692485	20080711
WO 2009007457	A2	20090115	WO 2008-EP59134	20080711
WO 2009007457	A3	20090326		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.:			EP 2007-301230	A 20070712
			WO 2008-EP59134	W 20080711

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of formulas I and II, to methods and compns. that affect the GTP-binding activity of members of the Rho family GTPases, preferably Rac GTPases (Rac1, Rac1b, Rac2 and/or Rac3). Compds. of formulas I and II wherein R1, R4 and R12 are independently H, C1-6 alkyl, C2-6 alkenyl and C2-6 alkynyl; R2-R3 and R9-R11 are independently H, OH and C1-6 alkoxy; R2R3, R9R10 and/or R10R11 may be fused together to form -O(CH2)1-6O- linked to the adjacent cycle; A is N, N+, N+-C1-6 alkyl and N+-arylalkyl; B is absent,

CH, CH<sub>2</sub>, C(-Me), CH(-Me), C(-benzyl) and C(-phenyl); D is absent, CH and CH<sub>2</sub>; with the proviso that at least one of B and D is present; E is C, CH and CH<sub>2</sub>; F and G are independently CH and CH<sub>2</sub>; R<sub>13</sub>-R<sub>14</sub>, R<sub>5</sub> and R<sub>16</sub> are independently H, OH and C<sub>1</sub>-6 alkoxy; R<sub>13</sub>R<sub>14</sub> and/or R<sub>16</sub>R<sub>5</sub> may be fused together to form - O(CH<sub>2</sub>)<sub>1-60</sub>- linked to the adjacent cycle; R<sub>15</sub> and R<sub>6</sub>-R<sub>8</sub> are independently H, C<sub>1</sub>-6 alkyl, C<sub>2</sub>-6 alkylene and C<sub>2</sub>-6 alkynyl; H is N, N<sup>+</sup>, N<sup>+</sup>-C<sub>1</sub>-6 alkyl and N<sup>+</sup>-benzyl; and their tautomers, optical and geometrical isomers, racemates, salts, hydrates and mixts. thereof, are claimed. Example compound III was prepared by demethylation of berberine chloride. All the invention compds. were evaluated for their Rac GTPases inhibitory activity. From the assay, it was determined that III exhibited the inhibition of 100 % against all of the Rac1, Rac1b and Cdc42;.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 11 OF 16 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2008:572975 BIOSIS Full-text

DOCUMENT NUMBER: PREV200800572974

TITLE: Development of a screening platform for the identification of new Rac1/Rac1b inhibitors active in the prevention of amyloid-beta production.

AUTHOR(S): Lambeng, N. [Reprint Author]; Coutadeur, S.; Peillon, H.; Loiseau, N.; Bachelot, F.; De Oliveira, C.; Carton, R.; Leblond, B.; Beausoleil, E.; Chauvignac, C.; Taverne, T.; Desire, L.

CORPORATE SOURCE: ExonHit Therapeut, Paris, France

SOURCE: European Journal of Neurology, (AUG 2008) Vol. 15, No. Suppl. 3, pp. 36-37.  
Meeting Info.: 12th Congress of the European-Federation-of-Neurological-Societies. Madrid, SPAIN. August 23 -26, 2008. European Federat Neurol Soc. ISSN: 1351-5101.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; (Meeting Poster)

LANGUAGE: English

ENTRY DATE: Entered STN: 22 Oct 2008

Last Updated on STN: 29 Oct 2008

CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520

Cytology - Human 02508

Genetics - General 03502

Genetics - Human 03508

Pathology - Therapy 12512

Pharmacology - General 22002

Pharmacology - Clinical pharmacology 22005

Pharmacology - Neuropharmacology 22024

INDEX TERMS: Major Concepts

Pharmacology; Methods and Techniques; Molecular Genetics (Biochemistry and Molecular Biophysics)

INDEX TERMS: Chemicals & Biochemicals

ROS; Rac1b: expression; DCFDA; amyloid-beta-40

[A-beta-40]: production; amyloid-beta-42 [A-beta-42]:

production; Rac1/Rac1b inhibitors: neuroprotectant-drug

INDEX TERMS: Methods & Equipment

ELISA: laboratory techniques, immunologic techniques;

cell-based assay: laboratory techniques; LDH assay:

10/581947

laboratory techniques; cellular assay: laboratory techniques; G-LISA: laboratory techniques; BODIPY-GTP exchange assay: laboratory techniques; DATAS method: laboratory techniques

INDEX TERMS: Miscellaneous Descriptors  
oxidative stress

ORGANISM: Classifier  
Hominidae 86215  
Super Taxa  
Primates; Mammalia; Vertebrata; Chordata; Animalia  
Organism Name  
HEK-293 cell line (cell\_line): human embryonic kidney cells  
Taxa Notes  
Animals, Chordates, Humans, Mammals, Primates, Vertebrates

GENE NAME: human APP gene (Hominidae): expression

L40 ANSWER 12 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN  
ACCESSION NUMBER: 2007-300675 [200729] WPIX Full-text  
DOC. NO. CPI: C2007-111140 [200729]  
TITLE: Treating amyloid beta peptide-related disorder such as Alzheimer's disease in mammal involves administration of Rac-1 inhibitor to reduce amyloid precursor protein processing

DERWENT CLASS: B02; B04; D16  
INVENTOR: BEAUSOLEIL E; DESIRE L; LEBLOND B; PICARD V; SCHWEIGHOFFER F; TAVERNE T  
PATENT ASSIGNEE: (EXHO-N) EXHONIT THERAPEUTICS SA; (EXON-N) EXONHIT THERAPEUTICS SA; (BEAU-I) BEAUSOLEIL E; (DESI-I) DESIRE L; (LEBL-I) LEBLOND B; (PICA-I) PICARD V; (SCHW-I) SCHWEIGHOFFER F; (TAVE-I) TAVERNE T  
COUNTRY COUNT: 114

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 20070027146	A1	20070201	(200729)*	EN	25[7]	
WO 2007031878	A2	20070322	(200729)	EN		
EP 1951247	A2	20080806	(200854)	EN		
CA 2616237	A1	20070322	(200923)	EN		
US 20090093471	A1	20090409	(200929)	EN		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 20070027146	A1	US 2005-190070	20050727
CA 2616237	A1	CA 2006-2616237	20060726
EP 1951247	A2	EP 2006-831657	20060726
WO 2007031878	A2	WO 2006-IB3503	20060726
EP 1951247	A2	WO 2006-IB3503	20060726
CA 2616237	A1 PCT Application	WO 2006-IB3503	20060726
CA 2616237	A1 PCT Nat. Entry	CA 2006-2616237	20080122
US 20090093471	A1 Cont of	US 2005-190070	20050727
US 20090093471	A1 PCT Application	WO 2006-IB3503	20060726
US 20090093471	A1	US 2008-989396	20080125

FILING DETAILS:



PATENT NO	KIND		PATENT NO	
EP 1951247	A2	Based on	WO 2007031878	A
CA 2616237	A1	Based on	WO 2007031878	A

PRIORITY APPLN. INFO: US 2005-190070 20050727  
US 2008-989396 20080125

INT. PATENT CLASSIF.:  
IPC ORIGINAL: A61K [,S]; A61K0031-4709 [I,C]; A61K0031-4709 [I,A];  
A61K0031-4709 [I,C]; A61K0031-496 [I,C]; A61K0031-496  
[I,A]; A61K0031-496 [I,C]; A61K0031-5375 [I,C];  
A61K0031-5375 [I,C]; A61K0031-5375 [I,A]; A61K0031-5375  
[I,C]; A61K0031-5377 [I,A]; A61K0031-541 [I,C];  
A61K0031-541 [I,A]; A61K0031-541 [I,C]; A61P0025-00 [I,C]  
; A61P0025-00 [I,C]; A61P0025-28 [I,A]; G01N0033-566  
[I,A]; G01N0033-566 [I,C]  
ECLA: A61K0031-4709; A61K0031-496; A61K0031-5375; A61K0031-541  
USCLASS NCLM: 514/227.800  
NCLS: 435/007.800; 514/232.800; 514/253.060; 514/314.000  
BASIC ABSTRACT:  
US 20070027146 A1 UPAB: 20090409  
NOVELTY - Treating (M1) amyloid beta peptide-related disorder in  
mammals involves administration of Rac-1 inhibitor to reduce amyloid precursor  
protein (APP) processing in the patient.  
DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for producing,  
identifying, selecting or optimizing (M2) candidate compounds for use in the  
treatment of amyloid beta peptide-related disorders involving determining  
whether a test compound inhibits Rac-1 (as indication that the test compound  
is a candidate compound for use in the treatment of amyloid beta peptide-  
related disorders).  
ACTIVITY - CNS-Gen.; Neuroprotective; Nootropic; Antiparkinsonian. No  
biological data given.  
MECHANISM OF ACTION - Rac-1 activation inhibitor; Amyloid beta peptide  
inhibitor; Amyloid precursor protein modulator. The efficacy of 5-(5-(7-  
(trifluoromethyl)quinolin-4-ylthio)pentylloxy)-2-(morpholinomethyl- )-4H-pyran-  
4-one dihydrochloride (Ix) for inhibiting Rac-1 activation was determine as  
follows: NIH3T3 cells were treated with compound (Ix). GST-fusion protein  
containing the p21-binding domain (PBD) of human p21-activated kinase 1 (PAK1)  
to affinity precipitate endogenous active Rac-1 (GTP-Rac-1) from cell lysates  
to monitor the activation of the small GTPase Rac-1. The GST-Pak-PBD fusion  
protein was incubated with cell lysate and the effector pulled-down active or  
GTP-Rac-1 was detected by Western blot analysis using a specific Rac-1  
antibody. The compound (Ix) strongly inhibited Rac-1 activation in dose-  
response, leading to more than two times reduction in active Rac-1 levels at  
10 muM and undetectable levels of active Rac-1 levels at 50 muM.  
USE - For treating amyloid beta peptide-related disorders in mammals  
such as Alzheimer's disease (claimed), Down's syndrome, Hereditary Cerebral  
Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy,  
degenerative dementias, dementia associated with Parkinson's disease, dementia  
associated with progressive supranuclear palsy, dementia associated with  
cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.  
Also useful for treating CNS disorder.  
ADVANTAGE - The pyran-4-one derivative (I) does not substantially  
alter Notch cleavage or beta-secretase amyloid precursor protease cleaving enzyme  
(BACE) activity. The compound (I) are potent, brain penetrant molecules active at  
inhibiting Rac-1 and APP processing, lowering or preventing production of Abeta  
(particularly Abeta 40 and Abeta 42) production in vitro and in vivo. MANUAL CODE:  
CPI: B11-C10A; B14-F08; B14-J01; B14-N16; B14-S20A;  
D05-A02B; D05-C

10/581947

L40 ANSWER 13 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 2006-559808 [200657] WPIX Full-text  
 CROSS REFERENCE: 2006-529828; 2006-559807; 2006-559809  
 DOC. NO. CPI: C2006-174535 [200657]  
 TITLE: New 3-heteroaryl-3-hydroxy-2-amino-propylamine  
 derivatives useful as analgesic agents for treating pain  
 DERWENT CLASS: B03  
 INVENTOR: BEUSOLEIL E; DONELLO J; DONELLO J E; LEBLOND B; TAVERNE  
 T; BEAUSOLEIL E  
 PATENT ASSIGNEE: (ALLR-C) ALLERGAN INC; (BEAU-I) BEAUSOLEIL E; (DONE-I)  
 DONELLO J E; (LEBL-I) LEBLOND B; (TAVE-I) TAVERNE T  
 COUNTRY COUNT: 112

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2006081276	A1	20060803	(200657)*	EN	66[0]	
EP 1841742	A1	20071010	(200766)	EN		
AU 2006209208	A1	20060803	(200780)	EN		
JP 2008528601	W	20080731	(200853)	JA	43	
US 20080312236	A1	20081218	(200903)	EN		
BR 2006006112	A2	20090602	(200942)	PT		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2006081276	A1	WO 2006-US2570	20060125
US 20080312236	A1 Provisional	US 2005-647271P	20050126
AU 2006209208	A1	AU 2006-209208	20060125
EP 1841742	A1	EP 2006-719433	20060125
EP 1841742	A1 PCT Application	WO 2006-US2570	20060125
JP 2008528601	W PCT Application	WO 2006-US2570	20060125
US 20080312236	A1 PCT Application	WO 2006-US2570	20060125
JP 2008528601	W	JP 2007-553191	20060125
US 20080312236	A1	US 2008-814601	20080317
BR 2006006112	A2	BR 2006-6112	20060125
BR 2006006112	A2 PCT Application	WO 2006-US2570	20060125

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1841742	A1 Based on	WO 2006081276 A
AU 2006209208	A1 Based on	WO 2006081276 A
JP 2008528601	W Based on	WO 2006081276 A
BR 200606112	A2 Based on	WO 2006081276 A

PRIORITY APPLN. INFO: US 2005-647271P 20050126  
 US 2008-814601 20080317

INT. PATENT CLASSIF.:

IPC ORIGINAL: A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-40 [I,A];  
 A61K0031-40 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025  
 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C];  
 A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4427  
 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A];  
 A61K0031-535 [I,A]; A61K0031-535 [I,C]; A61K0031-5375  
 [I,A]; A61K0031-5375 [I,C]; A61P0029-00 [I,A];

A61P0029-00 [I,C]; A61P0029-00 [I,A]; A61P0029-00 [I,C];  
 A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-04 [I,A];  
 A61P0037-04 [I,A]; C07D0213-00 [I,C]; C07D0213-00 [I,C];  
 C07D0213-36 [I,A]; C07D0213-38 [I,A]; C07D0213-38 [I,A];  
 C07D0265-00 [I,C]; C07D0265-30 [I,A]; C07D0295-00 [I,C];  
 C07D0295-00 [I,C]; C07D0295-12 [I,A]; C07D0295-12 [I,A];  
 C07D0319-00 [I,C]; C07D0319-00 [I,C]; C07D0319-18 [I,A];  
 C07D0319-18 [I,A]; C07D0401-00 [I,C]; C07D0401-06 [I,A]  
 ECLA: A61K0031-40; A61K0031-4025; A61K0031-5375  
 USCLASS NCLM: 514/237.800  
 NCLS: 514/343.000; 544/168.000; 546/279.100  
 JAP. PATENT CLASSIF.:  
 MAIN/SEC.: C07D0295-12 Z (CSP); A61K0031-40; A61K0031-4025;  
 A61K0031-4439; A61K0031-535; A61P0029-00; A61P0037-04;  
 C07D0213-36; C07D0319-18  
 FTERM CLASSIF.: 4C015; 4C022; 4C055; 4C086; 4C201; 4C055/AA01;  
 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C055/BA01;  
 4C086/BC07; 4C086/BC17; 4C086/BC73; 4C055/CA01;  
 4C055/DA06; 4C055/DA16; 4C055/DA25; 4C055/DA27;  
 4C086/GA02; 4C086/GA08; 4C086/GA12; 4C086/GA16;  
 4C022/KA01; 4C086/MA01; 4C086/MA04; 4C086/NA14;  
 4C086/ZA08; 4C086/ZB09

## BASIC ABSTRACT:

WO 2006081276 A1 UPAB: 20090706

NOVELTY - 3-Heteroaryl-3-hydroxy-2-amino-propylamine derivatives (I), are new.

DETAILED DESCRIPTION - 3-Heteroaryl-3-hydroxy-2-amino-propylamine derivatives of formula (I) and their salts and enantiomers, are new.

R1, R2=H or 1-6C alkyl, or

NR1R2=optionally saturated 4-7 membered ring optionally containing one or two N, O and S heteroatoms (optionally substituted by halo or 1-6C alkyl);

R3=aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-6C thioxy), aryl-1-4C alkyl, heteroaryl-1-4C alkyl, 1-20C alkyl, 3-6C cycloalkyl, CO-R7 or CO-O-R7;

R7=H, 1-20C alkyl (optionally substituted by NH2, NHCOO1-6C alkyl or NH-CO1-6C alkyl, benzyl, aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-6C thioxy), aryl-1-4C optionally branched alkyl or heteroaryl-1-4C optionally branched alkyl;

R4=H, 1-6C alkyl or CO-R8;

R8=1-6C alkyl;

R10=4-pyridyl or phenyl (both disubstituted by R5 and R6);

R5, R6=H, 1-6C alkyl, halo or 1-6C alkoxy, or

CR5R6=5- or 6C carbocyclyl or 5- or 6-membered heterocyclyl containing 1-3 N, O or S heteroatoms (both optionally substituted by 1-6 R9), and

R9=halo, 1-6C alkyl or 1-6C alkoxy.

provided that when R10 is phenyl (disubstituted by R5 and R6), then (I: R4 is H and NR1R2 is morpholine or pyrrolidine and R5 and R6 are both H or one of R5 and R6 is OCH3 and the other is H), is excluded.

ACTIVITY - Analgesic; Immunostimulant.

The efficacy of DL-threo-2-amino-1-(pyridin-4-yl)-3-(pyrrolidin-1-yl)propan-1-ol (Ia) was evaluated for analgesic activity in peripheral chronic pain rats using chung model as described in Kim and Chung 1992, Pain 150, pp 355-363. Tactile allodynia was produced in rats. (Ia) was then administered intraperitoneally at a dosage of 1-300 micrograms/kg and peak percentage of reversal of pain in the rats was measured at different time intervals (such as 15, 30 or 60 minutes) after administration of (Ia). (Ia) showed 96% pain reversal in the rat 60 minutes after administration.

MECHANISM OF ACTION - None given.

USE - Used as analgesic agents for treating pain (claimed), and as immunostimulators.

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MANUAL CODE: CPI: B06-H; B07-H; B10-B01; B14-C01; B14-G01

L40 ANSWER 14 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 2006-559807 [200657] WPIX Full-text  
 CROSS REFERENCE: 2006-529828; 2006-559808; 2006-559809  
 DOC. NO. CPI: C2006-174534 [200657]  
 TITLE: New 3-aryl-3-hydroxy-2-amino-propionic acid amide  
 compounds are immunostimulators used to treat pain  
 DERWENT CLASS: B03  
 INVENTOR: BEAUSOLELL E; DONELLO J; DONELLO J E; LEBLOND B;  
 TAVERNE T; BEAUSOLEIL E  
 PATENT ASSIGNEE: (ALLR-C) ALLERGAN INC; (BEAU-I) BEAUSOLEIL E; (DONE-I)  
 DONELLO J E; (LEBL-I) LEBLOND B; (TAVE-I) TAVERNE T  
 COUNTRY COUNT: 112

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2006081273	A1	20060803	(200657)*	EN	238	[0]
EP 1841743	A1	20071010	(200766)	EN		
AU 2006209209	A1	20060803	(200780)	EN		
IN 2007DN05796	P1	20070817	(200780)	EN		
KR 2007098946	A	20071005	(200819)	KO		
CN 101151248	A	20080326	(200843)	ZH		
JP 2008528600	W	20080731	(200853)	JA	156	
MX 2007008955	A1	20070901	(200864)	ES		
US 20090036436	A1	20090205	(200915)	EN		
BR 2006006111	A2	20090602	(200942)	PT		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2006081273	A1	WO 2006-US2557	20060125
US 20090036436	A1 Provisional	US 2005-647271P	20050126
AU 2006209209	A1	AU 2006-209209	20060125
CN 101151248	A	CN 2006-80009865	20060125
EP 1841743	A1	EP 2006-719422	20060125
EP 1841743	A1 PCT Application	WO 2006-US2557	20060125
IN 2007DN05796	P1 PCT Application	WO 2006-US2557	20060125
KR 2007098946	A PCT Application	WO 2006-US2557	20060125
CN 101151248	A PCT Application	WO 2006-US2557	20060125
JP 2008528600	W PCT Application	WO 2006-US2557	20060125
MX 2007008955	A1 PCT Application	WO 2006-US2557	20060125
US 20090036436	A1 PCT Application	WO 2006-US2557	20060125
JP 2008528600	W	JP 2007-553188	20060125
MX 2007008955	A1	MX 2007-8955	20070725
IN 2007DN05796	P1	IN 2007-DN5796	20070726
KR 2007098946	A	KR 2007-719382	20070824
US 20090036436	A1	US 2008-814598	20080402
BR 2006006111	A2	BR 2006-6111	20060125
BR 2006006111	A2 PCT Application	WO 2006-US2557	20060125

FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1841743	A1 Based on	WO 2006081273 A
AU 2006209209	A1 Based on	WO 2006081273 A

10/581947

KR 2007098946	A	Based on	WO 2006081273	A
CN 101151248	A	Based on	WO 2006081273	A
JP 2008528600	W	Based on	WO 2006081273	A
MX 2007008955	A1	Based on	WO 2006081273	A
BR 200606111	A2	Based on	WO 2006081273	A

PRIORITY APPLN. INFO: US 2005-647271P 20050126  
US 2008-814598 20080402  
US 2005-647271P 20050126

INT. PATENT CLASSIF.:

MAIN: C07D213-81  
IPC ORIGINAL: A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-40 [I,C]; A61K0031-40 [I,A]; A61K0031-40 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025 [I,C]; A61K0031-4025 [I,A]; A61K0031-4025 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4409 [I,A]; A61K0031-4409 [I,C]; A61K0031-4427 [I,C]; A61K0031-4427 [I,C]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-4709 [I,A]; A61K0031-4709 [I,C]; A61K0031-496 [I,A]; A61K0031-496 [I,C]; A61K0031-5375 [I,C]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-541 [I,A]; A61K0031-541 [I,C]; A61P0025-00 [I,C]; A61P0025-00 [I,C]; A61P0025-04 [I,A]; A61P0029-00 [I,A]; A61P0029-00 [I,C]; A61P0029-00 [I,A]; A61P0029-00 [I,C]; A61P0037-00 [I,C]; A61P0037-00 [I,C]; A61P0037-04 [I,A]; A61P0037-04 [I,A]; C07D0213-00 [I,C]; C07D0213-00 [I,C]; C07D0213-30 [I,A]; C07D0213-53 [I,A]; C07D0213-61 [I,A]; C07D0213-61 [I,A]; C07D0213-64 [I,A]; C07D0213-64 [I,A]; C07D0213-73 [I,A]; C07D0213-73 [I,A]; C07D0213-81 [I,A]; C07D0213-81 [I,A]; C07D0213-81 [I,A]; C07D0215-00 [I,C]; C07D0215-00 [I,C]; C07D0215-14 [I,A]; C07D0215-14 [I,A]; C07D0233-00 [I,C]; C07D0233-64 [I,A]; C07D0295-00 [I,C]; C07D0295-00 [I,C]; C07D0295-00 [I,C]; C07D0295-125 [I,A]; C07D0295-18 [I,A]; C07D0295-18 [I,A]; C07D0307-00 [I,C]; C07D0307-00 [I,C]; C07D0307-42 [I,A]; C07D0307-54 [I,A]; C07D0333-00 [I,C]; C07D0333-00 [I,C]; C07D0333-16 [I,A]; C07D0333-24 [I,A]; C07D0333-24 [I,A]; C07D0333-38 [I,A]; C07D0333-38 [I,A]; C07D0333-56 [I,A]; C07D0333-60 [I,A]; C07D0333-60 [I,A]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-00 [I,C]; C07D0401-06 [I,A]; C07D0401-06 [I,A]; C07D0401-10 [I,A]; C07D0409-00 [I,C]; C07D0409-06 [I,A]; C07D0409-10 [I,A]; C07D0413-00 [I,C]; C07D0413-06 [I,A]; C07D0417-00 [I,C]; C07D0417-06 [I,A]

ECLA: A61K0031-40; A61K0031-4025; A61K0031-5375  
USCLASS NCLM: 514/227.800  
NCLS: 514/235.500; 514/253.010; 514/343.000; 514/422.000; 514/423.000; 514/438.000; 544/058.400; 544/131.000; 544/360.000; 546/175.000; 546/279.100; 548/527.000; 548/540.000; 549/076.000

JAP. PATENT CLASSIF.:

MAIN/SEC.: A61K0031-40; A61K0031-4025; A61K0031-4439; A61K0031-4545; A61K0031-4709; A61K0031-5377; A61P0025-04; A61P0037-04; C07D0213-30 (CSP); C07D0213-53; C07D0215-14; C07D0233-64 103; C07D0295-18 Z; C07D0307-42; C07D0333-16; C07D0333-56; C07D0401-06

FTERM CLASSIF.: 4C015; 4C018; 4C023; 4C031; 4C037; 4C055; 4C063; 4C086;

4C201; 4C055/AA01; 4C063/AA01; 4C086/AA01; 4C086/AA02;  
 4C086/AA03; 4C023/BA01; 4C055/BA01; 4C055/BA02;  
 4C031/BA05; 4C055/BA39; 4C055/BA42; 4C063/BB04;  
 4C086/BC07; 4C086/BC17; 4C086/BC28; 4C086/BC73;  
 4C055/CA01; 4C055/CA02; 4C055/CA06; 4C055/CA16;  
 4C055/CA39; 4C063/CC11; 4C055/DA06; 4C055/DA16;  
 4C055/DA30; 4C055/DB15; 4C063/DD03; 4C063/DD04;  
 4C063/EE01; 4C086/GA07; 4C086/GA08; 4C086/GA12;  
 4C037/HA06; 4C086/MA01; 4C086/MA04; 4C086/NA14;  
 4C086/ZA08; 4C086/ZB09

## BASIC ABSTRACT:

WO 2006081273 A1 UPAB: 20090311

NOVELTY - 3-aryl-3-hydroxy-2-amino-propionic acid amide compounds (I), are new.

DETAILED DESCRIPTION - 3-Aryl-3-hydroxy-2-amino-propionic acid amide compounds of formula (I) and their salts, are new.

R1, R2 = H or 1-6C alkyl, or

NR1R2 = optionally saturated 4-7 membered ring optionally including 1 or 2 heteroatoms of N, O or S (optionally substituted by 1 or 2 COOH, CH2OH, OH, B(OH)2, halo or CN; or 1 or 2 1-6C alkyl, or 1 or 2 C of the rings are attached to an O to form keto groups, and the ring is optionally condensed with an aromatic or non-aromatic 5-6 membered ring that optionally includes one or heteroatoms of N, O or S);

R3 = H, 1-20C alkyl, 3-6C cycloalkyl, or aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy), aryl-1-4C alkyl, aryl-(hydroxy)1-4C alkyl, heteroaryl-1-4C alkyl, hetero-(hydroxy)1-4C alkyl, CO-R7, SO2R7 or CO-O-R7);

R7 = H or 1-20C alkyl (optionally substituted by NH2 or NH-CO 1-6C alkyl, aryl or heteroaryl (both optionally substituted by 1-3 halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy) or aryl-1-4C alkyl or heteroaryl-1-4C alkyl);

R4 = H, 1-6C alkyl or CO-R8;

R8 = 1-6C alkyl;

dashed lines = a bond or absence of a bond;

m, n, q = 0-3;

m, n, q = 2 or 3;

s = 0, or

when X is N, then

s is zero 0 or 1;

W1, X, Y1 = CH, CR5, CR6, N, O or S;

R5, R6 = H, halo, 1-6C alkyl (optionally substituted by halo), 1-6C alkoxy, 1-3C thioxy or phenyl, or

R5R6 = 5- or 6C carbocyclyl, 5- or 6-membered heterocyclyl containing 1-3 heteroatoms of N, O or S (both optionally substituted by 1-6 R9), and

R9 = halo, 1-6C alkyl, 1-6C alkoxy or 1-3C thioxy,

provided that:

(1) the ring containing the dashed lines is aromatic, and

(2) (I: R4 is H, NR1R2 is pyrrolidino or morpholino, m + n + q = 3, and none of W1, X and Y1 is a heteroatom) are excluded, and

(3) compounds (IA) and (IB), are excluded.

ACTIVITY - Analgesic; Immunostimulant.

MECHANISM OF ACTION - None given.

USE - Used as analgesic and for stimulating the immune system (claimed). (I) is used to treat pain (particularly chronic pain).

In an assay using the rat Chung model, results showed that (I) exhibited 85% analgesic effect in 60 minutes. MANUAL CODE: CPI: B05-B01A; B07-H; B10-A10; B10-A15; B10-B01;

B10-B02F; B14-C01; B14-G01

10/581947

ACCESSION NUMBER: 2005-221961 [200523] WPIX Full-text  
CROSS REFERENCE: 2003-634381  
DOC. NO. CPI: C2005-071018 [200523]  
TITLE: New pyran-4-one, pyridin-4-one and thiopyran-4-one  
compounds used for treating diseases associated with  
abnormal cell proliferation e.g. cancer and restenosis  
DERWENT CLASS: B02; B03  
INVENTOR: BEAUSOLEIL E; LEBLANC V; LEBLOND B; LOPEZ R M L;  
MELLE-MILOVANOVIC D; PICARD V; PINAR P M D C; TAVERNE T;  
VISO B A  
PATENT ASSIGNEE: (BEAU-I) BEAUSOLEIL E; (LEBL-I) LEBLANC V; (LEBL-I)  
LEBLOND B; (LOPE-I) LOPEZ R M L; (MELL-I)  
MELLE-MILOVANOVIC D; (PICA-I) PICARD V; (PINA-I) PINAR P  
M D C; (TAVE-I) TAVERNE T; (VISO-I) VISO B A  
COUNTRY COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 20050054629	A1	20050310	(200523)*	EN	77	[12]

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 20050054629	A1	WO 2003-IB1050	20030228
US 20050054629	A1	US 2004-502625	20041022

PRIORITY APPLN. INFO: US 2002-85141 20020301

INT. PATENT CLASSIF.:

IPC RECLASSIF.: A61K0031-351 [I,A]; A61K0031-351 [I,C]; A61K0031-381  
[I,A]; A61K0031-381 [I,C]; A61K0031-403 [I,C];  
A61K0031-404 [I,A]; A61K0031-4353 [I,C]; A61K0031-437  
[I,A]; A61K0031-4427 [I,C]; A61K0031-4433 [I,A];  
A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545  
[I,A]; A61K0031-519 [I,C]; A61K0031-52 [I,A]; A61P0035-00  
[I,A]; A61P0035-00 [I,C]; A61P0009-00 [I,C]; A61P0009-10  
[I,A]; C07D0309-00 [I,C]; C07D0309-40 [I,A]; C07D0405-00  
[I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0407-00  
[I,C]; C07D0407-12 [I,A]; C07D0409-00 [I,C]; C07D0409-14  
[I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0473-00  
[I,C]; C07D0473-40 [I,A]

ECLA: A61K0031-351; C07D0309-40

USCLASS NCLM: 514/210.190

NCLS: 514/210.200; 514/318.000; 514/326.000; 514/343.000;  
514/422.000; 514/460.000; 546/193.000; 546/268.100;  
546/296.000; 548/517.000; 548/950.000; 549/417.000

BASIC ABSTRACT:

US 20050054629 A1 UPAB: 20050708

NOVELTY - Pyran-4-one, pyridin-4-one and thiopyran-4-one compounds (I),  
are new.

DETAILED DESCRIPTION - Pyran-4-one, pyridin-4-one and thiopyran-4-one  
compounds of formula (I) and their tautomers, optical or geometrical isomers,  
racemates, salts and/or hydrates, are new.

R1 = CH2R3 or COR3;

R2 = H or 3-6C alkenyl;

R3 = OH, OR4, SR4, NR5R6 or a group of formula (i);

R4 = 1-6C alkyl, cycloalkyl, CONR5R6, aryl, 5-12 membered heterocyclcyl  
containing 1-3 O, S or N heteroatoms, heteroaryl, aralkyl, heteroaralkyl,

alkanoyl or 2-6C cycloalkanoyl, arylcarbonyl, heteroarylcarbonyl, arylalkanoyl or heteroarylalkanoyl;

R5, R6 = H, 1-10C alkyl, aryl or aralkyl;

m = 2-3;

linker = (CH<sub>2</sub>)<sub>n</sub> or xylenyl;

n = 1-10;

Y, X = O, S or NR<sub>7</sub>;

R7 = H, 1-10C alkyl, aryl or aralkyl;

A = phenyl substituted by R8-R11 or 5-12 membered heterocyclyl containing 1-3 O, S or N heteroatoms, bonded directly to X, or

X-A = a group of formula (iii);

R8-R11 = H, halo (preferably F, Cl or Br), OH, 1-10C alkyl, alkenyl, 1-10C alkanoyl, 1-10C alkoxy, 1-10C alkoxycarbonyl, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NHCO(1-6C alkyl), NO<sub>2</sub>, CN, NR<sub>12</sub>R<sub>13</sub> or trifluoro(1-6C alkyl) (preferably R8-R11 are not simultaneously H), or

R8 + R9 = a group completing mono- or poly-cyclic hydrocarbyl;

R12, R13 = H, 1-10C alkyl, aryl or aralkyl;

R14-R19 = H, halo (preferably F, Cl, or Br), OH, 1-10C alkyl, 1-10C alkanoyl, 1-10C alkoxy, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NO<sub>2</sub>, CN, NR<sub>12</sub>R<sub>13</sub> or trifluoro(1-6C alkyl), or

R14 + R15 = cycloalkyl (preferably cyclohexyl) or aryl (preferably phenyl), and

W, Z = C or N,

provided that:

(1) when X and Y are O, A is phenyl, R2 is H, linker is (CH<sub>2</sub>)<sub>n</sub>, n is 3 or 5 and R8 on the ortho position on the phenyl group vis-a-vis X is n-propyl, then at least one R9-R11 is not H;

(2) when X and Y are O, A is phenyl, R2 is H, linker is (CH<sub>2</sub>)<sub>n</sub>, n is 3 or 5 and R8 on the ortho position on the phenyl group vis-a-vis X is n-propyl, R9 on the meta position vis-a-vis X is hydroxy and R10 on the para position vis-a-vis X is acetyl, then R11 is not H, and

(3) when X and Y are O, R2 is H, linker is (CH<sub>2</sub>)<sub>n</sub> and n is 2 or 3, then A is not unsubstituted naphthalene.

ACTIVITY - Cytostatic; Vasotropic.

In a cytotoxicity assay using human tumoral cell lines, results showed that 2-(benzyloxymethyl)-5-(5-(3,4-dichlorophenyloxy)-pentyloxy)-4H-pyran-4-one (Ia) exhibited an IC<sub>50</sub> value of 6 micro-M.

MECHANISM OF ACTION - None given.

USE - Used for the treatment of diseases associated with abnormal cell proliferation (particularly prostate cancer, ovarian cancer, pancreas cancer, lung cancer, breast cancer, liver cancer, head and neck cancer, colon cancer, bladder cancer, non-Hodgkin's lymphoma cancer and melanoma) and restenosis (claimed).

ADVANTAGE - (I) inhibit or reverse malignant cell phenotypes in a wide array of human tissues and have little or no effect on normal cell physiology. (I) Exhibit good bioavailability and pharmacokinetic properties.

MANUAL CODE: CPI: B06-H; B07-H; B14-F01G; B14-H01B

L40 ANSWER 16 OF 16 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN  
 ACCESSION NUMBER: 2003-634381 [200360] WPIX Full-text  
 CROSS REFERENCE: 2005-221961  
 DOC. NO. CPI: C2003-173304 [200360]  
 TITLE: Use of new and known pyran-4-one derivatives for treating disease associated with abnormal cell proliferation e.g. cancer  
 DERWENT CLASS: B03  
 INVENTOR: BEAUSOLEIL E; LEBLANC V; LEBLOND B; LOPEZ RODRIGUEZ M L; MELLE-MILOVANOVIC D; PICARD V; PINAR PINEDO M D C; TAVERNE T; VISO BERONDA A  
 PATENT ASSIGNEE: (EXON-N) EXONHIT THERAPEUTICS SA



10/581947

COUNTRY COUNT: 101

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
US 6552073	B1	20030422	(200360)*	EN	24[5]	
WO 2003074508	A1	20030912	(200360)	EN		
AU 2003209924	A1	20030916	(200430)	EN		
EP 1480966	A1	20041201	(200478)	EN		
JP 2005529079	W	20050929	(200568)	JA	160	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 6552073	B1	US 2002-85141	20020301
AU 2003209924	A1	AU 2003-209924	20030228
EP 1480966	A1	EP 2003-743474	20030228
JP 2005529079	W	JP 2003-572976	20030228
WO 2003074508	A1	WO 2003-IB1050	20030228
EP 1480966	A1	WO 2003-IB1050	20030228
JP 2005529079	W	WO 2003-IB1050	20030228

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003209924	A1	WO 2003074508 A
EP 1480966	A1	WO 2003074508 A
JP 2005529079	W	WO 2003074508 A

PRIORITY APPLN. INFO: US 2002-85141 20020301

INT. PATENT CLASSIF.:

IPC RECLASSIF.: A61K0031-351 [I,A]; A61K0031-351 [I,C]; A61K0031-381 [I,A]; A61K0031-381 [I,C]; A61K0031-403 [I,C]; A61K0031-404 [I,A]; A61K0031-4353 [I,C]; A61K0031-437 [I,A]; A61K0031-4427 [I,C]; A61K0031-4433 [I,A]; A61K0031-4439 [I,A]; A61K0031-4523 [I,C]; A61K0031-4545 [I,A]; A61K0031-519 [I,C]; A61K0031-52 [I,A]; A61P0035-00 [I,A]; A61P0035-00 [I,C]; A61P0009-00 [I,C]; A61P0009-10 [I,A]; C07D0309-00 [I,C]; C07D0309-40 [I,A]; C07D0405-00 [I,C]; C07D0405-12 [I,A]; C07D0405-14 [I,A]; C07D0407-00 [I,C]; C07D0407-12 [I,A]; C07D0409-00 [I,C]; C07D0409-14 [I,A]; C07D0471-00 [I,C]; C07D0471-04 [I,A]; C07D0473-00 [I,C]; C07D0473-40 [I,A]

ECLA: A61K0031-351; C07D0309-40

JAP. PATENT CLASSIF.:

MAIN/SEC.: A61K0031-351; A61K0031-381; A61K0031-404; A61K0031-437; A61K0031-4433; A61K0031-52; A61P0035-00; A61P0009-10; C07D0405-12; C07D0405-14; C07D0407-12; C07D0409-14; C07D0471-04 103 Z; C07D0471-04 104 Z; C07D0473-40; C07D0309-40 (CSP)

FTERM CLASSIF.:

4C049; 4C062; 4C063; 4C065; 4C086; 4C201; 4C063/AA01; 4C086/AA01; 4C086/AA02; 4C063/AA03; 4C086/AA03; 5/AA04; 4C086/BA07; 4C086/BB02; 4C065/BB04; 4C063/BB08; 4C086/BC13; 4C086/BC17; 4C086/CB05; 4C086/CB07; 4C065/CC01; 4C065/CC09; 4C063/CC78; 4C063/CC92; 4C065/DD02; 4C063/DD06; 4C063/DD12; 4C062/DD13; 4C063/DD75; 4C063/DD78; 4C063/EE01; 4C065/EE02;

4C086/GA02; 4C086/GA04; 4C086/GA07; 4C086/GA08;  
 4C065/HH02; 4C065/HH09; 4C065/JJ01; 4C065/KK09;  
 4C065/LL01; 4C086/MA01; 4C086/MA04; 4C086/NA14;  
 4C065/PP07; 4C086/ZA36; 4C086/ZA54; 4C086/ZB26

## BASIC ABSTRACT:

US 6552073 B1 UPAB: 20060120

NOVELTY - Use of pyran-4-one derivatives (I) is claimed for treating disease associated with abnormal cell proliferation.

DETAILED DESCRIPTION - Use of pyran-4-one derivatives of formula (I), their optical isomers, geometrical isomers, salts and hydrates is claimed for treating disease associated with abnormal cell proliferation.

R1 = CH<sub>2</sub>R<sub>3</sub> or COR<sub>3</sub>;

R2 = H or 3-6C alkenyl;

R3 = OH, OR<sub>4</sub>, SR<sub>4</sub>, NR<sub>5</sub>R<sub>6</sub> or a group of formula (i);

R4 = 1-6C alkyl, aryl, aralkyl, 2-6C alkanoyl or arylcarbonyl;

R5, R6 = H, 1-10C alkyl, aryl or aralkyl;

m = 2 or 3;

n = 1-10;

X = O, S or NR<sub>7</sub>;

Y = O;

R7 = H, 1-10C alkyl, aryl or aralkyl;

A = phenyl substituted by R8, R9, R10 and R11 or 5- or 6-membered heterocyclyl containing 1-3 O, S or N heteroatoms;

R8-R11 = H, halo (preferably F, Cl or Br), OH, 1-10C alkyl, 1-10C alkanoyl, 1-10C alkoxy, aryl, aralkyl, arylcarbonyl, mono- or poly-cyclic hydrocarbyl, NO<sub>2</sub>, CN, NR<sub>12</sub>R<sub>13</sub> or trifluoro(1-6C)alkyl, or

R8 + R9 and R10 + R11 = mono- or poly-cyclic hydrocarbyl;

R12, R13 = H, 1-10C alkyl, aryl or aralkyl,

provided that R8, R9, R10 and R11 are not simultaneously H.

An INDEPENDENT CLAIM is included for new compounds (I), provided that:

(1) when X is O, R2 is H, n is 5 and R8 on ortho position on phenyl is n-propyl, then R9, R10 and R11 are not H;

(2) when X is O, R2 is H, n is 5 and R8 on ortho-position on phenyl is n-propyl, R9 on meta-position is OH and R10 on para-position is an acetyl, then R11 is not H; and

(3) when X is O, R2 is H, n is 2 or 3, then A is not non-substituted naphthalene.

ACTIVITY - Cytostatic.

In a microculture 3-(4,5-dimethylthiazol-2-yl)-2,5- diphenyltetrazolium bromide assay as described by Carmichael et al (Cancer Res, 1996), using MCF-7 breast carcinoma cell lines, results showed that 5-(6-(3,4-dichloro-2-propylphenyloxy)hexyloxy)-2- (hydroxymethyl)-4H-pyran-4-one (Ia) exhibited an IC<sub>50</sub> value of 15 micro-M.

MECHANISM OF ACTION - G-protein mediated signalling inhibitor.

USE - Used for treating cancer linked to oncogenic properties of GTPases (e.g. prostate cancer, ovarian cancer, pancreas cancer, lung cancer, breast cancer, liver cancer, head and neck cancer, colon cancer, bladder cancer, non-Hodgkin's lymphoma cancer and melanoma) associated with abnormal cell proliferation in a patient (claimed).

ADVANTAGE - (I) Give effective therapy for early stage cancer to reduce relapses. (I) Are alternative therapies for curing tumors refractory to standard therapy and for curing metastatic cancers. (I) Are less toxic and have an improved delivery system. MANUAL CODE: CPI: B07-A03; B14-H01; B14-H02

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FILE 'REGISTRY' ENTERED AT 13:54:16 ON 30 APR 2010

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STRUCTURE FILE UPDATES: 29 APR 2010 HIGHEST RN 1220951-91-6

DICTIONARY FILE UPDATES: 29 APR 2010 HIGHEST RN 1220951-91-6

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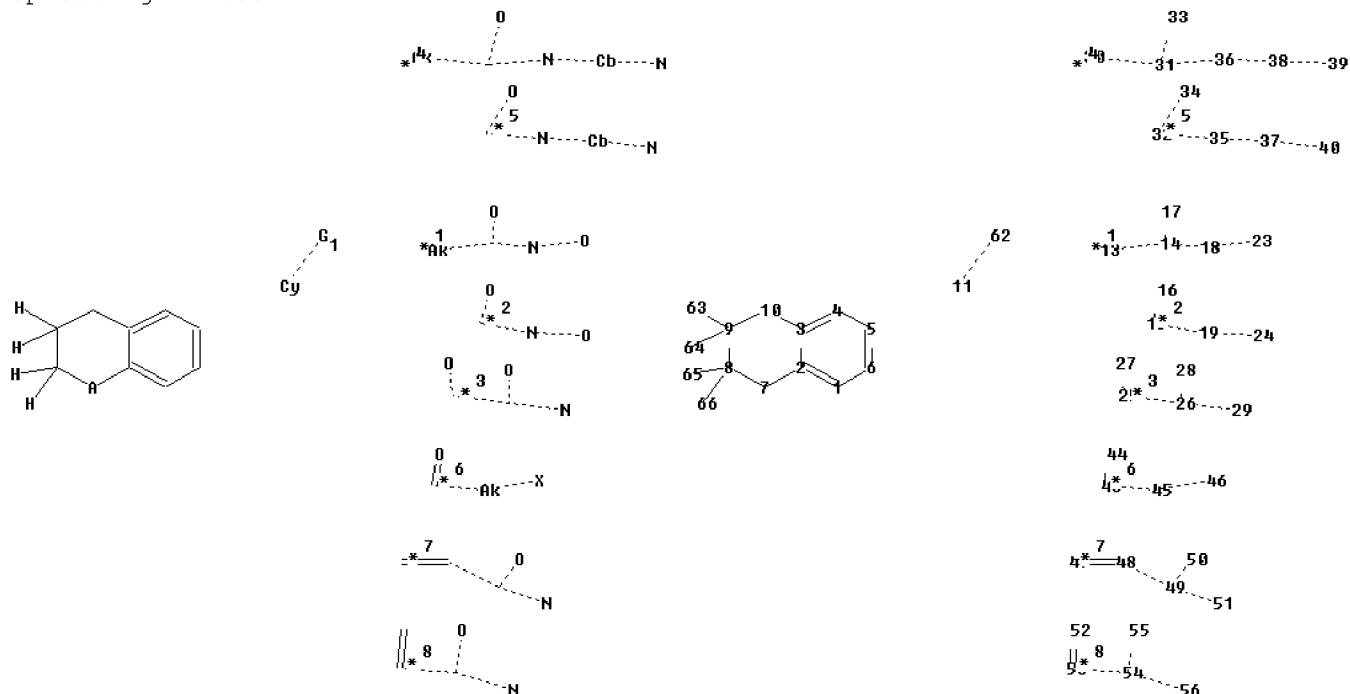
TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

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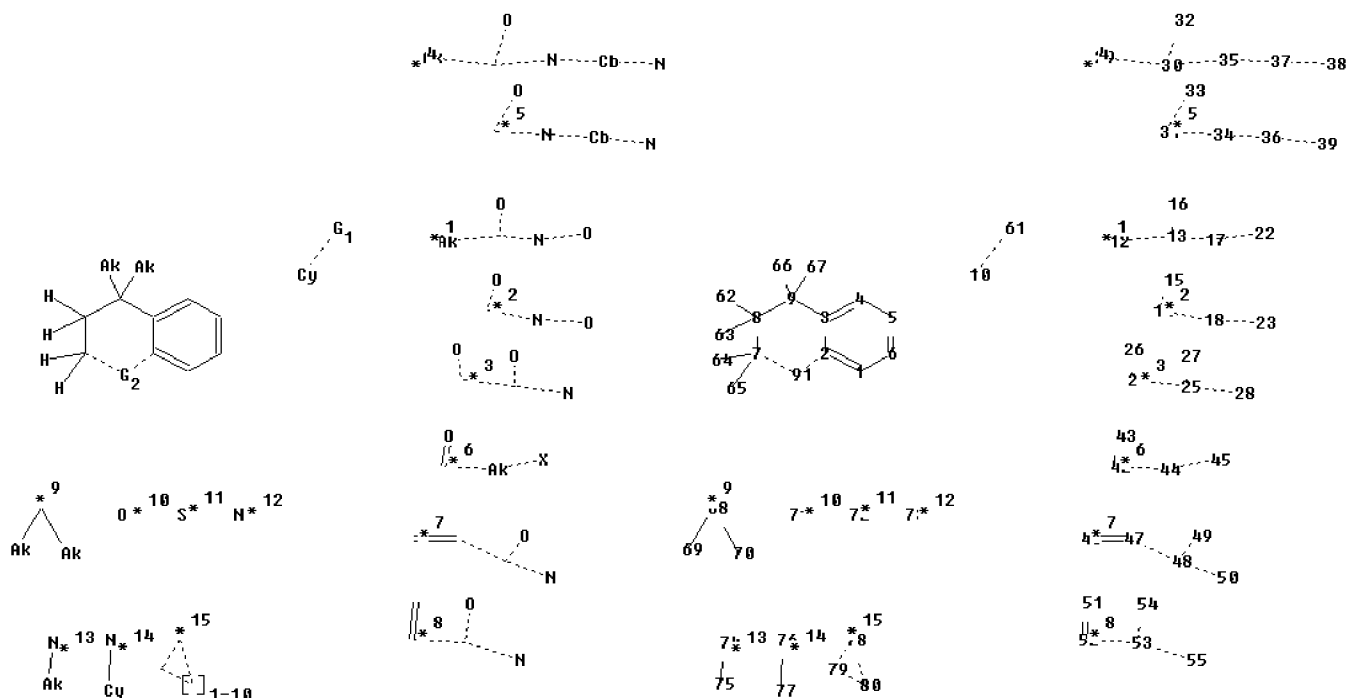
10/581947

```
chain bonds :
8-65  8-66  9-63  9-64  11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-
26
25-27  26-28  26-29  30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39
43-44  43-45
45-46  47-48  48-49  49-50  49-51  52-53  53-54  54-55  54-56
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10
exact/norm bonds :
11-62  13-14  14-17  14-18  15-16  15-19  18-23  19-24  25-26  25-27  26-28  26-29
30-31  31-33  31-36  32-34  32-35  35-37  36-38  37-40  38-39  43-44  43-45  45-46
48-49  49-50
49-51  53-54  54-55  54-56
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8]

```
Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS
35:CLASS 36:CLASS 37:Atom 38:Atom 39:CLASS 40:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS
47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS
55:CLASS 56:CLASS
62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS
Generic attributes :
11:
Saturation          : Unsaturated
```

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chain nodes :

10 12 13 14 15 16 17 18 22 23 24 25 26 27 28 29 30 31 32 33 34  
 35 36 37 38 39 42 43 44 45 46 47 48 49 50 51 52 53 54 55 61 62  
 63 64 65  
 66 67 69 70 75 77

ring nodes :

1 2 3 4 5 6 7 8 9 68 71 72 73 74 76 78 79 80 91

chain bonds :

7-64 8-62 8-63 9-66 9-67 10-61 12-13 13-16 13-17 14-15 14-18 17-22 18-23  
 24-25 24-26 25-27 25-28 29-30 30-32 30-35 31-33 31-34 34-36 35-37 36-39  
 37-38 42-43  
 42-44 44-45 46-47 47-48 48-49 48-50 51-52 52-53 53-54 53-55 68-69 68-70  
 74-75 76-77

ring bonds :

1-2 1-6 2-3 2-91 3-4 3-9 4-5 5-6 7-65 7-8 7-91 8-9 78-79 78-80 79-80

exact/norm bonds :

2-91 7-64 7-91 8-62 8-63 9-66 9-67 10-61 12-13 13-16 13-17 14-15 14-18  
 17-22 18-23 24-25 24-26 25-27 25-28 29-30 30-32 30-35 31-33 31-34 34-36  
 35-37 36-39  
 37-38 42-43 42-44 44-45 46-47 47-48 48-49 48-50 51-52 52-53 53-54 53-55  
 68-69 68-70  
 74-75 76-77 78-79 78-80 79-80

exact bonds :

3-9 7-65 7-8 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8]

G2:[\*9],[\*10],[\*11],[\*12],[\*13],[\*14],[\*15]

10/581947

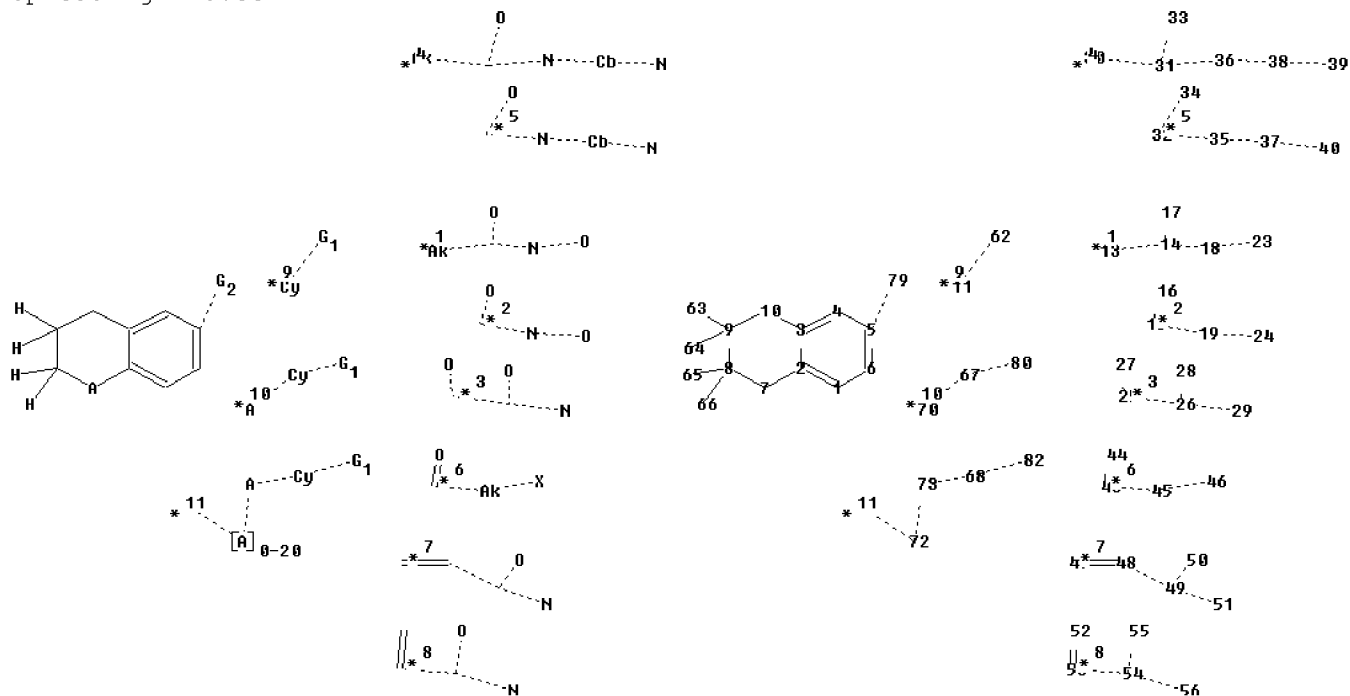
Connectivity :

5:3 M minimum RC ring/chain 10:2 M minimum RC ring/chain 73:2 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 22:CLASS  
 23:CLASS 24:CLASS  
 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS  
 33:CLASS 34:CLASS  
 35:CLASS 36:Atom 37:Atom 38:CLASS 39:CLASS 42:CLASS 43:CLASS 44:CLASS  
 45:CLASS 46:CLASS  
 47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS  
 55:CLASS 61:CLASS  
 62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:CLASS 68:Atom 69:CLASS  
 70:CLASS 71:Atom  
 72:Atom 73:Atom 74:Atom 75:CLASS 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom  
 91:Atom  
 Generic attributes :  
 10:  
 Saturation : Unsaturated

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chain nodes :

11 13 14 15 16 17 18 19 23 24 25 26 27 28 29 30 31 32 33 34 35  
 36 37 38 39 40 43 44 45 46 47 48 49 50 51 52 53 54 55 56 62 63  
 64 65 66  
 67 68 79 80 82

ring nodes :

1 2 3 4 5 6 7 8 9 10

ring/chain nodes :

70 71 72 73

10/581947

chain bonds :  
5-79 8-65 8-66 9-63 9-64 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24  
25-26 25-27 26-28 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40  
38-39 43-44  
43-45 45-46 47-48 48-49 49-50 49-51 52-53 53-54 54-55 54-56 67-70 67-80  
68-73 68-82

ring/chain bonds :

71-72 72-73

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds :

5-79 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-26 25-27 26-28  
26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39 43-44 43-45  
45-46 48-49  
49-50 49-51 53-54 54-55 54-56 67-70 67-80 68-73 68-82 71-72 72-73

exact bonds :

2-7 3-10 7-8 8-9 8-65 8-66 9-10 9-63 9-64 47-48 52-53

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8]

G2:[\*9],[\*10],[\*11]

Connectivity :

5:3 M minimum RC ring/chain 11:2 M minimum RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS  
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33:CLASS 34:CLASS  
35:CLASS 36:CLASS 37:Atom 38:Atom 39:CLASS 40:CLASS 43:CLASS 44:CLASS  
45:CLASS 46:CLASS  
47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS  
55:CLASS 56:CLASS  
62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:Atom 68:Atom 70:CLASS  
71:CLASS 72:CLASS  
73:CLASS 79:CLASS 80:CLASS 82:CLASS

Generic attributes :

11:

Saturation : Unsaturated

67:

Saturation : Unsaturated

68:

Saturation : Unsaturated

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 FILE LAST UPDATED: 29 Apr 2010 (20100429/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2010  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

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L10 SCR 990 OR 1210 OR 1338  
 L14 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L17 389 SEA FILE=REGISTRY SSS FUL L14 AND L10  
 L18 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

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 L21 26 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON L20

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L10 SCR 990 OR 1210 OR 1338  
 L14 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L17 389 SEA FILE=REGISTRY SSS FUL L14 AND L10  
 L28 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L30 115 SEA FILE=REGISTRY SUB=L17 SSS FUL L28  
 L32 33 SEA FILE=ZCAPLUS SPE=ON ABB=ON PLU=ON L30



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=> s L21 or L32

L41 42 L21 OR L32

=> d ibib abs hitstr L41 1-42

L41 ANSWER 1 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:666074 ZCAPLUS Full-text

DOCUMENT NUMBER: 151:520134

TITLE: Pharmacophore identification of hydroxamate HDAC 1 inhibitors

AUTHOR(S): Yu, Liqin; Liu, Fei; Chen, Yadong; You, Qidong

CORPORATE SOURCE: Jiangsu Key Laboratory of Carcinogenesis and Intervention, Department of Medicinal Chemistry, China Pharmaceutical University, Nanjing, Jiangsu, 210009, Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (2009), 27(3), 557-564  
CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Shanghai Institute of Organic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A three-dimensional pharmacophore model was established based on 24 hydroxamate histone deacetylase (HDAC) inhibitors by HypoGen algorithm embedded in Catalyst software. The best pharmacophore hypothesis (Hypol), consisting of four chemical features (one hydrogen-bond acceptor, one aromatic ring and two hydrophobic groups), has a correlation coefficient of 0.946. The Hypol was also validated by a test set consisting of 20 other compds. Compared with the prior studies towards HDAC inhibitors the detailed chemical features of the "CAP" region in the reported HDAC inhibitors were for the first time depicted, which would be helpful in the further designing of novel HDAC inhibitors.

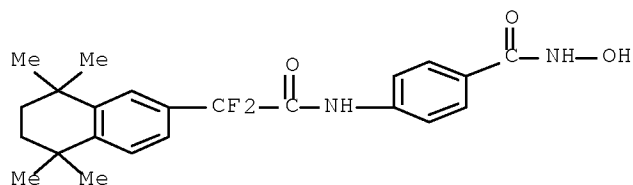
IT 853728-57-1

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three-dimensional pharmacophore model was developed based on hydroxamate deacetylase 1 inhibitors by HypoGen algorithm embedded in catalyst software, suggests that branched cap structure of HDAC inhibitors strengthen interaction to HDAC 1)

RN 853728-57-1 ZCAPLUS

CN 2-Naphthaleneacetamide,  $\alpha,\alpha$ -difluoro-5,6,7,8-tetrahydro-N-[4-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

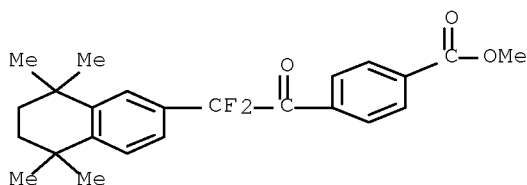
L41 ANSWER 2 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1383562 ZCAPLUS Full-text

DOCUMENT NUMBER: 149:555078

10/581947

TITLE: The Stille reaction  
 AUTHOR(S): Farina, Vittorio; Krishnamurthy, Venkat; Scott, William J.  
 CORPORATE SOURCE: Boehringer Ingelheim Pharmaceuticals, Ridgefield, CT, USA  
 SOURCE: Organic Reactions (Hoboken, NJ, United States) (1997), 50, No pp. given  
 CODEN: ORHNBA  
 URL: <http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME>  
 PUBLISHER: John Wiley & Sons, Inc.  
 DOCUMENT TYPE: Journal; General Review; (online computer file)  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 149:555078  
 AB A review of the article The Stille reaction.  
 IT 1070994-08-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (The Stille Reaction)  
 RN 1070994-08-9 ZCAPLUS  
 CN Benzoic acid, 4-[2,2-difluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]-, methyl ester (CA INDEX NAME)



L41 ANSWER 3 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2008:289510 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 148:331863  
 TITLE: Retinoid compounds and their use in the control of cell differentiation  
 INVENTOR(S): Przyborski, Stefan; Whiting, Andrew; Marder, Todd  
 PATENT ASSIGNEE(S): University of Durham, UK; Reinnervate Limited  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

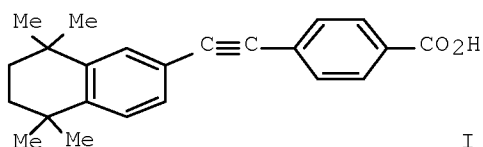
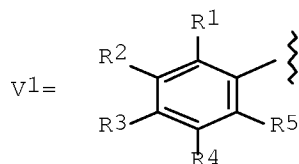
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008025965	A2	20080306	WO 2007-GB3237	20070828
WO 2008025965	A3	20081002		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

10/581947

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
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BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
CA 2662218 A1 20080306 CA 2007-2662218 20070828  
EP 2054504 A2 20090506 EP 2007-804055 20070828  
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AL, BA, HR, MK, RS  
EP 2130908 A2 20091209 EP 2009-11765 20070828  
EP 2130908 A3 20100106  
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IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR  
JP 2010503615 T 20100204 JP 2009-526166 20070828  
CN 101511993 A 20090819 CN 2007-80032630 20090302  
IN 2009KN01195 A 20090522 IN 2009-KN1195 20090327  
US 20100093088 A1 20100415 US 2009-439510 20091222  
PRIORITY APPLN. INFO.: GB 2006-16961 A 20060829  
GB 2007-1795 A 20070131  
EP 2007-804055 A3 20070828  
WO 2007-GB3237 W 20070828

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 148:331863; MARPAT 148:331863  
GI



AB The invention relates to retinoid compds. V-W-X [I; V = a hydrophobic group, e.g., V1; W = a non-polyenic linker, e.g., alkenylene, alkynylene, phenylene-alkenylene, alkenylene-phenylene, phenylene-alkynylene, alkynylene-phenylene, naphthylene; X = a polar group comprising a hydrogen bond donor, e.g., C(:O)-Z; Z = hydrogen bond donor, e.g., OH, O-(C2-6-alkyl), CO2H, NH2, NHOH; R1, R2, R3, R4, R5 = H, R6, hydrocarbyl (optionally substituted with 1, 2, 3, 4 or 5 of R6), (CH2)<sup>k</sup>-heterocyclyl (optionally substituted with 1 to 6 R6); R6 = halogen, CF3, cyano, NO2, oxo, :NR7, C(:O)R7 CO2R7, OC(:O)R7, S(O)lR7, NR7R8, C(:O)NR7R8, S(O)lNR7R8, R9; R7, R8, = H, R9; R9 = hydrocarbyl (CH2)<sup>k</sup>-heterocyclyl (either of which is optionally substituted with 1, 2, 3, 4 or 5 substituents selected halogen, cyano, amino, hydroxy, C1-6-alkyl, C1-6-alkoxy); k = 0, 1, 2, 3, 4, 5, 6; l = 0, 1, 2; m = 0, 2, 3, 4, 5, 6; one or more of R1R2, R2R3, R3R4, R4R5 = carbocyclo or heterocyclo (optionally substituted with R6)] or a salt thereof, and to the use of such compds. in the control of cell differentiation. Thus, EC23 (II) was prepared from 1,1,4,4-

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tetramethyl-1,2,3,4-tetrahydronaphthalene via bromination with Br<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> containing BF<sub>3</sub>·OEt<sub>2</sub>, Sonogashira coupling with HC.tplbond.CSiMe<sub>3</sub> in Et<sub>3</sub>N containing catalytic PdCl<sub>2</sub>/Cu(OAc)<sub>2</sub>/PPh<sub>3</sub>, desilylation with Bu<sub>4</sub>NF in THF, and Sonogashira coupling with 4-IC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H in Et<sub>3</sub>N containing catalytic CuI/Pd(PPh<sub>3</sub>)Cl<sub>2</sub>. Testing of compds. I showed they induced the suppression of the stem cell markers TRA-1-60 and SSEA-3 while antigens associated with differentiated tissues, A2B5 and VINIS-53, showed marked increases in expression. In addition, II (10 μM) produced very few, if any, epithelial plaques and resulted in cultures more homogeneous in appearance consisting primarily of cells undergoing neuronal differentiation; and neuroprogenitor cells from adult rats differentiate into well defined neurons with II.

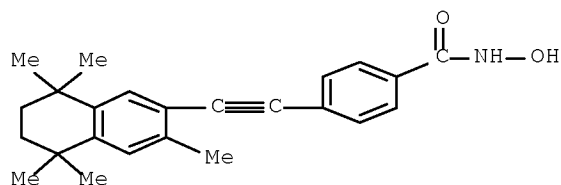
IT 1010385-63-3P 1010385-78-0P 1010385-81-5P  
1010385-84-8P

RL: PAC (Pharmacological activity); PRPH (Prophetic); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(retinoid compds. and their use in the control of cell differentiation)

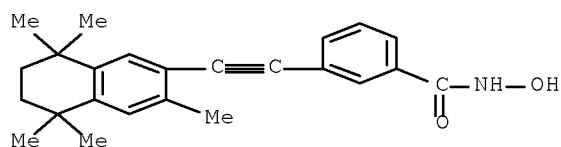
RN 1010385-63-3 ZCAPLUS

CN Benzamide, N-hydroxy-4-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



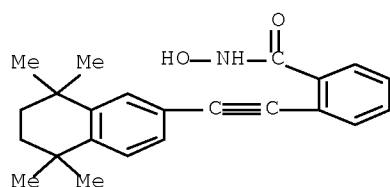
RN 1010385-78-0 ZCAPLUS

CN Benzamide, N-hydroxy-3-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



RN 1010385-81-5 ZCAPLUS

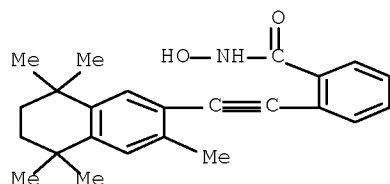
CN Benzamide, N-hydroxy-2-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



10/581947

RN 1010385-84-8 ZCAPLUS

CN Benzamide, N-hydroxy-2-[2-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



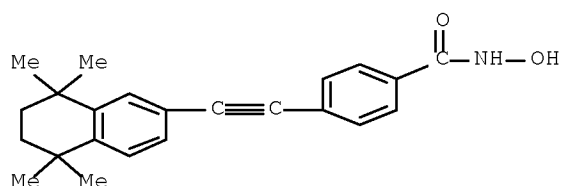
IT 1010385-49-5P 1010385-71-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(retinoid compds. and their use in the control of cell differentiation)

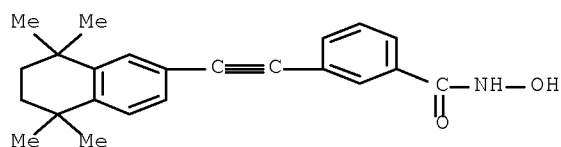
RN 1010385-49-5 ZCAPLUS

CN Benzamide, N-hydroxy-4-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



RN 1010385-71-3 ZCAPLUS

CN Benzamide, N-hydroxy-3-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethynyl]- (CA INDEX NAME)



L41 ANSWER 4 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

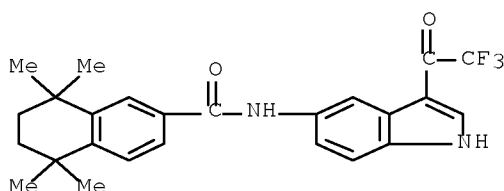
ACCESSION NUMBER: 2006:452349 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:377148

TITLE: Synthesis and antioxidant activity of new tetrahydronaphthalene-indole derivatives as retinoid and melatonin analogs

10/581947

AUTHOR(S): Ates-Alagoz, Zeynep; Coban, Tulay; Buyukbingol, Erdem  
 CORPORATE SOURCE: Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Ankara University, Ankara, Turk.  
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2006), 339(4), 193-200  
 CODEN: ARPMAS; ISSN: 0365-6233  
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:377148  
 AB Melatonin retinoids were prepared by condensation of tetrahydrotetramethylnaphthalenecarboxylic acid and melatonin-type moieties. Despite the weak DPPH inhibition activity pattern of the synthesized compds., some of them showed a strong inhibition on lipid peroxidn. when melatonin (85% at 10<sup>-4</sup> M concentration) was used as a reference compound  
 IT 910867-65-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antioxidant activity of new tetrahydronaphthalene-indole derivs. as retinoid and melatonin analogs)  
 RN 910867-65-1 ZCAPLUS  
 CN 2-Naphthalenecarboxamide, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-N-[3-(2,2,2-trifluoroacetyl)-1H-indol-5-yl]- (CA INDEX NAME)

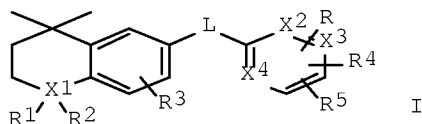


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)  
 REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:516308 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 143:43695  
 TITLE: Preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase (HDAC) inhibitors.  
 INVENTOR(S): Leblond, Bertrand; Beausoleil, Eric  
 PATENT ASSIGNEE(S): Exonhit Therapeutics S.A., Fr.  
 SOURCE: Eur. Pat. Appl., 50 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1541549	A1	20050615	EP 2003-293143	20031212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 WO 2005058803 A1 20050630 WO 2004-IB4334 20041210  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG  
 EP 1692097 A1 20060823 EP 2004-806498 20041210  
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 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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 AT 441628 T 20090915 AT 2004-806498 20041210  
 PT 1692097 E 20091030 PT 2004-806498 20041210  
 ES 2330749 T3 20091215 ES 2004-806498 20041210  
 US 20070129368 A1 20070607 US 2006-581947 20060606  
 PRIORITY APPLN. INFO.: EP 2003-293143 A 20031212  
 WO 2004-IB4334 W 20041210  
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): CASREACT 143:43695; MARPAT 143:43695  
 GI



- AB Title compds. [I; R = CONR7R8, COCONR8R9, COCONHMe, COCF3, etc.; R7 = OH, OR9, 2-aminophenyl; R8, R9 = H, alkyl; X1 = C, O, N, S; R1, R2 = null, H, alkyl, 1-2 O; X2, X3 = CH, O, N; X2X3 = S, O, N; X4 = N, CH; R3-R5 = H, OH, NH2, halo, alkyl, perfluoroalkyl, etc.; L = alkylene, alkenylene, alkynylene, (aromatic) cycloalkyl, O, CO, CONH, CF2CONH, SO2NH, NMeSO2, etc.], were prepared Thus, 4-[2,2-difluoro-2-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl)acetamino]benzoic acid (preparation given) was stirred with SOCl2 and cat. DMF at 0° for 1 h. The residue in CH2Cl2 was added to a mixture prepared from hydroxylamine hydrochloride, H2O, and Et3N in THF at 0° followed by stirring at 0° for 10 min. and at room temperature for 17.75 h to give 33.4% 4-[2,2-difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido]-N-hydroxybenzamide (EHT 9299). The latter showed HDAC inhibitory activity with IC50 = 424 nM.
- IT 853728-52-6P, N-(4-(Hydroxycarbamoyl)phenyl)-5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-carboxamide 853728-53-7P, N-(4-(2-Aminophenylcarbonyl)phenyl)-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-naphthalene-2-carboxamide 853728-54-8P 853728-55-9P 853728-56-0P 853728-57-1P, 4-(2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido)-N-hydroxybenzamide 853728-58-2P, 3-(2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-

10/581947

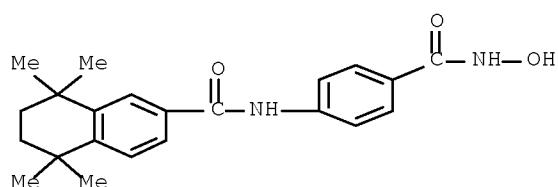
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4-((2,2-Difluoro-2-(1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalen-7-yl)acetamido)methyl)-N-hydroxybenzamide 853728-60-6P  
853728-61-7P, N-(4-Hydroxycarbamoylphenyl)-N'-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-yl)oxalamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of tetrahydronaphthalene hydroxamates and benzamides as histone deacetylase inhibitors)

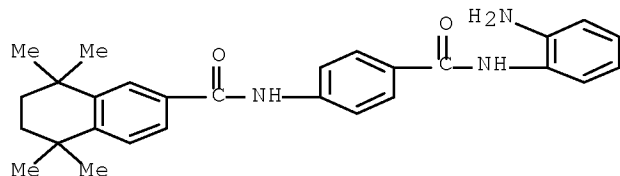
RN 853728-52-6 ZCAPLUS

CN 2-Naphthalenecarboxamide, 5,6,7,8-tetrahydro-N-[4-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



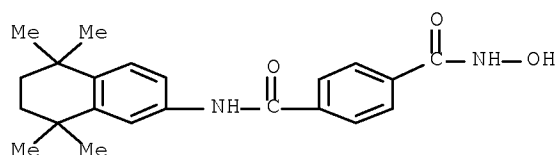
RN 853728-53-7 ZCAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[[ (2-aminophenyl)amino]carbonyl]phenyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-54-8 ZCAPLUS

CN 1,4-Benzenedicarboxamide, N1-hydroxy-N4-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (CA INDEX NAME)



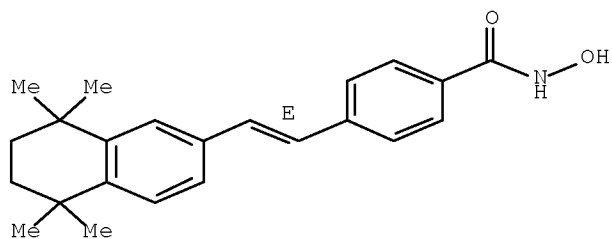
RN 853728-55-9 ZCAPLUS

CN Benzamide, N-hydroxy-4-[(1E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



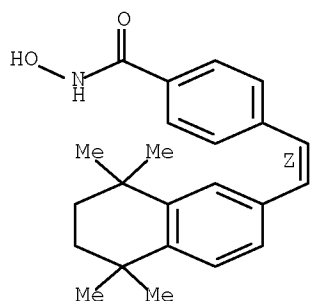
10/581947



RN 853728-56-0 ZCAPLUS

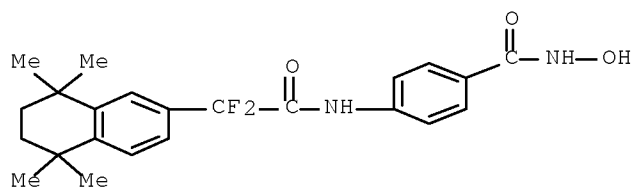
CN Benzamide, N-hydroxy-4-[(1Z)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 853728-57-1 ZCAPLUS

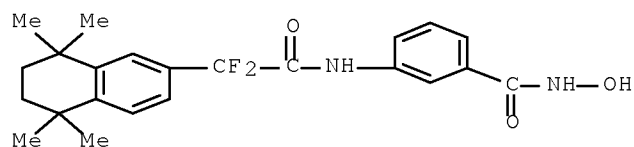
CN 2-Naphthaleneacetamide,  $\alpha,\alpha$ -difluoro-5,6,7,8-tetrahydro-N-[4-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-58-2 ZCAPLUS

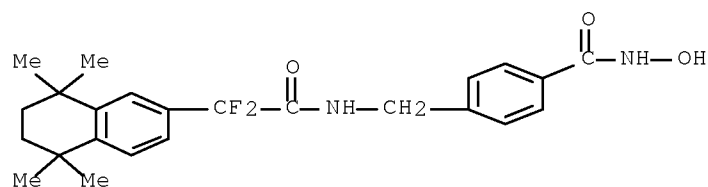
CN 2-Naphthaleneacetamide,  $\alpha,\alpha$ -difluoro-5,6,7,8-tetrahydro-N-[3-[(hydroxyamino)carbonyl]phenyl]-5,5,8,8-tetramethyl- (CA INDEX NAME)

10/581947



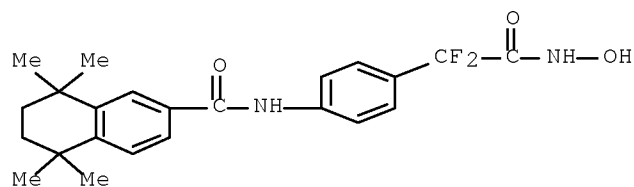
RN 853728-59-3 ZCAPLUS

CN 2-Naphthaleneacetamide,  $\alpha,\alpha$ -difluoro-5,6,7,8-tetrahydro-N-[[4-  
[(hydroxyamino)carbonyl]phenyl]methyl]-5,5,8,8-tetramethyl- (CA INDEX  
NAME)



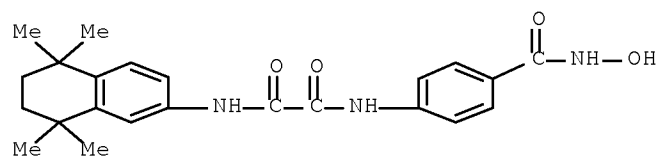
RN 853728-60-6 ZCAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[1,1-difluoro-2-(hydroxyamino)-2-oxoethyl]phenyl]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl- (CA INDEX NAME)



RN 853728-61-7 ZCAPLUS

CN    Ethanedi-*amide*, N1-[4-[(hydroxyamino)carbonyl]phenyl]-N2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

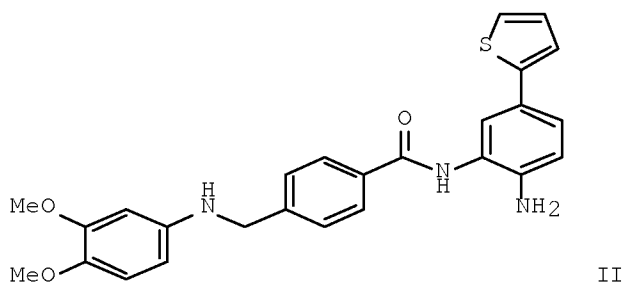
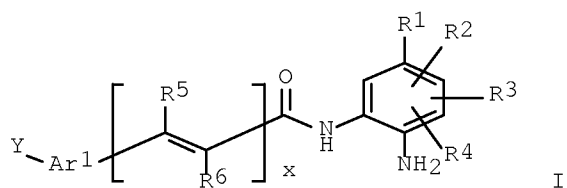
10/581947

L41 ANSWER 6 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:300395 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 142:355054  
 TITLE: Preparation of amide derivatives as inhibitors of histone deacetylase  
 INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana; Frechette, Sylvie; Vaisburg, Arkadii; Besterman, Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.  
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
 SOURCE: PCT Int. Appl., 559 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030705	A1	20050407	WO 2004-US31591	20040924
WO 2005030705	A9	20060420		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004276337	A1	20050407	AU 2004-276337	20040924
AU 2004276337	B2	20091112		
CA 2539117	A1	20050407	CA 2004-2539117	20040924
EP 1663953	A1	20060607	EP 2004-789074	20040924
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1882529	A	20061220	CN 2004-80034571	20040924
JP 2007506785	T	20070322	JP 2006-528279	20040924
CN 101445469	A	20090603	CN 2008-10096455	20040924
US 20080132459	A1	20080605	US 2006-574088	20060323
KR 2006065730	A	20060614	KR 2006-707812	20060421
JP 2008094847	A	20080424	JP 2007-281356	20071030
PRIORITY APPLN. INFO.:			US 2003-505884P	P 20030924
			US 2003-532973P	P 20031229
			US 2004-561082P	P 20040409
			CN 2004-80034571	A3 20040924
			JP 2006-528279	A3 20040924
			WO 2004-US31591	W 20040924

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:355054; MARPAT 142:355054  
 GI



AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused polycyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction. The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC<sub>50</sub> values in the range of below 1 up to 20  $\mu$ M. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

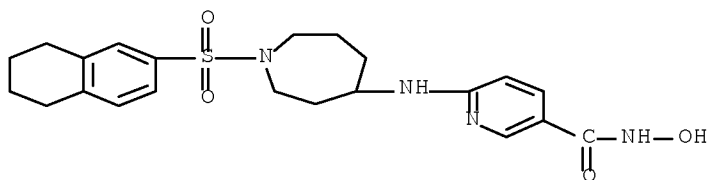
IT 604810-78-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 604810-78-8 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy- (CA INDEX NAME)

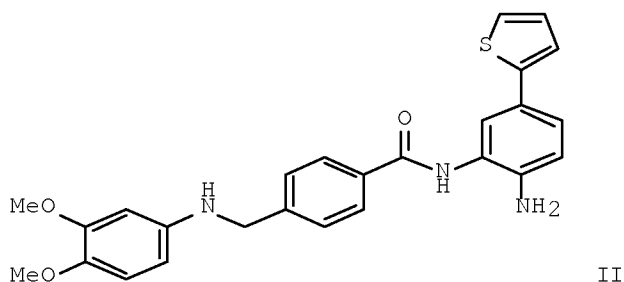
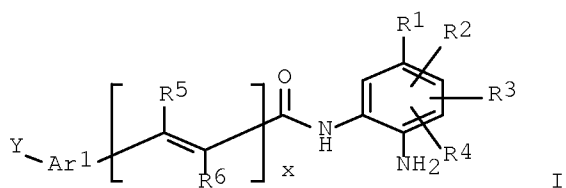


10/581947

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS  
RECORD (13 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 7 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:300394 ZCAPLUS Full-text  
DOCUMENT NUMBER: 142:373563  
TITLE: Preparation of amide derivatives as inhibitors of  
histone deacetylase  
INVENTOR(S): Moradei, Oscar; Paquin, Isabelle; Leit, Silvana;  
Frechette, Sylvie; Vaisburg, Arkadii; Besterman,  
Jeffrey M.; Tessier, Pierre; Mallais, Tammy C.  
PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
SOURCE: PCT Int. Appl., 389 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005030704	A1	20050407	WO 2004-US31590	20040924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 101445469	A	20090603	CN 2008-10096455	20040924
JP 2008094847	A	20080424	JP 2007-281356	20071030
PRIORITY APPLN. INFO.:			US 2003-505884P	P 20030924
			US 2003-532973P	P 20031229
			US 2004-561082P	P 20040409
			CN 2004-80034571	A3 20040924
			JP 2006-528279	A3 20040924
OTHER SOURCE(S):			CASREACT 142:373563; MARPAT 142:373563	
GI				



AB Title compds. I [Ar1 = (un)saturated-, (un)substituted-mono or fused polycyclic hydrocarbyl optionally containing 1-4 heteroatoms per ring; R1 = (un)substituted-mono-, -bi-, -tri-cyclic-aryl or -heteroaryl; R2, R3, and R4 independently = H, halo, amino, etc.; R5 and R6 independently = H, alkyl, aryl, etc.; x = 0-1; Y = any pharmaceutically acceptable chemical moiety consisting of 1 to 50 atoms with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histone deacetylase. Thus, e.g., II was prepared by Suzuki coupling of 2-bromo-2-nitro-phenylamine (preparation given) with 2-thiopheneboronic acid followed by carbonylation with 4-[3,4-dimethoxy-(phenylamino)-methyl]benzoic acid (preparation given) and subsequent reduction. The inhibitory capability of I towards antiproliferative activity of histone deacetylase enzyme was evaluated using 3-[4,5-dimethylthiazol-2-yl-2,5-diphenyltetrazolium] bromide (MTT) assay and it revealed that certain compds. of the invention had MTT IC<sub>50</sub> values in the range of below 1 up to 20  $\mu$ M. I as histone deacetylase inhibitors should prove useful in the treatment of diseases such as, but not limited to, cell proliferative disease, protozoal disease, and fungal disease.

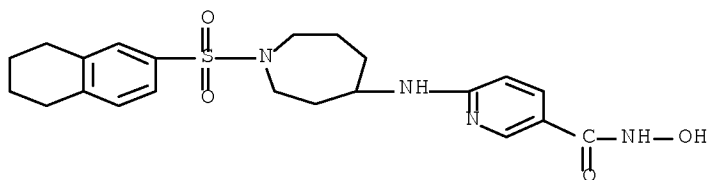
IT 604810-78-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as inhibitors of histone deacetylase)

RN 604810-78-8 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy- (CA INDEX NAME)

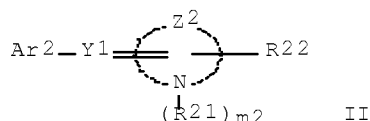
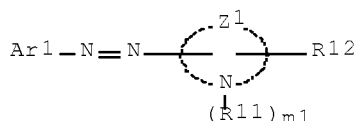


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 8 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:181621 ZCAPLUS Full-text  
DOCUMENT NUMBER: 142:264374  
TITLE: Semiconductor for photoelectric converter, the  
photoelectric converter, and solar cell  
INVENTOR(S): Ofuku, Koji; Otsu, Shinya; Kagawa, Nobuaki; Suzuki,  
Takashi  
PATENT ASSIGNEE(S): Konica Minolta Holdings, Inc., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 127 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005056697	A	20050303	JP 2003-286700	20030805
PRIORITY APPLN. INFO.:			JP 2003-286700	20030805
OTHER SOURCE(S):	MARPAT 142:264374			

GI



AB The semiconductor contains a pigment I, where Ar1 = aryl or heterocyclic group, Z1 = nonmetal atom groups necessary for forming a 5- or 6-membered N containing heterocyclic ring, 1 of R11 and R12 is H or a substituent and the other is -J1-D1, J1 = bivalent connection group containing  $\geq 1$  C atom, D1 = pigment part containing chromophore, m1 = 0 or 1 integer, R12 = -J1-D1 when m1 = 0, and the pigment contains  $\geq 1$  carboxyl group in its mol.; or II, where Ar1 = aryl or heterocyclic group, Y1 = -N: or -CH:, Z2 = nonmetal atom groups necessary for forming a 5- or 6-membered N containing heterocyclic ring, 1 of R21 and R22 is H or a substituent and the other is -J2-D2, J2 = bivalent connection group containing  $\geq 1$  C atom, D2 = pigment part containing chromophore, m2 = 0 or 1, R22 = -J2-D2 when m2 = 0, and the pigment contains  $\geq 1$  carboxyl group in its mol.

IT 846007-08-7

RL: MOA (Modifier or additive use); USES (Uses)  
(structure of semiconductor sensitizing pigments for photoelec.  
converters and photoelectrochem. cells)

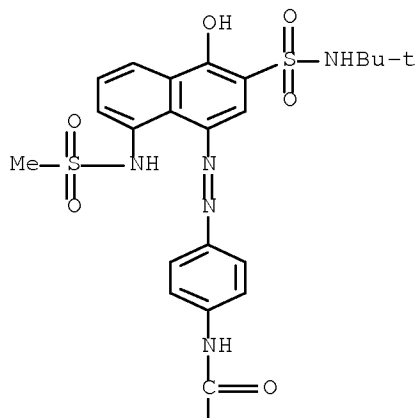
RN 846007-08-7 ZCAPLUS

CN Benzoic acid, 4-[2-[[[4-[[3-[[1,1-dimethylethyl)amino]sulfonyl]-4-hydroxy-8-[(methylsulfonyl)amino]-1-naphthalenyl]azo]phenyl]amino]carbonyl]-4-[(2,3,6,7-tetrahydro-8-methyl-1H,5H-benzo[ij]quinolizin-9-yl)imino]-4H-

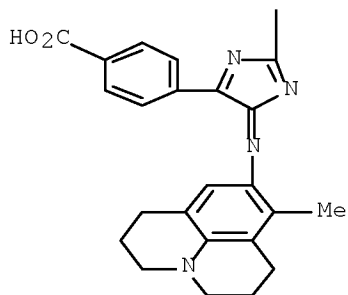
10/581947

imidazol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

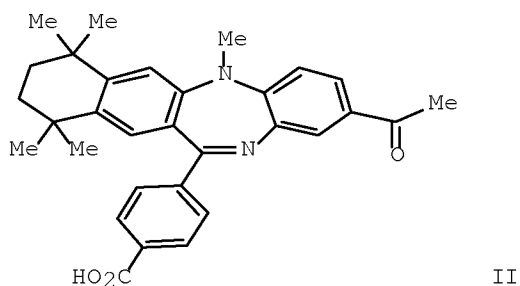
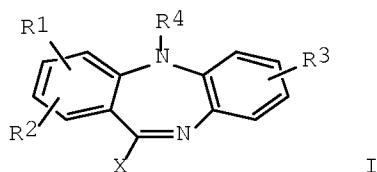


L41 ANSWER 9 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:878381 ZCAPLUS Full-text  
DOCUMENT NUMBER: 141:350204  
TITLE: Preparation of 11-phenyldibenzodiazepine derivatives  
as RXR-antagonists  
INVENTOR(S): Sakaki, Junichi; Konishi, Kazuhide; Kishida, Masashi;  
Kimura, Masaaki; Uchiyama, Hidefumi; Mitani, Hironobu  
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:



10/581947

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089916	A1	20041021	WO 2004-EP3806	20040408
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004228357	A1	20041021	AU 2004-228357	20040408
AU 2004228357	B2	20080911		
CA 2521337	A1	20041021	CA 2004-2521337	20040408
EP 1618096	A1	20060125	EP 2004-726490	20040408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009365	A	20060425	BR 2004-9365	20040408
CN 1771232	A	20060510	CN 2004-80009666	20040408
JP 2006522767	T	20061005	JP 2006-505085	20040408
IN 2005CN02560	A	20070831	IN 2005-CN2560	20051006
IN 234300	A1	20090612		
MX 2005010861	A	20051214	MX 2005-10861	20051007
US 20070043029	A1	20070222	US 2006-550776	20060620
IN 2009CN00598	A	20090605	IN 2009-CN598	20090202
PRIORITY APPLN. INFO.:			GB 2003-8335	A 20030410
			WO 2004-EP3806	W 20040408
			IN 2005-CN2560	A3 20051006
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 141:350204; MARPAT 141:350204				
GI				



AB Title compds. I [R1-2 = H, alkyl, etc.; R3 = CN, acyl, H, etc.; R4 = alk(en/yn)yl, alkanoyl, etc.; X = substituted phenyl] are prepared For instance, II is prepared in 6 steps from (2-nitrophenyl)(5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalen-2-yl)amine (prior art). I are exhibit RXR-antagonist efficacy and are useful in the treatment of diabetes, complication of diabetes such as retinopathy, nephropathy, neuropathy, hyperlipidemia, obesity, dyslipidemia, and osteoporosis.

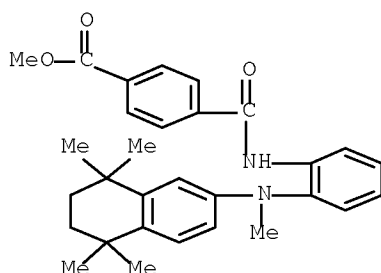
IT 188844-78-2P 777074-61-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 11-phenyldibenzodiazepine derivs. as RXR-antagonists for treatment of, e.g., diabetes)

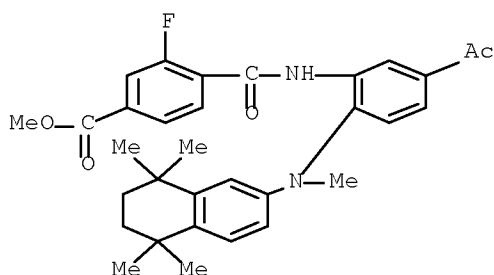
RN 188844-78-2 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 777074-61-0 ZCAPLUS

CN Benzoic acid, 4-[[[5-acetyl-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-3-fluoro-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 10 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:60311 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:128275

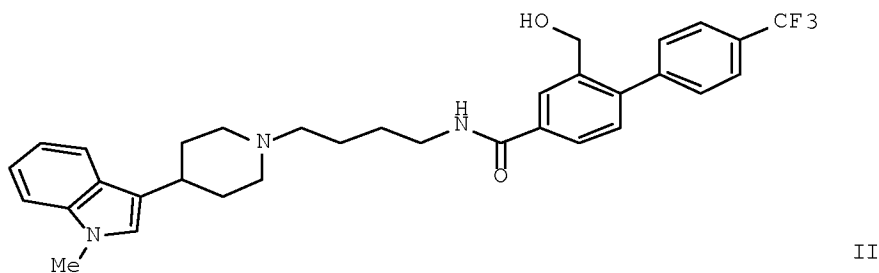
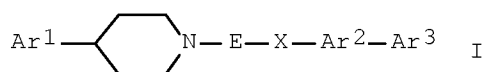
TITLE: Preparation of arylpiperidines as inducers of

LDL-receptor expression for the treatment of  
hypercholesterolemia

INVENTOR(S): Bouillot, Anne Marie Jeanne; Dumaitre, Bernard Andre  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 88 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006922	A1	20040122	WO 2003-EP7612	20030711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003246694	A1	20040202	AU 2003-246694	20030711
EP 1539158	A1	20050615	EP 2003-763846	20030711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060052384	A1	20060309	US 2005-520799	20050110
PRIORITY APPLN. INFO.:			GB 2002-16224	A 20020712
			WO 2003-EP7612	W 20030711
OTHER SOURCE(S):			MARPAT 140:128275	
GI				



AB The title compds. [I; Ar<sup>1</sup> = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Ar<sup>2</sup> = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; Ar<sup>3</sup> = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; E = alkylene; X = CONRa, NRaCO; Ra = alkyl, H] which up-regulate LDL receptor (LDL-r) expression, were prepared E.g., a multi-step synthesis of II, was given. All exemplified compds. I induced luciferase activity having EC<sub>50</sub>

10/581947

values in the range 1 nM to 300 nM. The pharmaceutical composition comprising the title compound I is claimed.

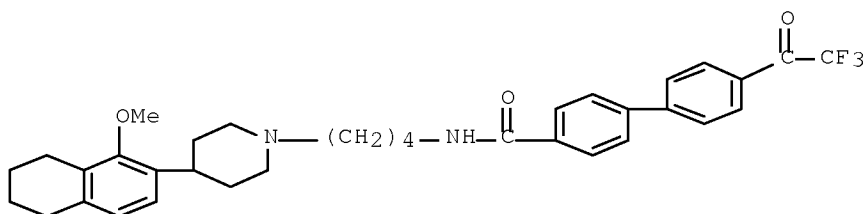
IT 649557-05-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpiperidines as inducers of LDL-receptor expression for the treatment of hypercholesterolemia)

RN 649557-05-1 ZCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[4-[4-(5,6,7,8-tetrahydro-1-methoxy-2-naphthalenyl)-1-piperidinyl]butyl]-4'-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 11 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:972039 ZCAPLUS Full-text

DOCUMENT NUMBER: 140:27669

TITLE: Preparation of tetralins as new ligands inhibiting the RAR receptors, and their use in human or veterinary medicine and in cosmetics for treating skin diseases and irritations

INVENTOR(S): Biadatti, Thibaud; Collette, Pascal

PATENT ASSIGNEE(S): Galderma Research & Development, S.N.C., Fr.

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101945	A1	20031211	WO 2003-EP5555	20030527
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				

10/581947

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AU 2003273556	A1	20031219	AU 2003-273556	20030527
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PRIORITY APPLN. INFO.:			FR 2002-6851	A 20020604
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			WO 2003-EP5555	W 20030527
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):			MARPAT 140:27669	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Tetralins I [wherein R1 = CH:CHY2COR7, C.tplbond.CY2COR7, Y1COR8; Y2 = (halo or alkyl or hydroxy or alkoxy)phenyl; R7 = OH and derivs., NH2 and derivs.; Y1 = 2-naphthyl; R8 = OH and derivs., NH2 and derivs.; R2, R3 = H, alkyl; R4, R5 = H, alkyl, or R4R5 = oxo; R6 = (un)substituted Ph, naphthyl, pyridinyl, pyrimidinyl, thiophenyl; X = Se, CHOH, CH2, C:O; Q = O, S, CH2, NH, NR9; R9 = alkyl; their optical isomers, and pharmaceutical acceptable salts] were prepared as inhibitors of RAR receptors for use in human or veterinary medicine, and in cosmetic compns. For example, II was prepared by O-alkylation of III with 4-methylbenzyl bromide, addition of ethynylmagnesium bromide to the aldehyde intermediate, and Sonogashira coupling of the resulting propargylic alc. with 4-iodobenzoic acid. Selected I showed a Kd app value of  $\leq 100$  nM and an IC50 value of  $\leq 100$  nM as inhibitors of RAR receptors in a transactivation test. Thus, I and their pharmaceutical and cosmetic compns. are useful for treating skin diseases and irritations (no data).

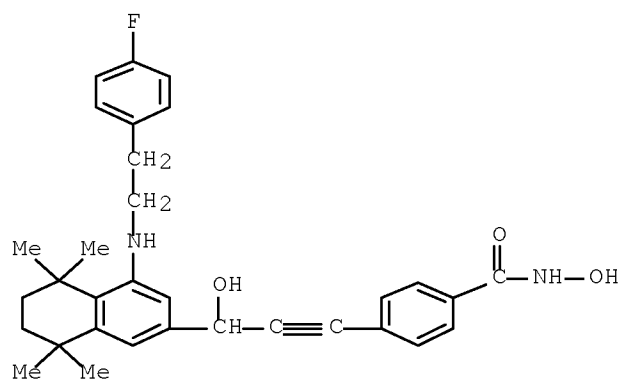
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628740-22-7P 628740-25-0P 628740-28-3P

RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(RAR receptor inhibitor; preparation of tetralins as inhibitors of RAR receptors)

RN 628739-86-6 ZCAPLUS

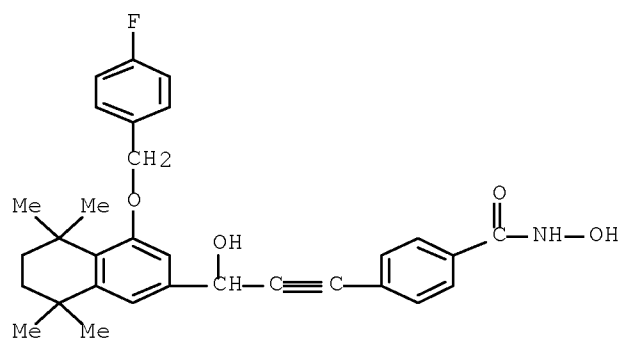
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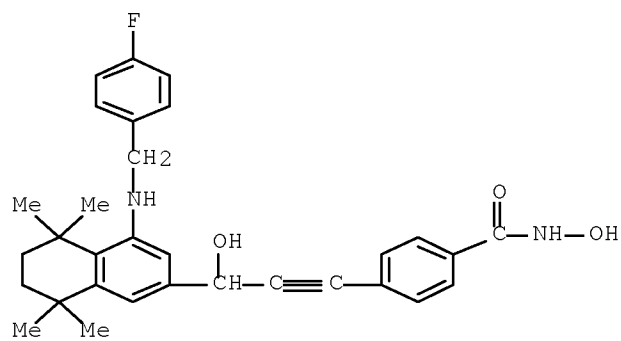
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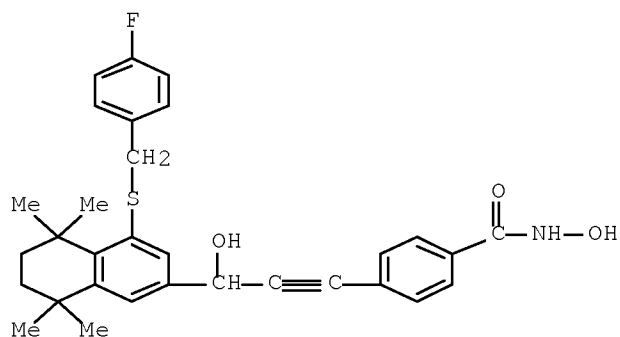
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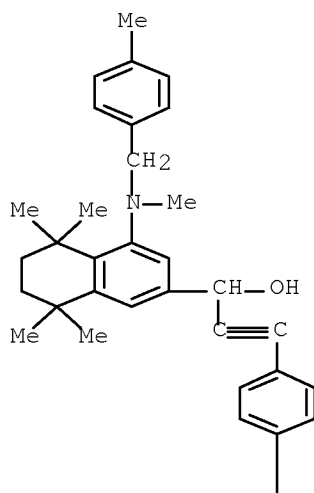
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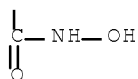


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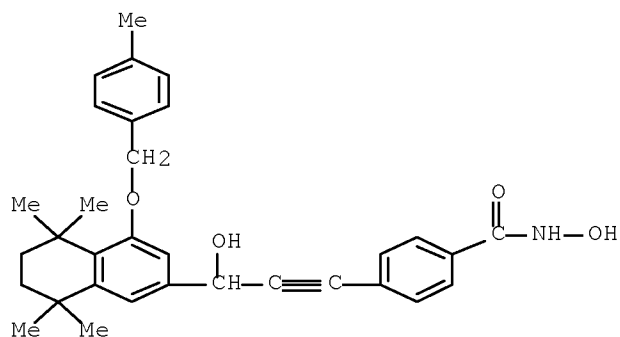


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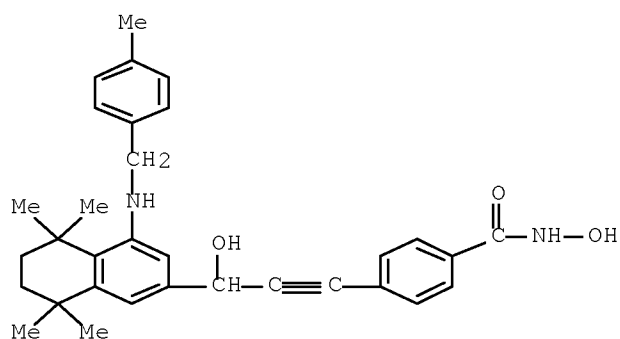
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(CA INDEX NAME)



RN 628740-09-0 ZCAPLUS

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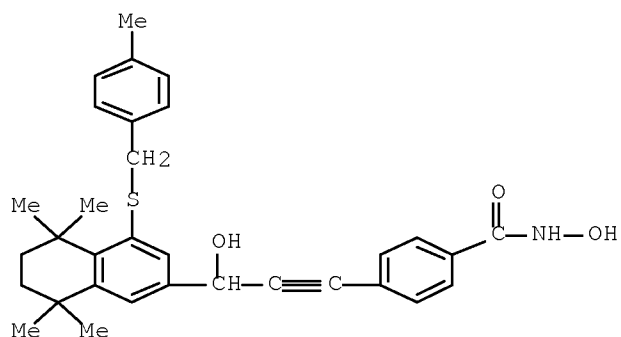


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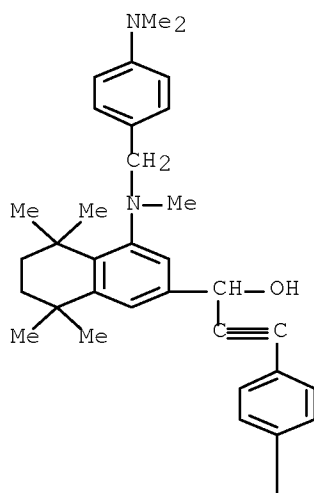
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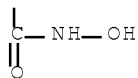
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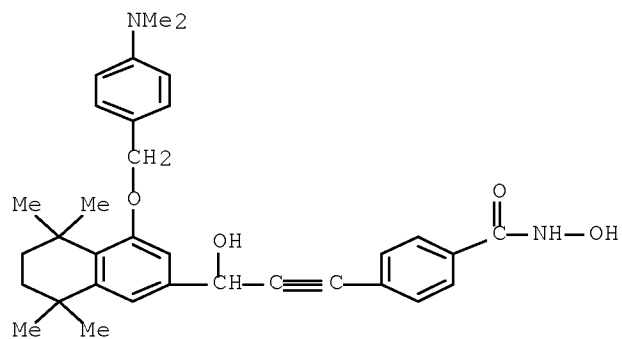
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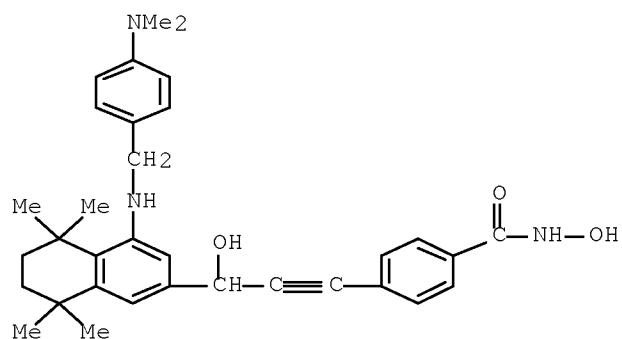
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10/581947



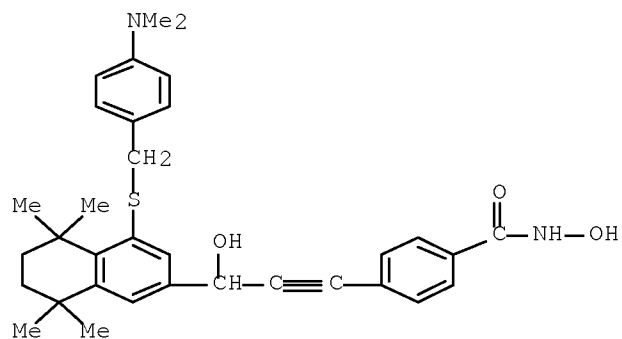
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RN 628740-28-3 ZCAPLUS

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10/581947

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 12 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:950188 ZCAPLUS Full-text  
DOCUMENT NUMBER: 140:16571  
TITLE: Preparation of tetralins as new ligands inhibiting the  
RAR receptors, and their use in human or veterinary  
medicine and in cosmetics for treating skin diseases  
and irritations  
INVENTOR(S): Biadatti, Thibaud; Collette, Pascal  
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.  
SOURCE: Fr. Demande, 63 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2840300	A1	20031205	FR 2002-6851	20020604
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JP 2006511444	T	20060406	JP 2004-509639	20030527
AT 398606	T	20080715	AT 2003-740153	20030527
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US 20050148670	A1	20050707	US 2004-991430	20041119
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PRIORITY APPLN. INFO.:			FR 2002-6851	A 20020604
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:16571

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = CH:CHY2COR7, C.tplbond.CY2COR7, Y1COR8; Y2 = (halo or alkyl or hydroxy or alkoxy)phenyl; R7 = OH and derivs., NH2 and derivs.; Y1 = 2-naphthyl; R8 = OH and derivs., NH2 and derivs.; R2, R3 = H, alkyl; R4, R5 = H, alkyl, or R4R5 = oxo; R6 = (un)substituted Ph, naphthyl, pyridinyl, pyrimidinyl, thiophenyl; X = Se, CHOH, CH2, C:O; Q = O, S, CH2, NH, NR9; R9 = alkyl; their optical isomers, and pharmaceutical acceptable salts] were prepared as inhibitors of RAR receptors for use in human or veterinary medicine, and in cosmetic compns. For example, II was prepared by O-alkylation of III with 4-methylbenzyl bromide, addition of ethynylmagnesium bromide to the aldehyde intermediate, and Sonogashira coupling of the resulting propargylic alc. with 4-iodobenzoic acid. Selected I showed a Kd app value of  $\leq 100$  nM and an IC50 value of  $\leq 100$  nM as inhibitors of RAR receptors in a transactivation test. Thus, I and their pharmaceutical and cosmetic compns. are useful for treating skin diseases and irritations (no data).

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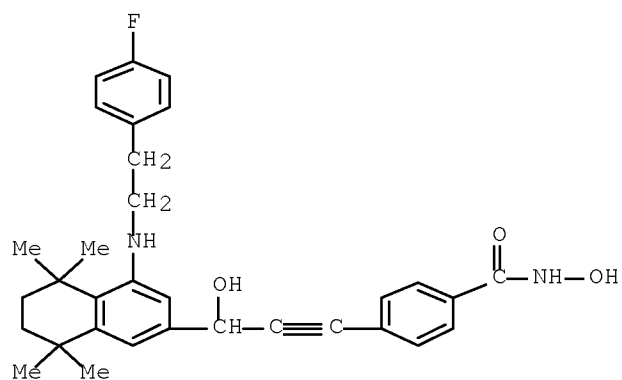
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(RAR receptor inhibitor; preparation of tetralins as inhibitors of RAR receptors)

RN 628739-86-6 ZCAPLUS

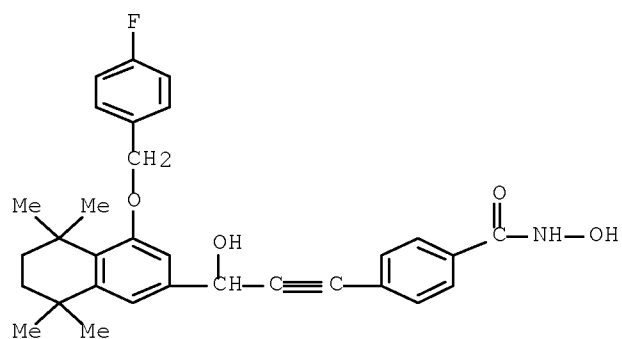
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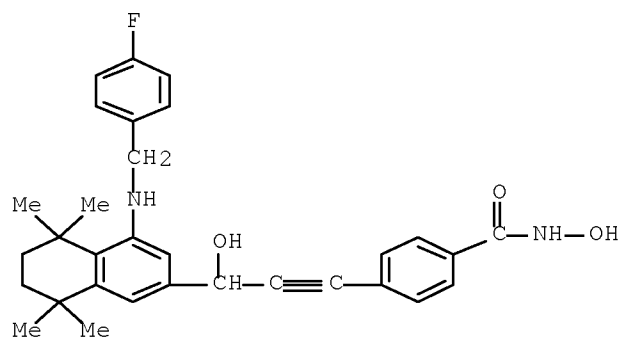
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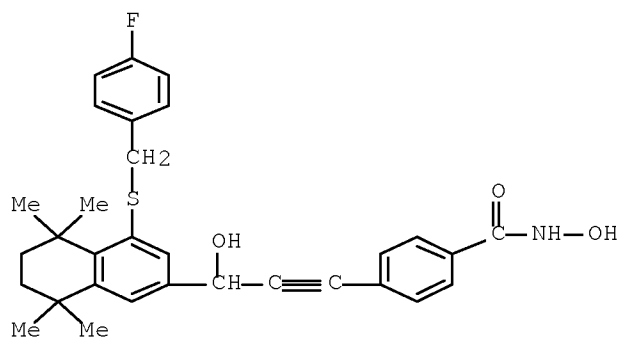
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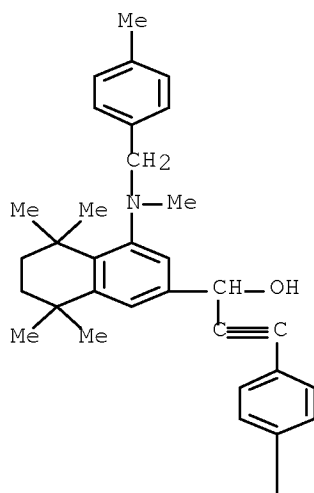
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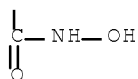


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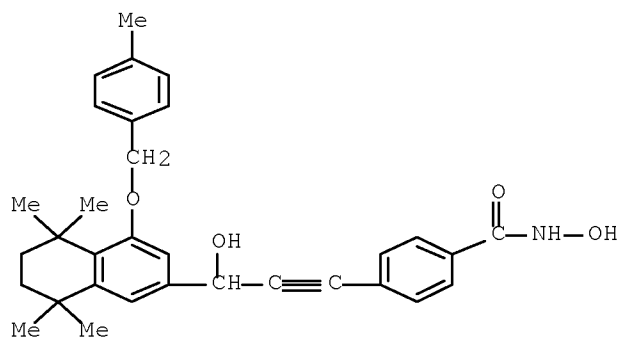


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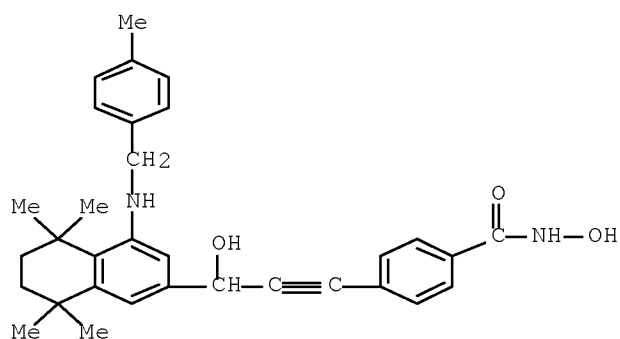
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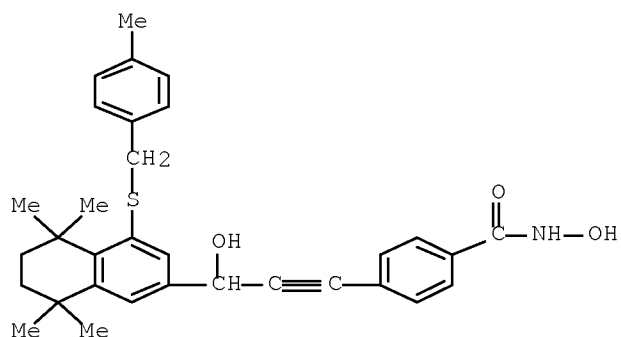
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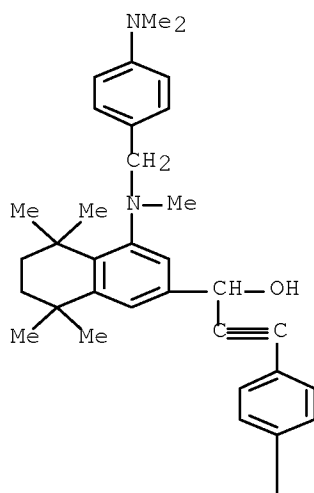
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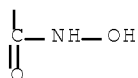
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PAGE 2-A

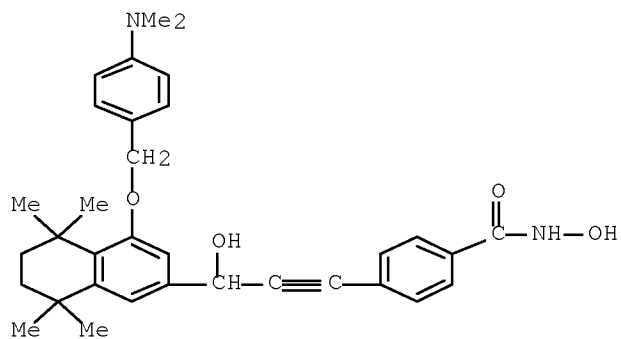


RN 628740-22-7 ZCAPLUS

CN Benzamide, 4-[3-[4-[[4-(dimethylamino)phenyl]methoxy]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)

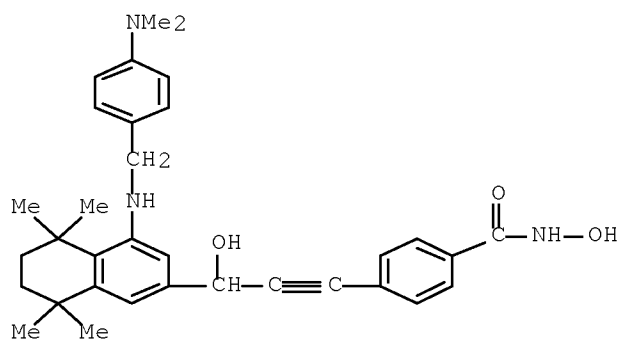


10/581947



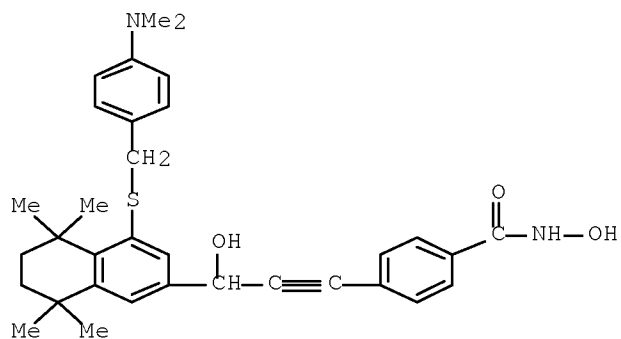
RN 628740-25-0 ZCAPLUS

CN Benzamide, 4-[3-[4-[[4-(dimethylamino)phenyl]methyl]amino]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 628740-28-3 ZCAPLUS

CN Benzamide, 4-[3-[4-[[4-(dimethylamino)phenyl]methyl]thio]-5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]-3-hydroxy-1-propyn-1-yl]-N-hydroxy- (CA INDEX NAME)



10/581947

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 13 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2003:737750 ZCAPLUS Full-text  
DOCUMENT NUMBER: 139:276910  
TITLE: Preparation of pyridinamine and pyrimidinamine  
derivatives as novel inhibitors of histone deacetylase  
INVENTOR(S): Angibaud, Patrick Rene; Van Emelen, Kristof; Poncelet,  
Virginie Sophie; Roux, Bruno  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 8  
PATENT INFORMATION:

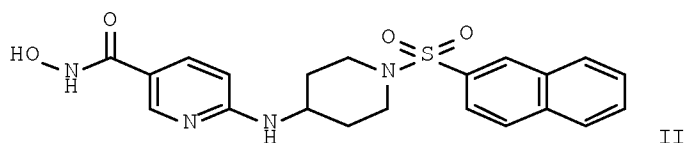
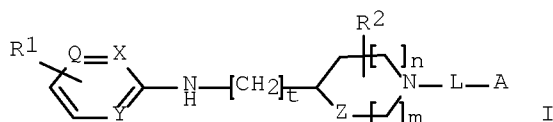
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076430	A1	20030918	WO 2003-EP2513	20030311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476296	A1	20030918	CA 2003-2476296	20030311
AU 2003212337	A1	20030922	AU 2003-212337	20030311
AU 2003212337	B2	20090611		
EP 1485370	A1	20041215	EP 2003-708216	20030311
EP 1485370	B1	20090304		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1639125	A	20050713	CN 2003-805675	20030311
CN 100519527	C	20090729		
CN 1642551	A	20050720	CN 2003-805833	20030311
JP 2005525381	T	20050825	JP 2003-574647	20030311
CN 101007803	A	20070801	CN 2007-10005212	20030311
AT 425152	T	20090315	AT 2003-708214	20030311
AT 424395	T	20090315	AT 2003-708216	20030311
CN 101450934	A	20090610	CN 2008-10170423	20030311
ES 2322252	T3	20090618	ES 2003-708216	20030311
ES 2322950	T3	20090702	ES 2003-708214	20030311
ZA 2004007237	A	20050928	ZA 2004-7237	20040909
ZA 2004007235	A	20051004	ZA 2004-7235	20040909
ZA 2004007232	A	20051006	ZA 2004-7232	20040909
ZA 2004007233	A	20051006	ZA 2004-7233	20040909
ZA 2004007234	A	20051006	ZA 2004-7234	20040909
ZA 2004007236	A	20051006	ZA 2004-7236	20040909
US 20050119250	A1	20050602	US 2004-507784	20040913
US 7541369	B2	20090602		
US 20090227558	A1	20090910	US 2009-429838	20090424
PRIORITY APPLN. INFO.:			US 2002-363799P	P 20020313

US 2002-420989P	P	20021024
WO 2002-EP14833	A	20021223
CN 2003-805921	A3	20030311
CN 2003-805952	A3	20030311
WO 2003-EP2513	W	20030311
US 2004-507784	A3	20040913

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:276910

GI



AB The title compds. [I; n, m = 0-3; t = 0-1; Q, X, Y = N, C; Z = CH<sub>2</sub>, O; R<sub>1</sub> = CONR<sub>3</sub>R<sub>4</sub>, NHCOR<sub>7</sub>, CO(alkanediyl)SR<sub>7</sub>, etc. (wherein R<sub>3</sub>, R<sub>4</sub> = H, OH, alkyl, etc.; R<sub>7</sub> = H, alkyl, alkylcarbonyl, etc.); R<sub>2</sub> = H, OH, NH<sub>2</sub>, etc.; L = alkanediyl, CO, SO<sub>2</sub>, alkanediyl substituted with Ph; A = (un)substituted Ph, cyclohexyl, pyridyl, etc.], having histone deacetylase inhibiting enzymic activity, were prepared and formulated. E.g., a multi-step synthesis of II which showed pIC<sub>50</sub> of 7.676 against HDAC, was given.

IT 604810-79-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridinamine and pyrimidinamine derivs. as novel inhibitors of histone deacetylase)

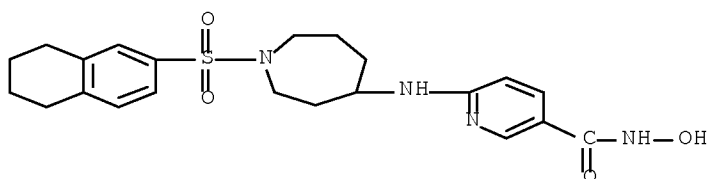
RN 604810-79-9 ZCAPLUS

CN 3-Pyridinecarboxamide, 6-[[hexahydro-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)sulfonyl]-1H-azepin-4-yl]amino]-N-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 604810-78-8

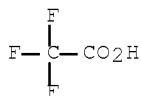
CMF C22 H28 N4 O4 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 14 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:591288 ZCAPLUS Full-text

DOCUMENT NUMBER: 139:148489

TITLE: Cytokines and retinoic acid receptor antagonists for expansion of renewable stem cells and adoptive immunotherapy

INVENTOR(S): Peled, Tony; Treves, Avi; Rosen, Oren

PATENT ASSIGNEE(S): Gamida-Cell Ltd., Israel

SOURCE: PCT Int. Appl., 316 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062369	A2	20030731	WO 2003-IL64	20030126
WO 2003062369	A3	20060330		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2474344	A1	20030731	CA 2003-2474344	20030126
EP 1576089	A2	20050921	EP 2003-706871	20030126
EP 1576089	B1	20100414		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR, BG, CZ, EE, HU, SK			
JP 2005528088	T	20050922	JP 2003-562237	20030126
AU 2003208577	B2	20080710	AU 2003-208577	20030126
AU 2003208577	B9	20080731		
AT 464372	T	20100415	AT 2003-706871	20030126

10/581947

CA 2479679	A1	20030925	CA 2003-2479679	20030318
WO 2003078567	A2	20030925	WO 2003-IL235	20030318
WO 2003078567	A3	20040610		
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AU 2003214614	A1	20030929	AU 2003-214614	20030318
AU 2003214614	B2	20081120		
EP 1485464	A2	20041215	EP 2003-710194	20030318
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JP 2005520511	T	20050714	JP 2003-576562	20030318
CA 2495824	A1	20040226	CA 2003-2495824	20030817
WO 2004016731	A2	20040226	WO 2003-IL681	20030817
WO 2004016731	A3	20040910		
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AU 2003250519	A1	20040303	AU 2003-250519	20030817
EP 1534820	A2	20050601	EP 2003-787995	20030817
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BR 2003014402	A	20050719	BR 2003-14402	20030817
JP 2006508692	T	20060316	JP 2005-502022	20030817
US 20050008624	A1	20050113	US 2004-774843	20040209
ZA 2004005901	A	20060426	ZA 2004-5901	20040723
AU 2005200679	A1	20050324	AU 2005-200679	20050216
AU 2005200679	B2	20081120		
MX 2005001992	A	20050803	MX 2005-1992	20050218
ZA 2005002111	A	20050914	ZA 2005-2111	20050314
US 20050220774	A1	20051006	US 2005-508244	20050519
AU 2008229689	A1	20081030	AU 2008-229689	20080929
AU 2009200079	A1	20090205	AU 2009-200079	20090108
PRIORITY APPLN. INFO.:			US 2002-350360P	P 20020124
			US 2002-376183P	P 20020430
			US 2002-404137P	P 20020819
			IL 2002-152904	A 20021117
			US 2002-364590P	P 20020318
			US 2002-404145P	P 20020819
			WO 2003-IL62	A 20030123
			AU 2003-208577	A3 20030126
			WO 2003-IL64	W 20030126
			US 2003-452545P	P 20030307
			AU 2003-214614	A3 20030318
			WO 2003-IL235	W 20030318
			AU 2003-250519	A3 20030817

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Disclosed are methods for ex vivo and in vivo expansion of renewable stem cells for transplantation or implantation. The stem cell expansion is achieved by stimulating proliferation and inhibiting differentiation of hematopoietic stem cells. The proliferation of stem cells is stimulated by cytokine such as stem cell factor, FLT3 ligand, interleukin 6, interleukin 1, interleukin 2, interleukin 10, interleukin 12, tumor necrosis factor  $\alpha$ , thrombopoietin, interleukin 3, G-CSF, M-CSF, GM-CSF and erythropoietin, FGF, EGF, NGF, VEGF, LIF, and hepatocyte growth factor. The expression of CD38 and differentiation of stem cells is inhibited by antibodies or antagonists of retinoic acid receptor, retinoid X receptor, and vitamin D receptor.

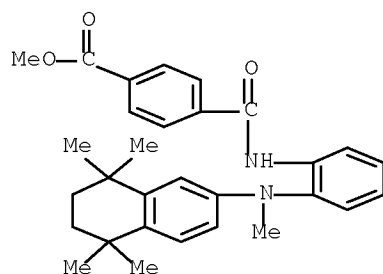
IT 188844-78-2P 569680-32-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(cytokines and retinoic acid receptor antagonists for expansion of renewable stem cells and adoptive immunotherapy)

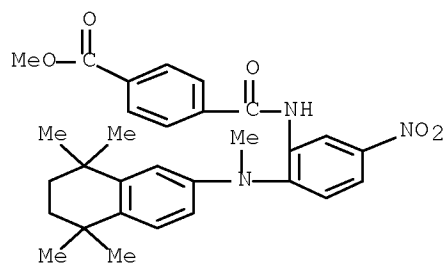
RN 188844-78-2 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 569680-32-6 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]-5-nitrophenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

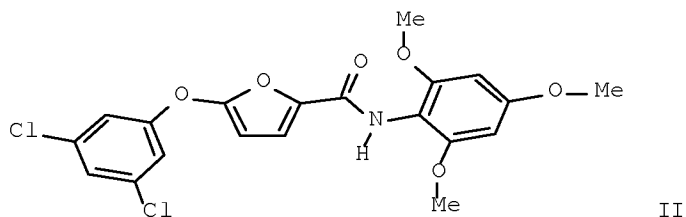
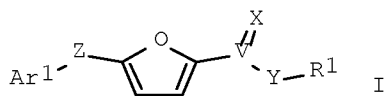
L41 ANSWER 15 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:946059 ZCAPLUS [Full-text](#)

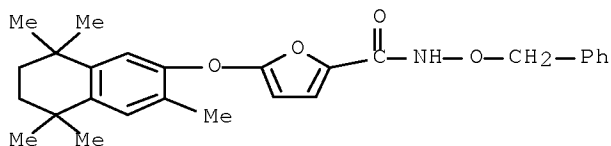
10/581947

DOCUMENT NUMBER: 138:24635  
 TITLE: Non-peptide furanyl GnRH agents, pharmaceutical compositions and methods for their use, and processes for preparing them and their intermediates  
 INVENTOR(S): Sun, Eric T.; Anderson, Mark B.; Anderes, Kenna L.; Christie, Lance C.; Do, Quyen-Quyen T.; Feng, Jun; Goetzen, Thomas; Hong, Yufeng; Iatsimirskaia, Eugenia A.; Li, Haitao; Luthin, David R.; Paderes, Genevieve D.; Pathak, Ved P.; Rajapakse, Ranjan Jagath; Shackelford, Scott; Tompkins, Eileen Valenzuela; Truesdale, Larry K.; Vazir, Haresh  
 PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 243 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098363	A2	20021212	WO 2002-US17846	20020605
WO 2002098363	A3	20030320		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2449843	A1	20021212	CA 2002-2449843	20020605
AU 2002312348	A1	20021216	AU 2002-312348	20020605
EP 1401427	A2	20040331	EP 2002-739712	20020605
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002010191	A	20040406	BR 2002-10191	20020605
JP 2005501006	T	20050113	JP 2003-501405	20020605
MX 2003011002	A	20041028	MX 2003-11002	20031128
PRIORITY APPLN. INFO.:			US 2001-295812P	P 20010606
			US 2001-301868P	P 20010629
			WO 2002-US17846	W 20020605
OTHER SOURCE(S):	MARPAT 138:24635			
GI				



- AB Non-peptide furanyl GnRH agents I [Ar1 = (un)substituted fused or spiro polycyclic cycloalkyl, heterocycloalkyl, aryl or heteroaryl group; R1 = (un)substituted aryl, cycloalkyl, heterocycloalkyl, alkyl, alkenyl, etc.; Z = O, S, SO<sub>2</sub>, or NR<sub>2</sub>; V = SO, S, or C; X = O, N, or S; Y = O, or NR<sub>2</sub>; R<sub>2</sub> = H, alkyl or alkoxy] are prepared and disclosed as being capable of inhibiting the effect of gonadotropin-releasing hormone. Thus, II was prepared by coupling of potassium salt of 3,5-dichlorophenol with Et bromofuranylcaboxylate and subsequent amidation with 2,4,6-trimethoxyaniline. The binding inhibition for I, express as K<sub>i</sub> (nM), were determined against human, mouse and rat receptors (values ranged from 0.1 - >10,000). Such compds. and their pharmaceutically acceptable salts, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated.
- IT 478008-08-1P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of non-peptide furanyl GnRH agents)
- RN 478008-08-1 ZCAPLUS
- CN 2-Furancarboxamide, N-(phenylmethoxy)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)oxy]- (CA INDEX NAME)



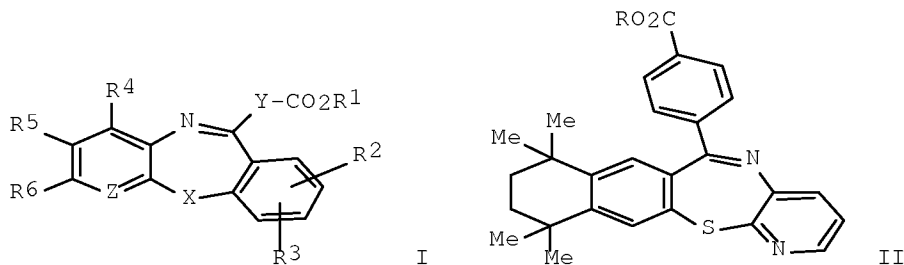
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L41 ANSWER 16 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:539685 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 137:93779  
 TITLE: Preparation of  
 naphtho[2,3-f]pyrido[2,3-b][1,4]thiazepine and



benzo[b]naphtho[2,3-f][1,4]thiazepine derivatives as  
retinoid agonists  
INVENTOR(S): Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko  
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan  
SOURCE: PCT Int. Appl., 39 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055525	A1	20020718	WO 2002-JP81	20020110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002219580	A1	20020724	AU 2002-219580	20020110
JP 4121853	B2	20080723	JP 2002-556194	20020110
PRIORITY APPLN. INFO.:			JP 2001-4992	A 20010112
			WO 2002-JP81	W 20020110
OTHER SOURCE(S):		MARPAT 137:93779		
GI				



AB Compds. represented by the general formula (I) or salts thereof [wherein R1 = H, C1-6 alkyl; R2, R3 = H, C1-6 alkyl; or R2 and R3 together with the carbon atoms on the benzene ring to which they are bonded form a 5- or 6-membered ring; R4, R5, R6 = H, halo, C1-6 alkyl, C1-6 haloalkyl; Y = phenylene, pyridinediyl; X = S or N(R7) (wherein R7 = H, C1-6 alkyl); Z = CR8 (wherein R8 = H, halogeno, C1-6 alkyl, C1-6 haloalkyl) or N] are prepared. These compds. have an ability to potentiate the physiol. activities of nuclear receptor ligands such as retinoic acid or retinoids and are useful for the prevention and/or treatment of vitamin A deficiency, keratosis of epithelial tissue, psoriasis, allergies, immune diseases such as rheumatism, bone diseases, leukemia, diabetes, and cancer. They also potentiate the physiol activities of steroids, vitamin D compds. such as vitamin D3, and thyroxine which

manifest the physiolo. activities by binding to receptors belonging to inner receptor super-family present in cell nucleus. Thus, treatment of 5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalene-2-thiol with NaH in DMF at room temperature for 1 h followed thioetherification with 2-chloro-3-nitropyridine at room temperature for 2 h gave 3-nitro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2-ylthio)pyridine which underwent reduction with Fe/HCl in aqueous ethanol to 3-amino-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2-ylthio)pyridine followed by amidation with 4-methoxycarbonylbenzoyl chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> to give N-[2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethylnaphthalen-2-ylthio)pyridin-3-yl]-4-methoxycarbonylbenzamide (II). Cyclization of II in polyphosphoric acid at 120° for 1 h gave naphtho[2,3-f]pyrido[2,3-b][1,4]thiazepine derivative (III; R = Me) which was hydrolyzed by a mixture of 2 N aqueous NaOH, THF, and MeOH and acidified with 2 N aqueous HCl to give III (R = H). Although III (R = H) showed the induction of cell differentiation in human leukemia HL-60 cells by 0.8, 0.8, and 0.4% at 10<sup>-8</sup>, 10<sup>-7</sup>, and 10<sup>-6</sup> M, resp., when tested alone, but it showed the cell differentiation induction ratio of 24, 23, 45, and 88% at 10<sup>-10</sup>, 10<sup>-9</sup>, 10<sup>-8</sup>, and 10<sup>-7</sup> M, resp., in the presence of 10<sup>-10</sup> M Am80, i.e. 4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbamoyl]benzoic acid, vs. 13.5% when Am80 was tested alone at 10<sup>-10</sup> M.

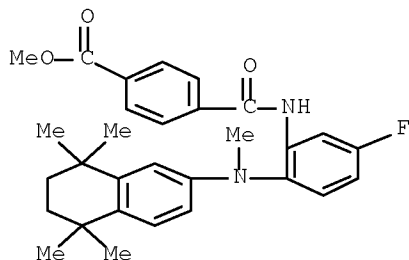
IT 442691-40-9P 442691-41-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of naphtho[f]pyrido[b][1,4]thiazepine and benzo[b]naphtho[f][1,4]thiazepine derivs. as retinoid agonists for prevention and/treatment of diseases)

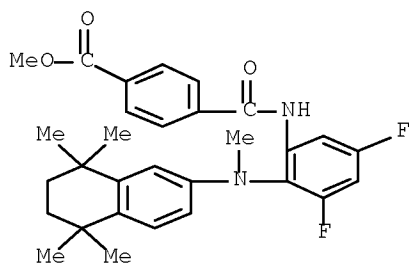
RN 442691-40-9 ZCAPLUS

CN Benzoic acid, 4-[[[5-fluoro-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 442691-41-0 ZCAPLUS

CN Benzoic acid, 4-[[[3,5-difluoro-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

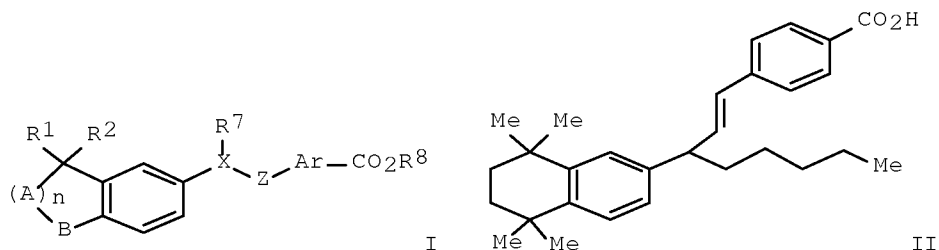
L41 ANSWER 17 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:816625 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 135:358070  
 TITLE: Preparation of RAR selective retinoid agonists for pharmaceutical use  
 INVENTOR(S): Belloni, Paula Nanette; Jolidon, Synese; Klaus, Michael; Lapierre, Jean-Marc  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083438	A2	20011108	WO 2001-EP4554	20010423
WO 2001083438	A3	20020613		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2407189	A1	20011108	CA 2001-2407189	20010423
AU 2001068977	A	20011112	AU 2001-68977	20010423
US 20020026060	A1	20020228	US 2001-840486	20010423
US 6603012	B2	20030805		
EP 1280757	A2	20030205	EP 2001-947234	20010423
EP 1280757	B1	20050817		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001010499	A	20030401	BR 2001-10499	20010423
JP 2003531889	T	20031028	JP 2001-580867	20010423
JP 3785094	B2	20060614		
AT 302179	T	20050915	AT 2001-947234	20010423
PT 1280757	E	20051130	PT 2001-947234	20010423
ES 2247142	T3	20060301	ES 2001-947234	20010423
AU 2001268977	B2	20060727	AU 2001-268977	20010423
CN 1293034	C	20070103	CN 2001-808914	20010423

10/581947

ZA 2002008368	A	20040126	ZA 2002-8368	20021017
MX 2002010747	A	20030310	MX 2002-10747	20021031
PRIORITY APPLN. INFO.:			EP 2000-109346	A 20000502
			WO 2001-EP4554	W 20010423

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 135:358070  
 GI

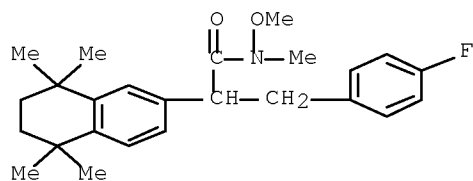


AB Retinoid agonists, such as I [R1, R2 = H, alkyl; A = C(R5R6), O; n = 1-3; B = C(R3R4), O, S(O)m, N-alkyl, m = 01-2; X = CR7', N; R3-R6= H, alkyl; R7 = R7' = H, alkyl, alkenyl, alkoxy, alkoxyalkyl, phenyloxy; R7R7' = (CH2)p; p = 2-6; Z = COO, OCO, CH2-CH2, CH=CH, C.tplbond.C, CH2O, CH2S, etc.; Ar = (un)substituted Ph, heteroaryl; R8 = H, alkyl, benzyl], and pharmaceutically active salts, were prepared for the treatment of emphysema and associated pulmonary diseases, as well as for the therapy and prophylaxis of dermatol. disorders, malignant and premalignant epithelial lesions, tumors and precancerous changes of the mucous membrane in the mouth, tongue, larynx, esophagus, bladder, cervix and colon. Thus, retinoid agonist II (R = was prepared via a multistep synthetic sequence starting from 5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalene-2-yl)-acetic acid, pentyl iodide, benzyl-4-hydroxybenzoate, oxalyl chloride and Et 4-(diethoxyphosphorylmethyl)-benzoate. II showed 53% repair of alveoli in elastase-induced emphysema at a dose of 0.003mg/kg.

IT ~~372949-56-9P~~ ~~372949-57-0P~~ ~~372949-58-1P~~  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and formulation of RAR selective retinoid agonists for pharmaceutical use)

RN 372949-56-9 ZCAPLUS

CN 2-Naphthaleneacetamide,  $\alpha$ -[(4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-N-methoxy-N,5,5,8,8-pentamethyl- (CA INDEX NAME)

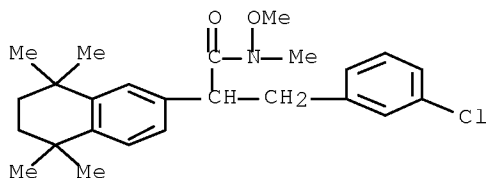


RN 372949-57-0 ZCAPLUS

CN 2-Naphthaleneacetamide,  $\alpha$ -[(3-chlorophenyl)methyl]-5,6,7,8-

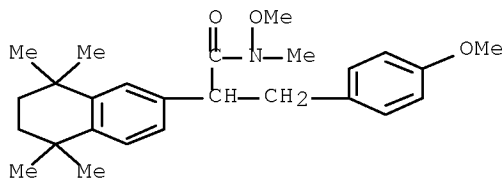
10/581947

tetrahydro-N-methoxy-N,5,5,8,8-pentamethyl- (CA INDEX NAME)



RN 372949-58-1 ZCAPLUS

CN 2-Naphthaleneacetamide, 5,6,7,8-tetrahydro-N-methoxy-α-[(4-methoxyphenyl)methyl]-N,5,5,8,8-pentamethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 18 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:241135 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:279106

TITLE: Non-peptide GnRH agents, methods and intermediates for their preparation

INVENTOR(S): Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong, Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA; et al.

SOURCE: PCT Int. Appl., 444 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020358	A2	20000413	WO 1999-US18790	19990820
WO 2000020358	A3	20001116		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

10/581947

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,  
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,  
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2341346	A1	20000413	CA 1999-2341346	19990820
BR 9913374	A	20010515	BR 1999-13374	19990820
EP 1105120	A2	20010613	EP 1999-968010	19990820
EP 1105120	B1	20050323		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

HU 2001003622	A2	20020429	HU 2001-3622	19990820
HU 2001003622	A3	20030128		
EE 200100102	A	20020617	EE 2001-102	19990820
SI 20746	A	20020630	SI 1999-20076	19990820
TR 2001000631	T2	20020821	TR 2001-631	19990820
JP 2002535244	T	20021022	JP 2000-574479	19990820
AU 759310	B2	20030410	AU 2000-24709	19990820
NZ 509252	A	20040528	NZ 1999-509252	19990820
AT 291423	T	20050415	AT 1999-968010	19990820
ES 2237966	T3	20050801	ES 1999-968010	19990820
NO 2001000309	A	20010411	NO 2001-309	20010119
IN 2001DN00066	A	20070112	IN 2001-DN66	20010124
ZA 2001000831	A	20020822	ZA 2001-831	20010130
MX 2001001834	A	20000821	MX 2001-1834	20010219
US 7101878	B1	20060905	US 2001-763216	20010220
LV 12732	B	20020320	LV 2001-45	20010316
BG 105362	A	20011231	BG 2001-105362	20010319
LT 4904	B	20020425	LT 2001-24	20010319
HR 2001000206	A2	20040229	HR 2001-206	20010320
US 20040010033	A1	20040115	US 2003-353160	20030708

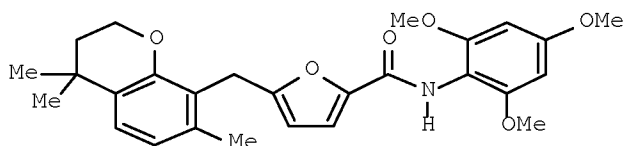
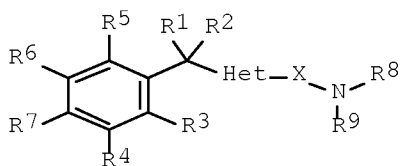
PRIORITY APPLN. INFO.:

US 1998-97520P	P	19980820
WO 1999-US18790	W	19990820
US 2001-763216	B3	20010220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:279106

GI



AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically

acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO<sub>2</sub>; Het = 5-membered NOS-heterocycle; R<sub>1</sub>, R<sub>2</sub> = H, alkyl; R<sub>3</sub>-R<sub>7</sub> = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH<sub>2</sub>OR, OR, CO<sub>2</sub>R; R = alkyl, aryl, etc.; adjacent rings positions such as R<sub>6</sub>R<sub>7</sub> may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R<sub>8</sub> = lipophilic moiety such as alkyl, aryl, CH<sub>2</sub>OR, OR, etc.; R<sub>9</sub> = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (preparation given) was alkylated in the 6- and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and the resulting esters were hydrolyzed to a mixture of acids. This unsepd. mixture was treated with SOCl<sub>2</sub> and amidated with 2,4,6-trimethoxyphenylamine-HCl to give the invention compound II and its chroman-6-position isomer, which were separated by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compound reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

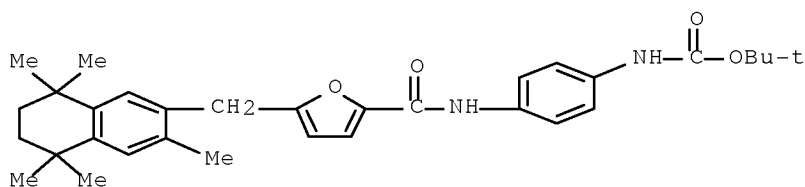
IT 263848-53-9P 263848-61-9P 263848-63-1P  
 263849-03-2P 263849-18-9P 263849-23-6P  
 263849-81-6P 263850-18-6P 263850-44-8P  
 263850-45-9P 263851-05-4P 263854-72-4P  
 263857-34-7P 263857-35-8P 263857-37-0P  
 263857-41-6P 263857-46-1P 263857-54-1P  
 263857-71-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of non-peptide GnRH agents for regulating gonadotropin secretion)

RN 263848-53-9 ZCAPLUS

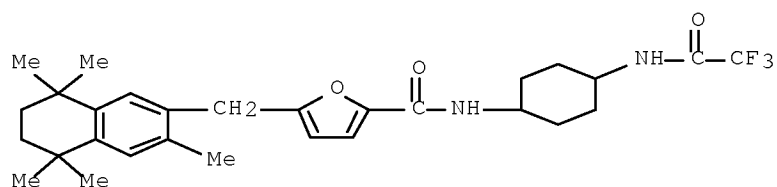
CN Carbamic acid, [4-[[[5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-2-furanyl]carbonyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 263848-61-9 ZCAPLUS

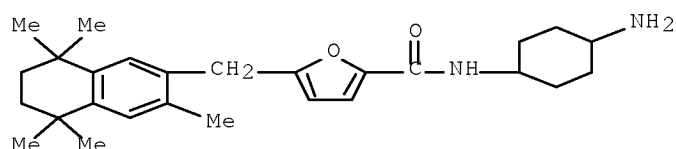
CN 2-Furancarboxamide, 5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]-N-[4-[(2,2,2-trifluoroacetyl)amino]cyclohexyl]- (CA INDEX NAME)

10/581947



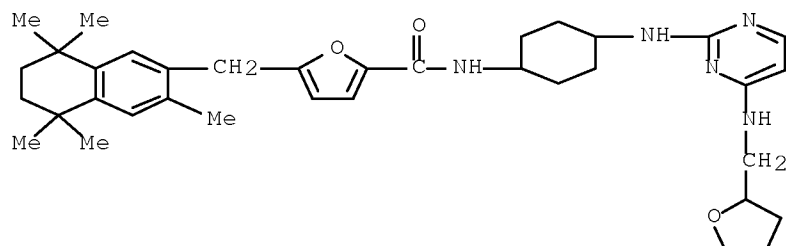
RN 263848-63-1 ZCAPLUS

CN 2-Furancarboxamide, N-(4-aminocyclohexyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



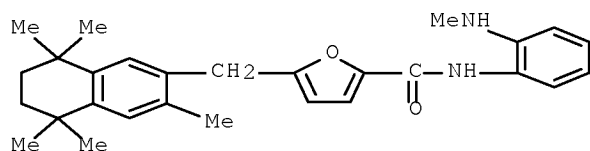
RN 263849-03-2 ZCAPLUS

CN 2-Furancarboxamide, N-[4-[[4-[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263849-18-9 ZCAPLUS

CN 2-Furancarboxamide, N-[2-(methylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



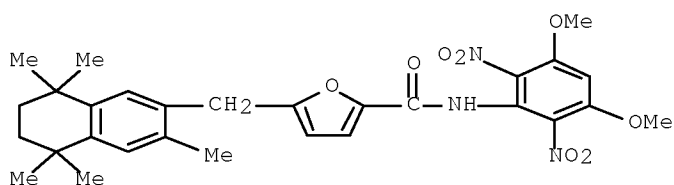
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CN 2-Furancarboxamide, N-(3,5-dimethoxy-2,6-dinitrophenyl)-5-[(5,6,7,8-



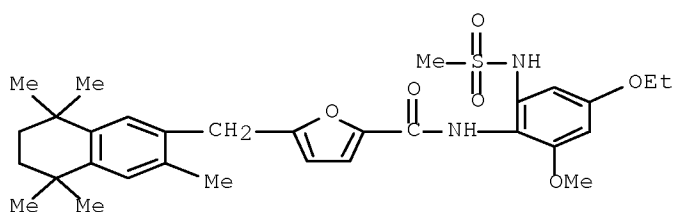
10/581947

tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



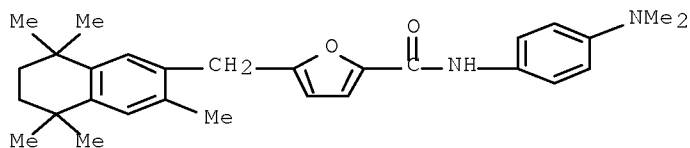
RN 263849-81-6 ZCAPLUS

CN 2-Furancarboxamide, N-[4-ethoxy-2-methoxy-6-[(methylsulfonyl)amino]phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



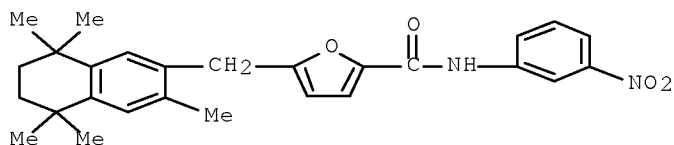
RN 263850-18-6 ZCAPLUS

CN 2-Furancarboxamide, N-[4-(dimethylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263850-44-8 ZCAPLUS

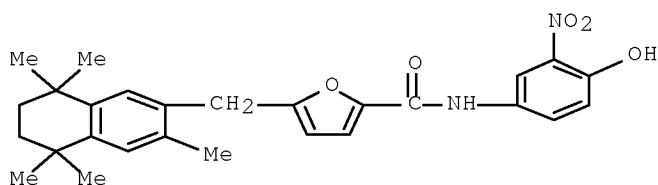
CN 2-Furancarboxamide, N-(3-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



10/581947

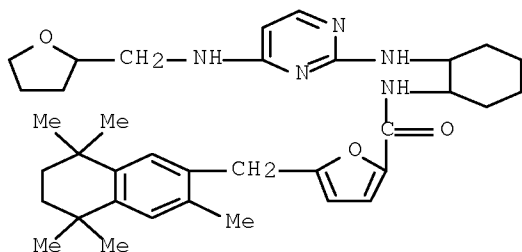
RN 263850-45-9 ZCAPLUS

CN 2-Furancarboxamide, N-(4-hydroxy-3-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



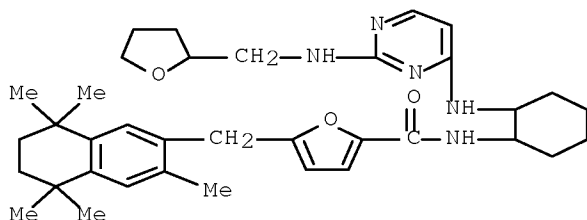
RN 263851-05-4 ZCAPLUS

CN 2-Furancarboxamide, N-[2-[[4-[[[(tetrahydro-2-furanyl)methyl]amino]-2-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263854-72-4 ZCAPLUS

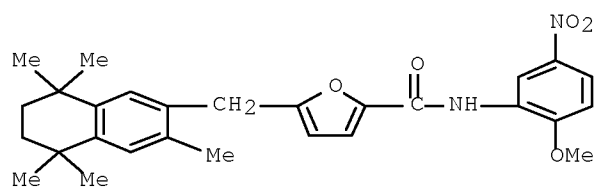
CN 2-Furancarboxamide, N-[2-[[2-[[[(tetrahydro-2-furanyl)methyl]amino]-4-pyrimidinyl]amino]cyclohexyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-34-7 ZCAPLUS

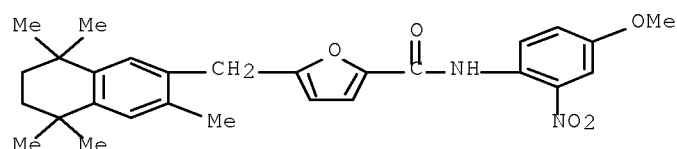
CN 2-Furancarboxamide, N-(2-methoxy-5-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)

10/581947



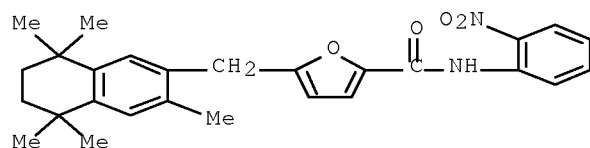
RN 263857-35-8 ZCAPLUS

CN 2-Furancarboxamide, N-(4-methoxy-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



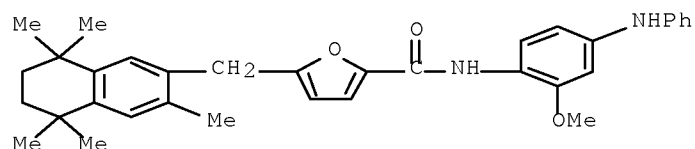
RN 263857-37-0 ZCAPLUS

CN 2-Furancarboxamide, N-(2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-41-6 ZCAPLUS

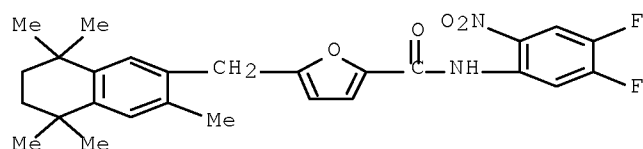
CN 2-Furancarboxamide, N-[2-methoxy-4-(phenylamino)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-46-1 ZCAPLUS

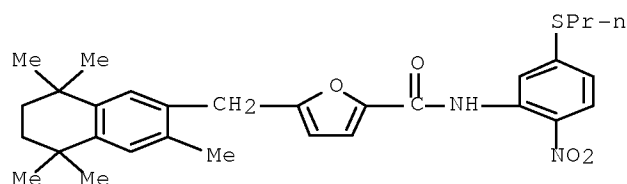
CN 2-Furancarboxamide, N-(4,5-difluoro-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)

10/581947



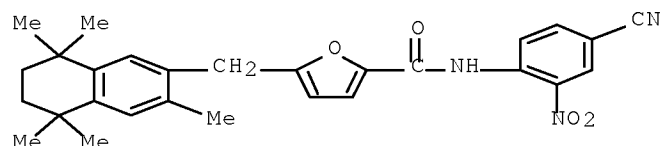
RN 263857-54-1 ZCAPLUS

CN 2-Furancarboxamide, N-[2-nitro-5-(propylthio)phenyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



RN 263857-71-2 ZCAPLUS

CN 2-Furancarboxamide, N-(4-cyano-2-nitrophenyl)-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 19 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:2279 ZCAPLUS Full-text

DOCUMENT NUMBER: 132:175327

TITLE: Retinoid X receptor-antagonistic diazepinylbenzoic acids

AUTHOR(S): Ebisawa, Masayuki; Umemiya, Hiroki; Ohta, Kiminori; Fukasawa, Hiroshi; Kawachi, Emiko; Christoffel, Ghislaine; Gronemeyer, Hinrich; Tsuji, Motonori; Hashimoto, Yuichi; Shudo, Koichi; Kagechika, Hiroyuki

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, University of Tokyo, Tokyo, 113-0033, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(12), 1778-1786

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

10/581947

LANGUAGE: English

AB Several dibenzodiazepine derivs. were identified as novel retinoid X receptor (RXR) antagonists on the basis of inhibitory activity on retinoid-induced cell differentiation of human promyelocytic leukemia cells HL-60 and transactivation assay using retinoic acid receptors (RARs) and RXRs in COS-1 cells. 4-(5H-2,3-(2,5-Dimethyl-2,5-hexano)-5-n-propyldibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX603) is an N-Pr derivative of an RXR pan-agonist HX600, and exhibited RXR-selective antagonistic activity. Similar RXR-antagonistic activities were observed with 4-(5H-2,3-(2,5-dimethyl-2,5-hexano)-5-methyl-8-nitrodibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX531) and 4-(5H-10,11-dihydro-5,10-dimethyl-2,3-(2,5-dimethyl-2,5-hexano)-dibenzo[b,e][1,4]diazepin-11-yl)benzoic acid (HX711), which also inhibited transactivation of RARs induced by an RAR agonist, Am80. These compds. inhibited HL-60 cell differentiation induced by the combination of a low concentration of the retinoid agonist Am80 with an RXR agonist (a retinoid synergist, HX600). These results indicated that HX603 and the related RXR antagonists inhibit the activation of RAR-RXR heterodimers as well as RXR homodimers, which is a distinct characteristic different from that of the known RXR antagonist, LG100754.

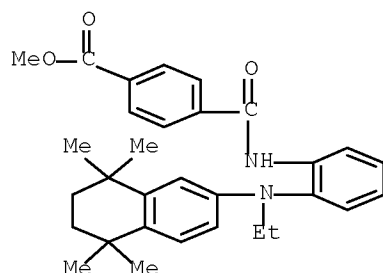
IT 259219-26-6P 259219-27-7P 259219-28-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and biol. activity of dibenzodiazepine derivs. as retinoid X receptor antagonists)

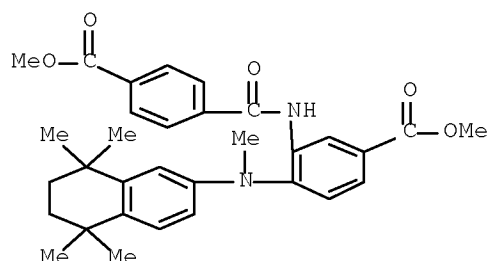
RN 259219-26-6 ZCAPLUS

CN Benzoic acid, 4-[[[2-[ethyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



RN 259219-27-7 ZCAPLUS

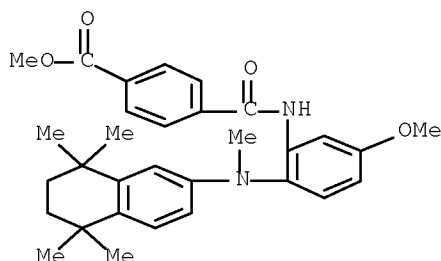
CN Benzoic acid, 3-[[4-(methoxycarbonyl)benzoyl]amino]-4-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]-, methyl ester (CA INDEX NAME)



10/581947

RN 259219-28-8 ZCAPLUS

CN Benzoic acid, 4-[[[5-methoxy-2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester  
(CA INDEX NAME)



OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS  
RECORD (34 CITINGS)  
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 20 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:640543 ZCAPLUS Full-text

DOCUMENT NUMBER: 131:271703

TITLE: Preparation of bicyclic aromatic compounds and their  
use in cosmetic or dermatological compositions

INVENTOR(S): Bernardon, Jean-Michel

PATENT ASSIGNEE(S): Galderma Research and Development, S.N.C., Fr.

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 947496	A1	19991006	EP 1999-400597	19990311
EP 947496	B1	20021009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2776657	A1	19991001	FR 1998-3976	19980331
FR 2776657	B1	20000526		
AU 9918584	A	19991014	AU 1999-18584	19990305
AU 724896	B2	20001005		
SG 72936	A1	20000523	SG 1999-1290	19990305
ZA 9901974	A	19990927	ZA 1999-1974	19990311
AT 225764	T	20021015	AT 1999-400597	19990311
PT 947496	E	20030228	PT 1999-400597	19990311
ES 2187125	T3	20030516	ES 1999-400597	19990311
BR 9902808	A	20000620	BR 1999-2808	19990325
JP 11343263	A	19991214	JP 1999-84949	19990326
JP 3359882	B2	20021224		

10/581947

US 6632963	B1	20031014	US 1999-277953	19990329
MX 9902966	A	20050309	MX 1999-2966	19990329
CA 2264979	A1	19990930	CA 1999-2264979	19990330
CA 2264979	C	20061219		
CN 1241558	A	20000119	CN 1999-105929	19990330
CN 1269788	C	20060816		
HU 9900819	A1	20000328	HU 1999-819	19990330
RU 2188190	C2	20020827	RU 1999-107277	19990330
IN 1999DE00479	A	20070309	IN 1999-DE479	19990330
PL 194066	B1	20070430	PL 1999-332302	19990330
CN 1346828	A	20020501	CN 2001-140851	20010919
US 20040092594	A1	20040513	US 2003-630872	20030731
US 6924388	B2	20050802		

PRIORITY APPLN. INFO.:

FR 1998-3976	A	19980331
US 1999-277953	A3	19990329

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 131:271703

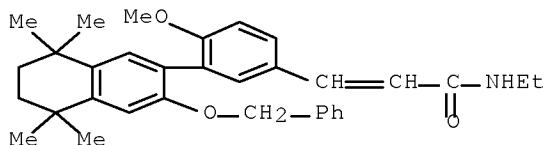
AB Ar1Ar2XR1 [I; R1 = Me, CH2OR2, COR3; Ar1 = = substituted Ph; Ar2 = substituted Ph, pyridyl, furyl, thienyl, pyrrolyl; X = R14C:CR15, C.tplbond.C, C(Y)CH:CH, etc.] were prepared E.g., 3-(3',5'-di-tert-butyl-2'-methoxybiphenyl)acrylic acid was prepared RXR binding and RXR $\alpha$  agonist and antagonist activities of I were determined

IT 245434-01-9P 245434-03-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bicyclic aromatic compds. and their use in cosmetic or dermatol. compns.)

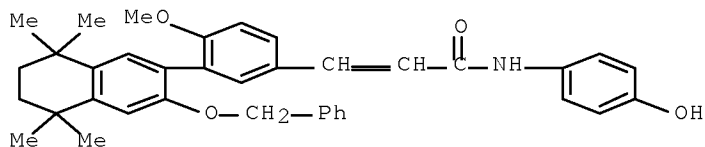
RN 245434-01-9 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[4-methoxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(phenylmethoxy)-2-naphthalenyl]phenyl]- (CA INDEX NAME)



RN 245434-03-1 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[4-methoxy-3-[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-3-(phenylmethoxy)-2-naphthalenyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

10/581947

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 21 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1999:166584 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 130:209513  
 TITLE: Biphenyl derivatives substituted by an aromatic or heteroaromatic radical for use in treating keratinization disorders  
 INVENTOR(S): Bernardon, Jean-Michel; Nedoncelle, Philippe  
 PATENT ASSIGNEE(S): Galderma Research & Development, Fr.  
 SOURCE: PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910308	A1	19990304	WO 1998-FR1834	19980821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2767525	A1	19990226	FR 1997-10552	19970821
FR 2767525	B1	19991112		
CA 2268799	A1	19990304	CA 1998-2268799	19980821
CA 2268799	C	20061010		
AU 9890781	A	19990316	AU 1998-90781	19980821
AU 740840	B2	20011115		
BR 9806146	A	19991026	BR 1998-6146	19980821
EP 952974	A1	19991103	EP 1998-942767	19980821
EP 952974	B1	20011121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 9901188	T1	20000221	TR 1999-1188	19980821
NZ 334961	A	20000428	NZ 1998-334961	19980821
JP 2001504139	T	20010327	JP 1999-514010	19980821
JP 3759965	B2	20060329		
AT 209177	T	20011215	AT 1998-942767	19980821
ES 2167931	T3	20020516	ES 1998-942767	19980821
PT 952974	E	20020531	PT 1998-942767	19980821
RU 2193552	C2	20021127	RU 1999-109983	19980821
CN 1193000	C	20050316	CN 1998-801174	19980821
US 6316009	B1	20011113	US 1999-284026	19990406
NO 9901834	A	19990603	NO 1999-1834	19990416
NO 312830	B1	20020708		
MX 9903653	A	20000531	MX 1999-3653	19990420
US 6649612	B1	20031118	US 2001-932938	20010821
US 20040030141	A1	20040212	US 2003-613320	20030707
US 7148245	B2	20061212		
JP 2006056903	A	20060302	JP 2005-311588	20051026
PRIORITY APPLN. INFO.:			FR 1997-10552	A 19970821
			JP 1999-514010	A3 19980821
			WO 1998-FR1834	W 19980821



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US 1999-284026

A3 19990406

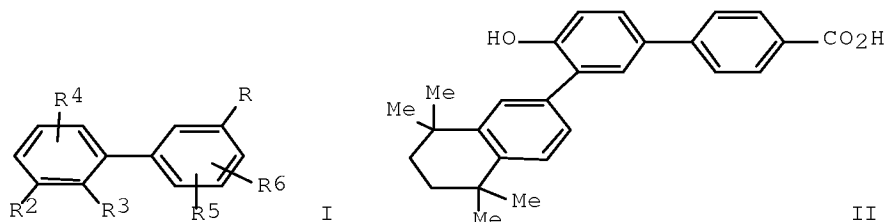
US 2001-932938

A3 20010821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:209513

GI



AB Title compds. I [R = (un)substituted aromatic, heteroarom.; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, etc.; R<sub>2</sub>R<sub>3</sub> together form a 5- or 6-membered ring; R<sub>4</sub>, R<sub>5</sub> = H, halogen, etc.; R<sub>6</sub> = H, alkyl, etc.] were prepared for use in treating dermatol. diseases related to keratinization, and to combat skin ageing (no data). Thus, the acid II was prepared from the bromonaphthalene and the hydroxyphenylbenzoate fragments in 5 steps.

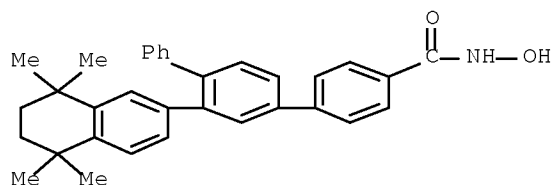
IT 220950-90-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of terphenyl derivs. for treating keratinization disorders)

RN 220950-90-3 ZCAPLUS

CN [1,1':4',1''-Terphenyl]-4-carboxamide,  
N-hydroxy-3'-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-  
(9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 22 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:693417 ZCAPLUS Full-text

DOCUMENT NUMBER: 129:343326

ORIGINAL REFERENCE NO.: 129:69925a,69928a

TITLE: Preparation of benzenes as protein kinase C inhibitors

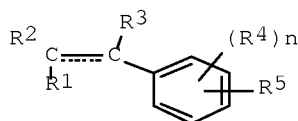
INVENTOR(S): Mori, Toyoki; Tominaga, Michiaki; Tabusa, Fujio; Ei,

10/581947

Kazuyoshi; Nakaya, Kenji; Takemura, Isao; Shinohara, Tomokazu; Tanada, Yoshihisa; Yamauchi, Takahito; Kitano, Kazuyoshi  
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 359 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10287634	A	19981027	JP 1997-110527	19970411
PRIORITY APPLN. INFO.:			JP 1997-110527	19970411
OTHER SOURCE(S):	MARPAT	129:343326		

GI



I

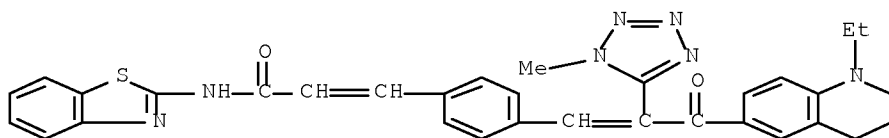
AB Benzenes I [R1 = 5- to 6-membered (un)substituted unsatd. heterocyclcyl having 1-4 N, O, or S; cyano, carboxylalkyl, alkoxycarbonyl, H, Bz, (un)substituted amido, etc.; R2 = (un)substituted Bz, (un)substituted 1,2,3,4-tetrahydroquinolinylcarbonyl, pyridylcarbonyl, (un)substituted phenoxy carbonyl, etc.; R3 = H, lower alkyl, PhS, (un)substituted lower alkylthio, cycloalkylthio, cyano, etc.; R4 = H, (un)substituted lower alkyl, lower alkoxy, (un)substituted aminoalkylene, (un)substituted aminoalkylenyloxy; R5 = substituted alkenyl, phenylthioureidocarbonyl, pyrimidylaminocarbonylalkoxy, etc.; n = 1-3; the dot line may be double bond] or their salts are prepared I are useful for prevention and treatment of chronic rheumatoid arthritis, systemic lupus erythematosus, atopic dermatitis, heart failure, allergy, multiple sclerosis, tumor, Alzheimer-type dementia, etc. Condensation of 250 mg 2-(benzoylmethyl)pyridine with 300 mg 4-[(2-benzothiazolyl)aminocarbonyl]benzaldehyde in C6H6 for 10 h gave 0.3 g 2-[4-[2-benzoyl-2-(2-pyridyl)vinyl]benzoylamino]benzothiazole.

IT 215505-57-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzenes as protein kinase C inhibitors for treatment of diseases)

RN 215505-57-0 ZCAPLUS

CN 2-Propenamide, N-2-benzothiazolyl-3-[4-[3-(1-ethyl-1,2,3,4-tetrahydro-6-quinolinyl)-2-(1-methyl-1H-tetrazol-5-yl)-3-oxo-1-propen-1-yl]phenyl]-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)

L41 ANSWER 23 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:352804 ZCAPLUS Full-text

DOCUMENT NUMBER: 129:40990

ORIGINAL REFERENCE NO.: 129:8619a,8622a

TITLE: Bi-aromatic compounds with RXR receptor activity,  
pharmaceutical and cosmetic compositions containing  
them, and their uses

INVENTOR(S): Bernardon, Jean-Michel; Diaz, Philippe

PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques  
Galderma (C.I.R.D. Galderma), Fr.

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

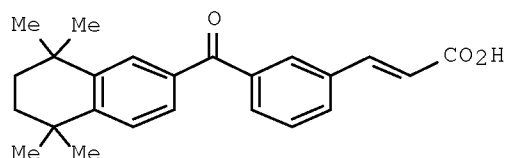
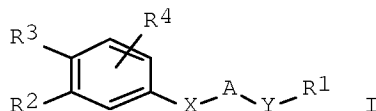
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9822423	A1	19980528	WO 1997-FR2063	19971117
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2755965	A1	19980522	FR 1996-14098	19961119
FR 2755965	B1	19981218		
CA 2243404	A1	19980528	CA 1997-2243404	19971117
CA 2243404	C	20040120		
AU 9852254	A	19980610	AU 1998-52254	19971117
AU 719468	B2	20000511		
JP 11503472	T	19990326	JP 1998-523275	19971117
JP 3232484	B2	20011126		
BR 9707153	A	19990406	BR 1997-7153	19971117
EP 915823	A1	19990519	EP 1997-947075	19971117
EP 915823	B1	20010418		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 200661	T	20010515	AT 1997-947075	19971117
US 6258775	B1	20010710	US 1997-101622	19971117
JP 2001233821	A	20010828	JP 2000-399456	19971117
PT 915823	E	20010830	PT 1997-947075	19971117
ES 2158597	T3	20010901	ES 1997-947075	19971117
GR 3035762	T3	20010731	GR 2001-400605	20010419
PRIORITY APPLN. INFO.:			FR 1996-14098	A 19961119

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 129:40990

GI



II

AB The invention concerns novel bi-aromatic compds. I [R<sub>1</sub> = Me, CH<sub>2</sub>OR<sub>5</sub>, OR<sub>5</sub>, COR<sub>6</sub>; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = O, S, SO, SO<sub>2</sub>, CO, C(:CH<sub>2</sub>), C(:CMe<sub>2</sub>), CH<sub>2</sub>, etc.; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, OR<sub>5</sub>, SR<sub>5</sub>, polyether; or R<sub>2</sub>R<sub>3</sub> may form ring optionally substituted by Me or interrupted by O or S; R<sub>4</sub> = H, halo, alkyl, OR<sub>5</sub>, polyether; R<sub>5</sub> = H, alkyl, acyl; R<sub>6</sub> = H, alkyl, (un)substituted NH<sub>2</sub> or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8-tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aqueous NaOH in THF (86%), gave title compound II.

IT 208185-81-3P 208185-82-4P 208185-83-5P  
 208185-84-6P 208185-85-7P 208185-86-8P  
 208185-87-9P 208185-89-1P 208185-98-2P  
 208186-00-9P 208186-02-1P 208186-03-2P

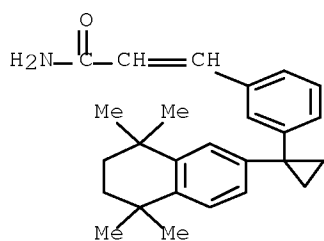
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biarom. compds. with RXR receptor activity as pharmaceuticals and cosmetics)

RN 208185-81-3 ZCAPLUS

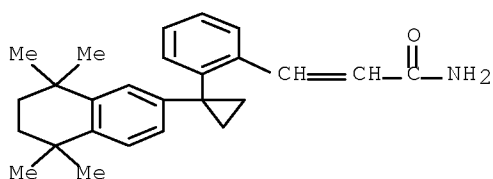
CN 2-Propenamide, 3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)

10/581947



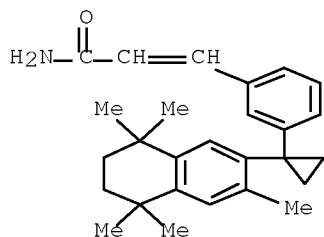
RN 208185-82-4 ZCAPLUS

CN 2-Propenamide, 3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



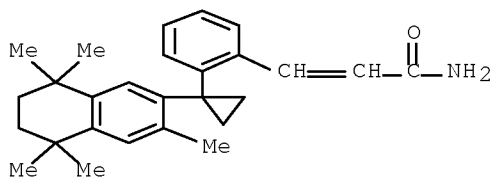
RN 208185-83-5 ZCAPLUS

CN 2-Propenamide, 3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208185-84-6 ZCAPLUS

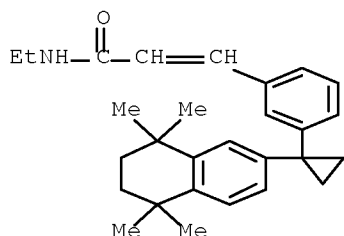
CN 2-Propenamide, 3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



10/581947

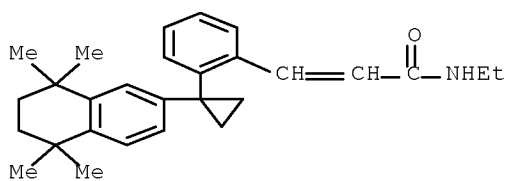
RN 208185-85-7 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



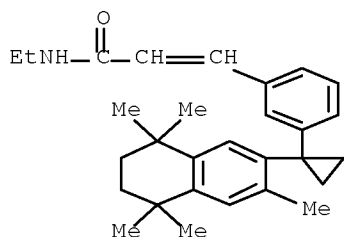
RN 208185-86-8 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208185-87-9 ZCAPLUS

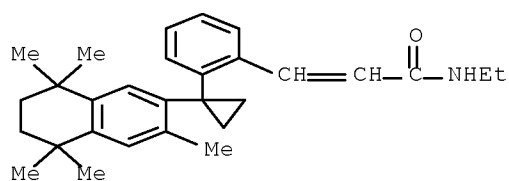
CN 2-Propenamide, N-ethyl-3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208185-89-1 ZCAPLUS

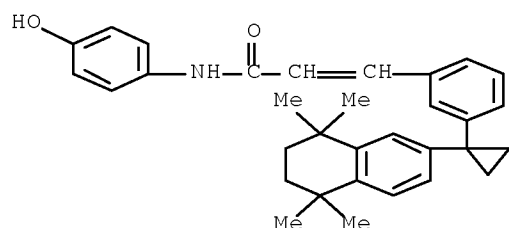
CN 2-Propenamide, N-ethyl-3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)

10/581947



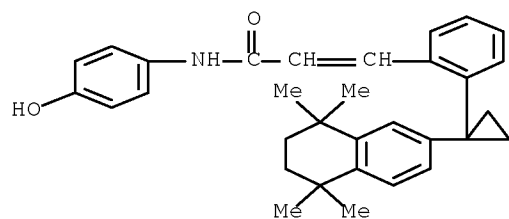
RN 208185-98-2 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



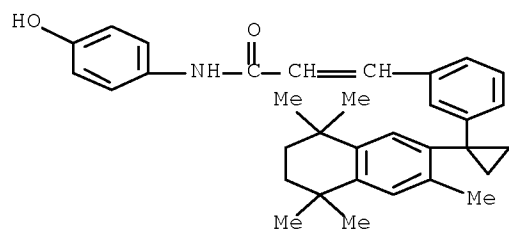
RN 208186-00-9 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



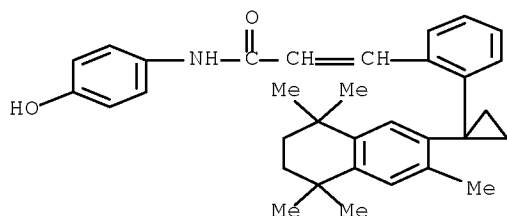
RN 208186-02-1 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



RN 208186-03-2 ZCAPLUS

CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[2-[1-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)cyclopropyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 24 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:623162 ZCAPLUS Full-text

DOCUMENT NUMBER: 127:293119

ORIGINAL REFERENCE NO.: 127:57291a,57294a

TITLE: Preparation of bicyclic aromatic compounds

INVENTOR(S): Bernardon, Jean-Michel

PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques  
Galderma (C.I.R.D. Galderma), Fr.

SOURCE: PCT Int. Appl., 52 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9733881	A1	19970918	WO 1997-FR391	19970305
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2746101	A1	19970919	FR 1996-3235	19960314
FR 2746101	B1	19980430		
CA 2218766	A1	19970918	CA 1997-2218766	19970305
CA 2218766	C	20030715		
AU 9720305	A	19971001	AU 1997-20305	19970305
AU 704753	B2	19990506		
EP 832081	A1	19980401	EP 1997-908308	19970305
EP 832081	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1190394	A	19980812	CN 1997-190489	19970305



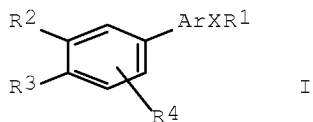
10/581947

CN 1109031	C	20030521		
JP 10509987	T	19980929	JP 1997-532318	19970305
JP 2991502	B2	19991220		
BR 9702200	A	19990720	BR 1997-2200	19970305
HU 9901452	A2	19990830	HU 1999-1452	19970305
HU 9901452	A3	20010228		
AT 231852	T	20030215	AT 1997-908308	19970305
PT 832081	E	20030630	PT 1997-908308	19970305
CN 1443756	A	20030924	CN 2002-2002152959	19970305
CN 100345827	C	20071031		
ES 2192668	T3	20031016	ES 1997-908308	19970305
PL 187407	B1	20040730	PL 1997-323364	19970305
NO 9705192	A	19980114	NO 1997-5192	19971112
US 6147255	A	20001114	US 1998-952804	19980126
US 6825360	B1	20041130	US 2000-619584	20000719
US 6515021	B1	20030204	US 2000-619582	20000912
US 20030060491	A1	20030327	US 2002-252514	20020924
US 20030135053	A1	20030717	US 2003-334978	20030102
PRIORITY APPLN. INFO.:			FR 1996-3235	A 19960314
			WO 1997-FR391	W 19970305
			US 1998-952804	A3 19980126
			US 2000-619584	A1 20000719
			US 2000-619582	A3 20000912

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 127:293119

GI



AB Novel bicyclic aromatic compds. I [R1 = Me, CH2OR5, COR6; Ar = (un)substituted Ph, pyridyl, furyl, thienyl, pyrrolyl; X = CR8:CR9, C.tplbond.C; R2, R3 = H, alkyl, OR5, SR5; R2R3 = aromatic ring; R5 = H, alkyl, acyl; R6 = H, alkyl, NR'R''; R8, R9 = H, alkyl] and their use in pharmaceutical compns. useful in treatment of dermatol. conditions (no data) or their use in cosmetic compns. (no data) are disclosed. E.g., reaction of 3-tert-butyl-4-methoxyphenylboronic acid and 4-bromo-2-thiophenecarboxaldehyde gave 4-(3-tert-butyl-4-methoxyphenyl)-2-thiophenecarboxaldehyde. The last was treated with tri-Et phosphonoacetate to give Et 4-(3-tert-butyl-4-methoxyphenyl)-2-thiopheneacrylate. The ester was converted to the corresponding acid.

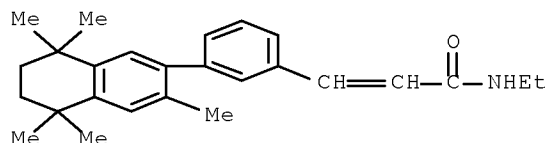
IT 196960-85-7P 196960-86-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bicyclic aromatic compds.)

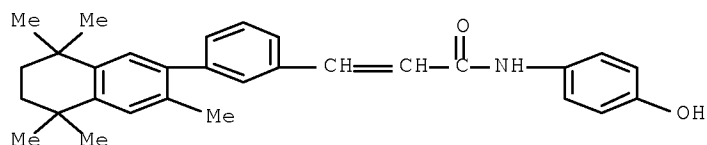
RN 196960-85-7 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[3-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)phenyl]- (CA INDEX NAME)

10/581947



RN 196960-86-8 ZCAPLUS  
 CN 2-Propenamide, N-(4-hydroxyphenyl)-3-[3-(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 25 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:623137 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 127:292999  
 ORIGINAL REFERENCE NO.: 127:57266h,57267a  
 TITLE: Diaromatic propynyl or dienyl compounds for use in treating disorders of cell differentiation, cell proliferation, and keratinization  
 INVENTOR(S): Bernardon, Jean-Michel  
 PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733856	A1	19970918	WO 1997-FR390	19970305
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2746098	A1	19970919	FR 1996-3234	19960314
FR 2746098	B1	19980430		
CA 2218892	A1	19970918	CA 1997-2218892	19970305
CA 2218892	C	20070102		

10/581947

AU 9720304	A	19971001	AU 1997-20304	19970305
AU 703505	B2	19990325		
EP 832057	A1	19980401	EP 1997-908307	19970305
EP 832057	B1	20010103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1193313	A	19980916	CN 1997-190539	19970305
CN 1079390	C	20020220		
JP 10510849	T	19981020	JP 1997-532317	19970305
JP 3181297	B2	20010703		
BR 9702144	A	19990105	BR 1997-2144	19970305
HU 9900624	A2	19990728	HU 1999-624	19970305
HU 9900624	A3	20000928		
AT 198467	T	20010115	AT 1997-908307	19970305
ES 2156366	T3	20010616	ES 1997-908307	19970305
PL 187406	B1	20040730	PL 1997-323363	19970305
CN 1670009	A	20050921	CN 2004-10011921	19970305
NO 9705191	A	19980114	NO 1997-5191	19971112
NO 310456	B1	20010709		
US 6046220	A	20000404	US 1998-952302	19980126
US 6313162	B1	20011106	US 1999-466230	19991217
GR 3035576	T3	20010629	GR 2001-400423	20010314
CN 1376664	A	20021030	CN 2001-135853	20011026
CN 1213017	C	20050803		

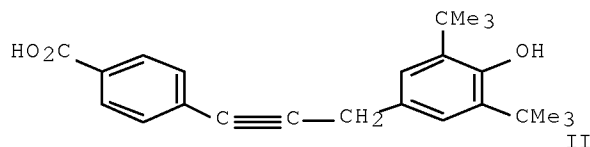
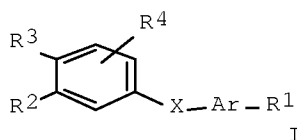
PRIORITY APPLN. INFO.:

FR 1996-3234	A	19960314
WO 1997-FR390	W	19970305
US 1998-952302	A3	19980126
CN 2001-135853	A3	20011026

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 127:292999; MARPAT 127:292999

GI



AB Title compds. I [Ar = (un)substituted Ph, furyl, thienyl, pyrrolyl, pyridyl; X = (un)substituted CH<sub>2</sub>C.tplbond.C, C.tplbond.CCH<sub>2</sub>, CH:CHCH:CH;H; R<sub>1</sub> = Me, CH<sub>2</sub>OR<sub>5</sub>, OR<sub>5</sub>, COR<sub>6</sub>; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, OR<sub>5</sub>, SR<sub>5</sub>; R<sub>2</sub>R<sub>3</sub> = alkylene, oxaalkylene, thiaalkylene; R<sub>4</sub> = H, halogen, alkyl, OR<sub>5</sub>; R<sub>5</sub> = H, alkyl, acyl; R<sub>6</sub> = H, alkyl, (un)substituted NH<sub>2</sub>] were prepared Thus, the acid II was obtained from 4,3,5-HO(Me<sub>3</sub>C)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>CHO and Me<sub>3</sub>SiC.tplbond.CH in 7 steps.

IT 196957-17-2P 196957-24-1P

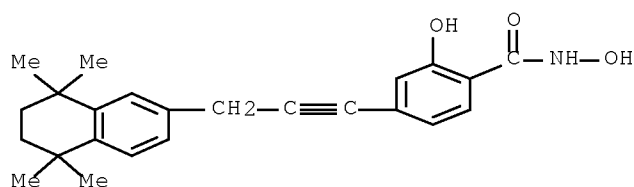
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diarom. propynyl or dienyl compds. for use in treating disorders of cell differentiation, cell proliferation, and keratinization)

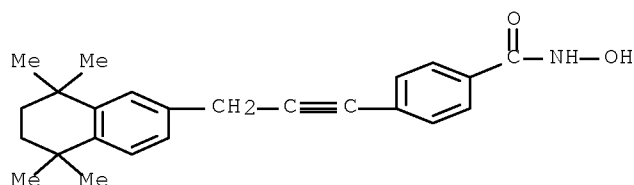
RN 196957-17-2 ZCAPLUS

CN Benzamide, N,2-dihydroxy-4-[3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-1-propyn-1-yl]- (CA INDEX NAME)

10/581947



RN 196957-24-1 ZCAPLUS  
 CN Benzamide, N-hydroxy-4-[3-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)-1-propyn-1-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 26 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1997:286725 ZCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 126:264112  
 ORIGINAL REFERENCE NO.: 126:51157a,51160a  
 TITLE: Preparation of (di)benzodiazepine, (di)benzothiazepine, and (di)benzoxazepine compounds potentiating retinoid  
 INVENTOR(S): Shudo, Koichi  
 PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711061	A1	19970327	WO 1996-JP2709	19960920
W:	AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
JP 10059951	A	19980303	JP 1996-245965	19960918
JP 3865829	B2	20070110		
CA 2233012	A1	19970327	CA 1996-2233012	19960920
AU 9670015	A	19970409	AU 1996-70015	19960920

10/581947

CN 1202160	A	19981216	CN 1996-198386	19960920
CN 1121395	C	20030917		
EP 906907	A1	19990407	EP 1996-931263	19960920
EP 906907	B1	20020306		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, FI				
US 5929069	A	19990727	US 1996-710657	19960920
TW 420667	B	20010201	TW 1996-85111550	19960920
AT 214055	T	20020315	AT 1996-931263	19960920
NO 9801269	A	19980520	NO 1998-1269	19980320
US 6121256	A	20000919	US 1999-288618	19990409
US 20010039272	A1	20011108	US 2001-838272	20010420
US 6476017	B2	20021105		

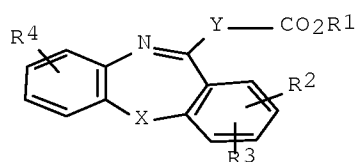
PRIORITY APPLN. INFO.:

JP 1995-242639	A	19950921
JP 1996-150582	A	19960612
US 1996-710657	A3	19960920
WO 1996-JP2709	W	19960920
US 1999-288618	A3	19990409
US 2000-626449	B1	20000726

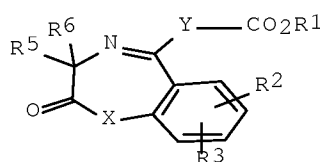
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:264112

GI



I



II

AB Compds. represented by general formula (I or II; R1 - R3 = H or C1-6 alkyl; or R2 and R3 together form 5- or 6-membered cycloalkyl; R4 = H, C1-6 alkyl, C1-6 alkoxy, OH, NO2, halo; R5 = H, C1-6 alkyl, aryl-C1-6 alkyl; R6 = H, C1-6 alkyl; X = NR7, O, CHR7 or S; wherein R7 = H, C1-6 alkyl, aryl-C1-6 alkyl; Y = phenylene, pyridinediyl) or salts thereof which potentiate biol. activities of internuclear receptor ligands typified by retinoic acid or retinoids having retinoic acid-like activities, are prepared Claimed is an enhancer for the effect of biol. substances which exhibit the biol. activities by binding to a super family of internuclear receptors using above compds. I and II. Also claimed is a method for enhancing the effect of biol. substances which exhibit the biol. activities by binding to a super family of internuclear receptors, by administering above compds. I and II to mammals. Thus, 6-bromo-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene was condensed with o-nitroaniline in the presence of K2CO3 and CuI in xylene under reflux for 24 h to give 6-(o-nitroanilino)-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene, which was reduced by Fe/HCl in aqueous EtOH to 6-(o-aminoanilino)-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene. The latter compound was amidated with p-MeO2CC6H4COCl in the presence of pyridine in benzene at room temperature for 3 h to give 6-[2-(4-methoxycarbonylbenzoylamino)anilino]-1,2,3,4-tetrahydro-1,1,4,4-tetramethylnaphthalene, which was stirred in polyphosphoric acid at 120° for 1 h to give a dibenzo[b,e]diazepine (III; R = Me). This was saponified by a mixture of 2 N aqueous NaOH and ethanol to give, after acidification, III (R = H). III (R = H) at 3.3 × 10<sup>-7</sup> M in vitro enhanced cell differentiation-inducing activity of retinoic acid in human leukemia HL-60 cells by 14% (retinoic acid alone) to 76% (retinoic acid and the present

10/581947

compound) in an assay measuring degree of cell differentiation to granulocyte cells by reduction of nitrobluetetrazolium (NBT).

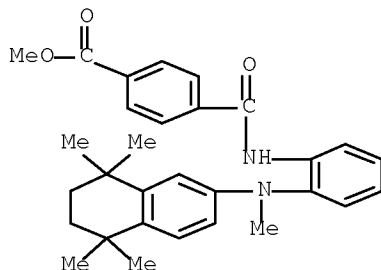
IT 188844-78-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (di)benzodiazepine, (di)benzothiazepine, and (di)benzoxazepine compds. potentiating biol. activities of retinoids)

RN 188844-78-2 ZCAPLUS

CN Benzoic acid, 4-[[[2-[methyl(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)amino]phenyl]amino]carbonyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

L41 ANSWER 27 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:263061 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 122:240059

ORIGINAL REFERENCE NO.: 122:43885a,43888a

TITLE: A novel synthesis of 1,2-diaryl-2,2-difluoroethanones

AUTHOR(S): Yu, Kuo-Long; Mansuri, Mazammil M.; Starrett, John E., Jr.

CORPORATE SOURCE: Bristol-Myers Squibb Company Pharmaceutical Research Inst., Wallingford, CT, 06492, USA

SOURCE: Tetrahedron Letters (1994), 35(48), 8955-6  
CODEN: TELEAY; ISSN: 0040-4039

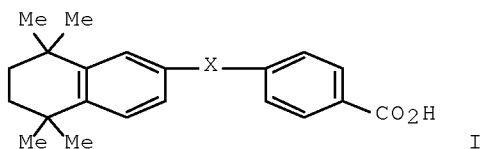
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:240059

GI



AB A novel procedure for the synthesis of 1,2-diaryl-2,2-difluoroethanones involving Stille reaction of an aryldifluoroacetyl chloride and an

10/581947

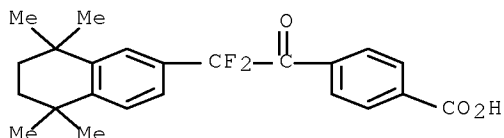
arylstannane has been developed. Application of this procedure for the preparation of two retinoids I [X = COCF<sub>2</sub>, CF<sub>2</sub>CO] is described.

IT 162132-98-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of 1,2-diaryl-2,2-difluoroethanones via Stille coupling)

RN 162132-98-1 ZCAPLUS

CN Benzoic acid, 4-[2,2-difluoro-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)acetyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L41 ANSWER 28 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1991:153865 ZCAPLUS Full-text

DOCUMENT NUMBER: 114:153865

ORIGINAL REFERENCE NO.: 114:25849a,25852a

TITLE: Direct-positive photographic photosensitive material  
containing core-shell silver halide emulsion

INVENTOR(S): Deguchi, Hisayasu; Hirano, Shigeo

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 02188742	A	19900724	JP 1989-9504	19890118
PRIORITY APPLN. INFO.:			JP 1989-9504	19890118

AB In the title material containing a previously unfogged internal-latent image-forming core-shell Ag halide emulsion, the Ag halide mol ratio in the core-shell emulsion is 1/5 and the material contains at least 1 kind of fogging-agent-releasing compds., development-promoting agents, or their precursors corresponding to the amount of developing Ag during development.

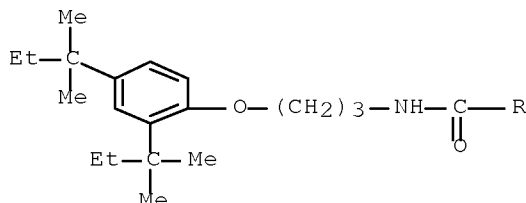
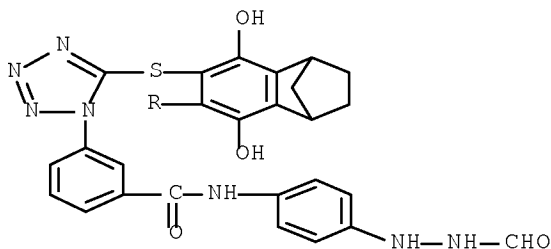
IT 117234-24-9

RL: USES (Uses)

(fogging-agent-releasing compound, for direct-pos. photog. photosensitive materials)

RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)



L41 ANSWER 29 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1991:81236 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 114:81236  
 ORIGINAL REFERENCE NO.: 114:13849a,13852a  
 TITLE: Preparation of phenylhydrazones as drugs and cosmetics  
 INVENTOR(S): Janssen, Bernd; Wuest, Hans Heiner; Murray, William V.; Wachter, Michael P.; Bell, Stanley  
 PATENT ASSIGNEE(S): BASF A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 17 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

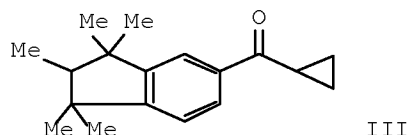
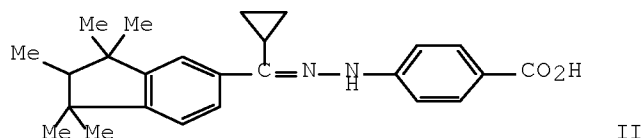
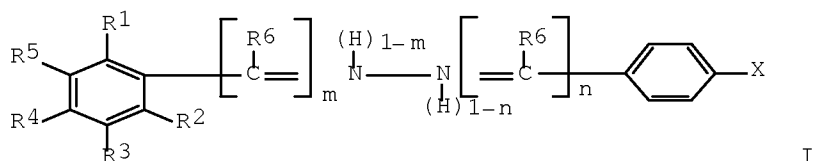
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 382076	A1	19900816	EP 1990-101946	19900201
EP 382076	B1	19930120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3903990	A1	19900830	DE 1989-3903990	19890210
AT 84783	T	19930215	AT 1990-101946	19900201
ES 2054115	T3	19940801	ES 1990-101946	19900201
CZ 283779	B6	19980617	CZ 1990-559	19900206
US 5072042	A	19911210	US 1990-476770	19900208
CA 2009690	A1	19900810	CA 1990-2009690	19900209
CA 2009690	C	20020416		
NO 9000633	A	19900813	NO 1990-633	19900209
NO 172044	B	19930222		
NO 172044	C	19930602		
AU 9049263	A	19900816	AU 1990-49263	19900209
AU 617036	B2	19911114		



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HU 53069	A2	19900928	HU 1990-753	19900209
HU 205341	B	19920428		
JP 02250856	A	19901008	JP 1990-28618	19900209
JP 2859350	B2	19990217		
ZA 9000962	A	19911030	ZA 1990-962	19900209
SU 1826967	A3	19930707	SU 1990-4743196	19900209
PL 164430	B1	19940729	PL 1990-283722	19900209
FI 119638	B1	20090130	FI 1990-646	19900209
KR 168046	B1	19990320	KR 1990-1621	19900210
PRIORITY APPLN. INFO.:			DE 1989-3903990	A 19890210
			EP 1990-101946	A 19900201

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): CASREACT 114:81236; MARPAT 114:81236  
GI



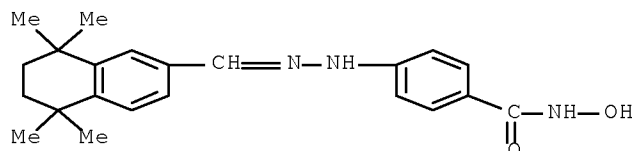
AB Title phenylhydrazones I [R1-R3 = H, halo, C1-4 alkyl or alkoxy, OH, AcO; R4 = H, OH, C1-6 alkyl, alkoxy, alkoxyalkyl; R5 = H, C1-4 alkyl; or R4R5 = CMe2ACMe2 (A = CH2CH2, CHMe, CH2CO, etc.), (CH2)3CMe2, OCH2CH2CMe2, NHCOCH2CMe2, etc; or R4 = branched alkoxy or alkoxyalkyl when R1-R3 = H; R6 = H, Me, Et, cyclopropyl; m,n = 0,1; X = nitro, H, cyano, CO2H, (substituted) sulfonyl or sulfonylamidyl, etc.], useful as drugs for a variety of conditions (no data), were prepared For example, title compound II was prepared by condensation of indenyl cyclopropyl ketone derivative III with phenylhydrazine-4-carboxylic acid. A pharmaceutical preparation of II was described.

IT 131925-68-3P 131925-69-4P 131925-70-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of, as drug)

RN 131925-68-3 ZCAPLUS

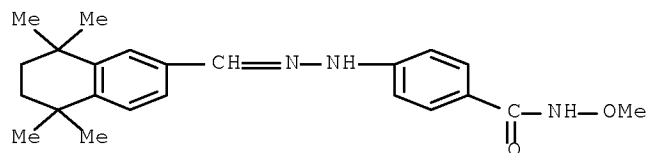
CN Benzamide, N-hydroxy-4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methylene]hydrazinyl]- (CA INDEX NAME)

10/581947



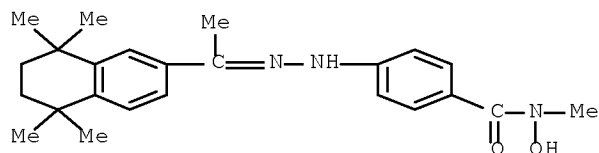
RN 131925-69-4 ZCAPLUS

CN Benzamide, N-methoxy-4-[2-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methylene]hydrazinyl]- (CA INDEX NAME)



RN 131925-70-7 ZCAPLUS

CN Benzamide, N-hydroxy-N-methyl-4-[2-[1-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)ethylidene]hydrazinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L41 ANSWER 30 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1990:506254 ZCAPLUS Full-text

DOCUMENT NUMBER: 113:106254

ORIGINAL REFERENCE NO.: 113:17811a,17814a

TITLE: Silver halide color photographic material containing developing accelerator-releasing compound and bleaching accelerator-releasing compound

INVENTOR(S): Kobayashi, Hidetoshi; Sakagami, Megumi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01223453	A	19890906	JP 1988-48716	19880303

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PRIORITY APPLN. INFO.:

JP 1988-48716

19880303

AB The title color photog. material contains  $\geq 1$  development accelerator-or fogging agent-releasing compound, and  $\geq 1$  bleaching accelerator-releasing compound. Rapid bleaching can be obtained from the color photog. material.

IT 108304-17-2

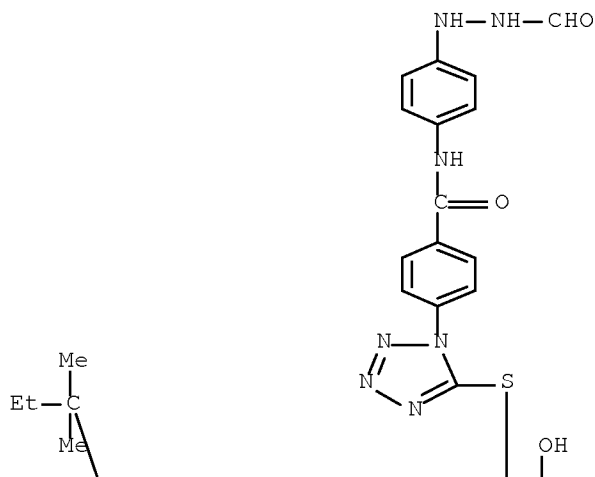
RL: USES (Uses)

(development accelerator- or fogging agent-releasing coupler)

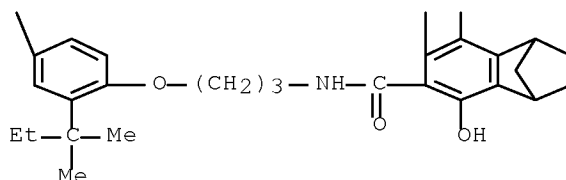
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L41 ANSWER 31 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1990:188882 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 112:188882

ORIGINAL REFERENCE NO.: 112:31749a,31752a

TITLE: Direct positive silver halide photographic material

INVENTOR(S): Hirano, Shigeo; Kobayashi, Hidetoshi; Deguchi, Hisayasu; Inoue, Akiyuki

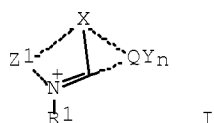
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

10/581947

SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01204047	A	19890816	JP 1988-29063	19880210
PRIORITY APPLN. INFO.:			JP 1988-29063	19880210

GI



AB The title photog. material contains  $\geq 1$  I [Z1 = nonmetallic group necessary to form a 5- or 6-membered heterocyclic ring; R1 = aliphatic; X = C, N; Q = non-metallic group necessary to form a 4-12-membered non-aromatic hydrocarbon or heterocycli ring;  $\geq 1$  of R1, substituent of Z1, and substituent of Q is alkynyl;  $\geq 1$  of R1, Z1 and Q may be an adsorption promoter for Ag halide; Y = ion for balancing charges; n = number for balancing charges], and  $\geq 1$  of compound which releases a nucleating agent, development promoter and precursor at development. A rapidly processable photog. material can be obtained with improved storage stability and photog. properties.

IT 117234-24-9

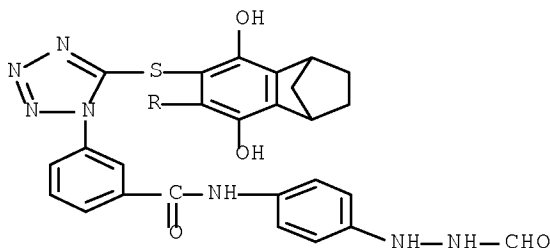
RL: USES (Uses)

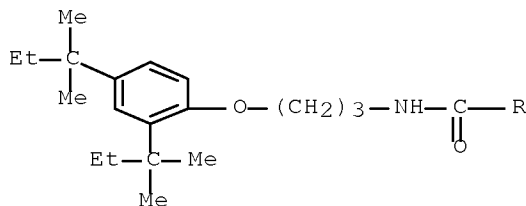
(direct pos. photog. material containing)

RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
 N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-  
 1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A





L41 ANSWER 32 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1990:148937 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 112:148937  
 ORIGINAL REFERENCE NO.: 112:24975a,24978a  
 TITLE: Heat-developable color photographic material  
 INVENTOR(S): Hirai, Hiroyuki; Hirano, Shigeo  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01145652	A	19890607	JP 1987-304994	19871202

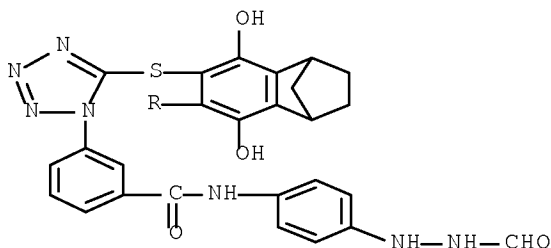
PRIORITY APPLN. INFO.: JP 1987-304994 19871202

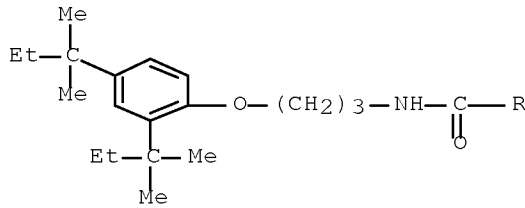
AB A heat-developable color photog. material having on a support a photosensitive Ag halide emulsion, a binder, a reducing agent or its precursor, and a dye donor which releases a dye upon being reduced contains, in addition, RED(TIME)nFA [RED = a redox nucleus which is capable of releasing -(TIME)nFA upon oxidation during development; TIME = a timing group linked to RED via N, O, or Se; n = 0, 1; FA = a group capable of functioning as a fogging agent for Ag halide or as a development promoter upon release from -(TIME)nFA]. High-d., low-stain pos. color images can be obtained.

IT 117234-24-9  
 RL: USES (Uses)  
 (heat-developable color photog. material using)

RN 117234-24-9 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
 N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)





L41 ANSWER 33 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1989:644129 ZCAPLUS Full-text  
DOCUMENT NUMBER: 111:244129  
ORIGINAL REFERENCE NO.: 111:40331a,40334a  
TITLE: Direct-positive color photographic material  
INVENTOR(S): Deguchi, Hisayasu; Hirano, Shigeo  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01044937	A	19890217	JP 1987-201936	19870814
US 4994358	A	19910219	US 1988-232825	19880815
PRIORITY APPLN. INFO.:			JP 1987-201936	A 19870814
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				

AB In a direct-pos. color photog. material possessing  $\geq 1$  prefogged internal-latent-image Ag halide emulsion layer and a coupler capable of forming or releasing a dye on oxidative coupling with a color developing agent, a surface-latent-image neg. Ag halide emulsion is present in a layer other than the one containing the internal-latent-image emulsion layer and the above neg. Ag halide emulsion layer and (or) its adjoining intermediate layer contains  $\geq 1$  compound which releases a fogging agent or a development promoter or its precursor corresponding to the amount of Ag developed from the neg. Ag halide emulsion layer upon development with an aromatic primary amine developing agent. A direct-pos. color image is obtained by color development after or during fogging treatment. The interimage effect is increased to improve color reproduction

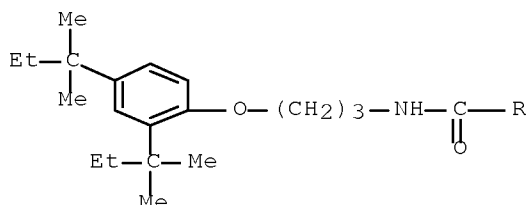
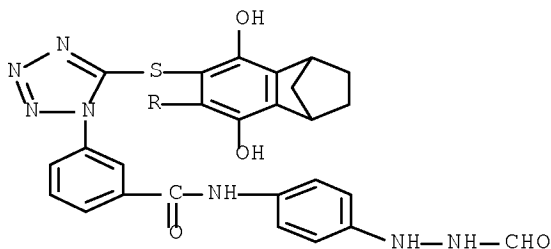
IT 117234-24-9

RL: USES (Uses)

(direct-pos. photog. material containing, for improved interimage effect)

RN 117234-24-9 ZCAPLUS

CN 1, 4-Methanonaphthalene-6-carboxamide,  
N-[3-[2, 4-bis(1, 1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-  
formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-  
1, 2, 3, 4-tetrahydro-5, 8-dihydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L41 ANSWER 34 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:423742 ZCAPLUS Full-text

DOCUMENT NUMBER: 111:23742

ORIGINAL REFERENCE NO.: 111:4141a, 4144a

TITLE: Retinobenzoic acids. 3. Structure-activity relationships of retinoidal azobenzene-4-carboxylic acids and stilbene-4-carboxylic acids

AUTHOR(S): Kagechika, Hiroyuki; Himi, Toshiyuki; Namikawa, Koushi; Kawachi, Emiko; Hashimoto, Yuichi; Shudo, Koichi

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan

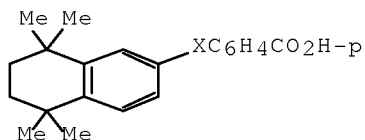
SOURCE: Journal of Medicinal Chemistry (1989), 32(5), 1098-108  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

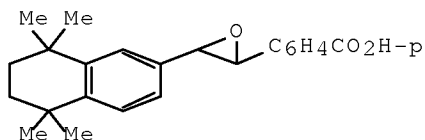
LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:23742

GI



I



II

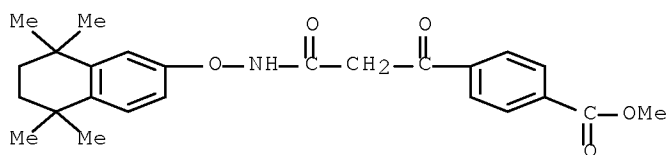
10/581947

AB Alkyl-substituted azobenzene-4-carboxylic acids are potent differentiation-inducers of human promyelocytic leukemia cell line HL-60 to mature granulocytes. Their structure-activity relationships are very similar to those of other retinoidal benzoic acids which are generally represented by  $\text{RXC}_6\text{H}_4\text{CO}_2\text{H-p}$  [R = substituted Ph, X = N:N(O), COCO, NHCO, CMe:CH] and named retinobenzoic acids. The structure-activity relationships of azobenzenecarboxylic acids can also be applied to the known retinoid TTNPB [I; X = (E)-MeC:CH]. Thus, (E)-4-[2-(3,4-diisopropylphenyl)-1-propenyl]benzoic acid (St30) and (E)-4-[2-(3-tert-butylphenyl)ethenyl]benzoic acid (St40), the acyclic alkyl analogs of [I; X = (E)-MeC:CH], are nearly as active as retinoic acid. Among the oxidatively derived compds. (Az90, Ep series and Ox series) of azobenzene- or stilbenecarboxylic acids, Az90 [I; X = N:N(O)] and Ep80 (II) have strong activities. However, all the bishydroxylated derivs. of I [X = (E)-MeC:CH] are inactive, while a diketone analog OX580 (I; X = COCO) has only weak potency. The activities of conformationally restricted compds. of I [X = (E)-MeCH:CH] offer some information on the stereochem. of the active form of these retinoidal compds.

IT 119435-99-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and intramol. cyclization of, benzofuran from)

RN 119435-99-3 ZCAPLUS

CN Benzoic acid, 4-[1,3-dioxo-3-[[5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl]oxy]amino]propyl]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 42 THERE ARE 42 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)

L41 ANSWER 35 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1989:202699 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 110:202699  
 ORIGINAL REFERENCE NO.: 110:33481a,33484a  
 TITLE: Color recording material and color imaging method  
 INVENTOR(S): Shiba, Keisuke; Takahashi, Toshiro; Inoue, Akiyuki  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63205653	A	19880825	JP 1987-37797	19870223
PRIORITY APPLN. INFO.:			JP 1987-37797	19870223

AB In a color recording material possessing a photosensitive layer containing a Ag halide emulsion and a color coupler(s) on a support, a contrast-improving agent or its precursor which gives color image(s) with  $\gamma_{\text{max}} \geq 3$  is incorporated



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in the material. Color image formation is effected by development in the presence of contrast promoters.

IT 108304-17-2

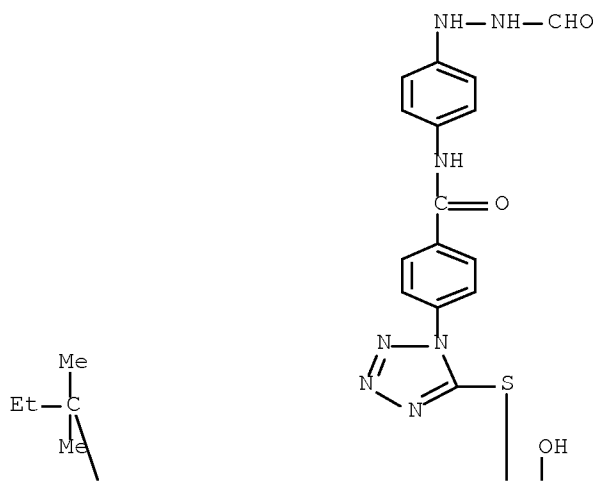
RL: USES (Uses)

(contrast-enhancing additives, color photog. materials using)

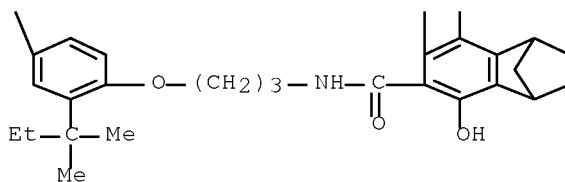
RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

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OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L41 ANSWER 36 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:192655 ZCAPLUS Full-text

DOCUMENT NUMBER: 110:192655

ORIGINAL REFERENCE NO.: 110:31977a,31980a

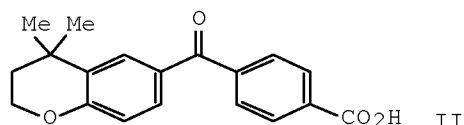
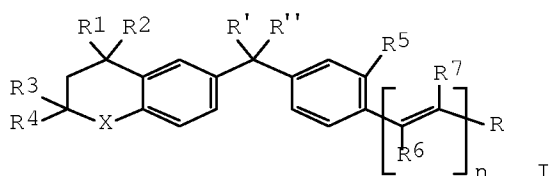
TITLE: Antiproliferative benzopyran and benzothiopyran  
derivatives, processes for their preparation, and  
their pharmaceutical and cosmetic compositions  
INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle,

10/581947

Serge; Shroot, Braham  
 PATENT ASSIGNEE(S): Oreal S. A., Fr.  
 SOURCE: Belg., 48 pp.  
 CODEN: BEXXAL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 1000195	A5	19880823	BE 1987-240	19870311
FR 2600064	A1	19871218	FR 1987-3257	19870310
FR 2600064	B1	19890331		
JP 62234078	A	19871014	JP 1987-57887	19870311
JP 2548176	B2	19961030		
GB 2189482	A	19871028	GB 1987-5765	19870311
GB 2189482	B	19900328		
CH 672638	A5	19891215	CH 1987-910	19870311
US 4829080	A	19890509	US 1987-25200	19870312
CA 1298304	C	19920331	CA 1987-531909	19870312
CA 1315685	C	19930406	CA 1987-531912	19870312
PRIORITY APPLN. INFO.:			LU 1986-86351	A 19860312
OTHER SOURCE(S):	MARPAT	110:192655		

GI

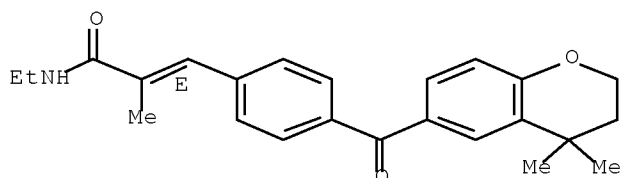


AB The title compds. [I; n = 0, 1; X = O, S, S(O), S(O)2; R1 = H, OH, C1-4 alkoxy or acyloxy, NH2; R'' = H, C1-4 alkoxy; R'R'' = O, CH2, NOH; R = CH2OH, COR8; R1-R4 = H, alkyl; R5-R7 = H, Me; when n = 1, R5R7 may = CH:CH; R8 = H, OR9, NR10R11; R9 = H, C1-20 alkyl, mono- or polyhydroxyalkyl, a sugar residue, (CH2)pNR10R11, (un)substituted aryl or aralkyl; p = 1-3; R10, R11 = H, alkyl, monohydroxyalkyl optionally interrupted by a heteroatom, polyhydroxyalkyl, amino acid or amino sugar residue, (un)substituted aryl or PhCH2; or NR10R11 = heterocyclyl] are prepared and formulated as antiproliferative agents (no data), especially for dermatol. use. Friedel-Crafts acylation of 4,4-dimethyl-3,4-dihydrobenzopyran by 4-(MeO2C)C6H4COCl in ClCH2CH2Cl with AlCl3 catalyst, followed by saponification of the obtained ester with KOH in refluxing EtOH, gave (dimethyldihydrobenzopyran)carbonylbenzoic acid II. An unguent was prepared from II 0.005, iso-Pr myristate 81.700, vaseline 9.100, and Aerosil-200, 9.180 g.

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IT 112110-36-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antiproliferative agent)  
 RN 112110-36-8 ZCAPLUS  
 CN 2-Propenamide, 3-[4-[(3,4-dihydro-4,4-dimethyl-2H-1-benzopyran-6-yl)carbonyl]phenyl]-N-ethyl-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L41 ANSWER 37 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1988:601287 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 109:201287  
 ORIGINAL REFERENCE NO.: 109:33137a,33140a  
 TITLE: Direct positive photographic material and process for forming direct positive image  
 INVENTOR(S): Inoue, Noriyuki; Kobayashi, Hidetoshi; Heki, Tatsuo; Deguchi, Naoyasu; Hirano, Shigeo  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 136 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 8801402	A1	19880225	WO 1987-JP609	19870814
W: JP, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 278986	A1	19880824	EP 1987-905294	19870814
EP 278986	B1	19940112		
R: DE, FR, GB, NL				
US 4948712	A	19900814	US 1988-184552	19880607
PRIORITY APPLN. INFO.:			JP 1986-190628	A 19860815
			WO 1987-JP609	W 19870814

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

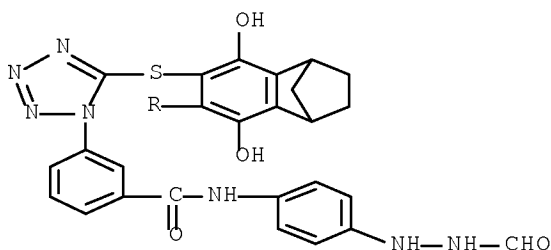
AB The title photog. material has ≥1 non-prefogged internal-latent-image-forming Ag halide emulsion layer and contains ≥1 compound that releases a fogging agent, a development promoter, or their precursor. The photog. process includes a development during and/or after a fogging treatment of an imagewise exposed photog. material.

IT 117234-24-9  
 RL: USES (Uses)  
 (photog. fogging agent- or development promoter-releasing compound, for direct-pos. color images)  
 RN 117234-24-9 ZCAPLUS  
 CN 1,4-Methanonaphthalene-6-carboxamide,

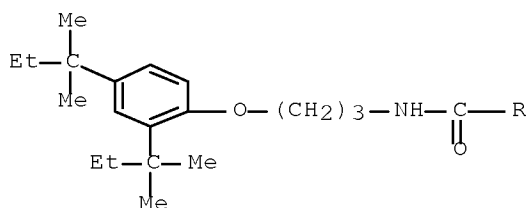
10/581947

N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

PAGE 1-A



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OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 38 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1988:590055 ZCAPLUS Full-text

DOCUMENT NUMBER: 109:190055

ORIGINAL REFERENCE NO.: 109:31443a,31446a

TITLE: Antiproliferative benzoyl-substituted indanes and tetralins and their derivatives, their pharmaceutical and cosmetic formulations, and processes for their preparation

INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle, Serge; Shroot, Braham

PATENT ASSIGNEE(S): Centre International de Recherches Dermatologiques (CIRD), Fr.

SOURCE: Fr. Demande, 55 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2601670	A1	19880122	FR 1986-10423	19860717
FR 2601670	B1	19881007		

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EP 260162	A1	19880316	EP 1987-401644	19870710
EP 260162	B1	19901114		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
AT 58367	T	19901115	AT 1987-401644	19870710
ES 2002463	T3	19940116	ES 1987-401644	19870710
DK 8703707	A	19880118	DK 1987-3707	19870716
DK 171965	B1	19970901		
FI 8703148	A	19880118	FI 1987-3148	19870716
FI 89261	B	19930531		
FI 89261	C	19930910		
NO 8702983	A	19880118	NO 1987-2983	19870716
NO 167141	B	19910701		
NO 167141	C	19911009		
CA 1296352	C	19920225	CA 1987-542301	19870716
CA 1328605	C	19940419	CA 1987-542302	19870716
AU 8775903	A	19880204	AU 1987-75903	19870717
AU 597396	B2	19900531		
JP 63030433	A	19880209	JP 1987-177350	19870717
JP 2731148	B2	19980325		
ZA 8705261	A	19880330	ZA 1987-5261	19870717
US 4833240	A	19890523	US 1987-74969	19870717
NO 9002453	A	19880118	NO 1990-2453	19900601
NO 168031	B	19910930		
NO 168031	C	19920108		

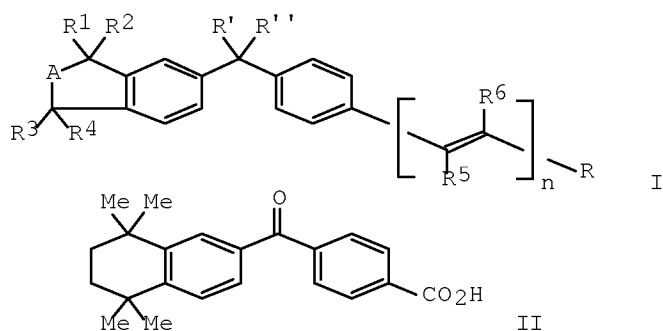
PRIORITY APPLN. INFO.:

FR 1986-10423	A	19860717
EP 1987-401644	A	19870710
NO 1987-2983	A1	19870716

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 109:190055; MARPAT 109:190055

GI



AB Title compds. I [A = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub> (un)substituted by alkyl; n = 0, 1; R = CH<sub>2</sub>OH, COR<sup>7</sup>; R' = H, OH, C1-4 alkoxy or acyloxy; R'' = H, C1-4 alkoxy; R'R'' = O, CH<sub>2</sub>, NOH; R1-R4 = H, alkyl; R1R3 may = CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub> when A = CH<sub>2</sub>CH<sub>2</sub>; R5, R6 = H, Me; R7 = H, OR8, NR9R10; R8 = H, C1-20 alkyl, hydroxyalkyl, (CH<sub>2</sub>)<sub>p</sub>NR9R10, (un)substituted aryl or aralkyl; R9, R10 = H, alkyl, hydroxyalkyl (un)interrupted by a heteroatom, amino acid or amino sugar moiety, (un)substituted aryl or PhCH<sub>2</sub>; NR9R10 = heterocyclyl] are prepared for use as antiproliferative agents in the treatment of dermatol., respiratory, and ocular conditions (no data). Friedel-Crafts acylation of 5,5,8,8-

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tetramethyl-5,6,7,8-tetrahydronaphthalene by 4-(MeO<sub>2</sub>C)C<sub>6</sub>H<sub>4</sub>COCl (ClCH<sub>2</sub>CH<sub>2</sub>Cl, AlCl<sub>3</sub>, 5°), followed by saponification of the ester (EtOH, 6 N KOH, 50°), gave [(tetramethyltetrahydronaphthyl)carbonyl]benzoic acid II. Tablets were prepared, each containing II 0.010, starch 0.115, di-Ca phosphate 0.020, silica 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT 117168-44-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(formulation containing)

RN 117168-44-2 ZCAPLUS

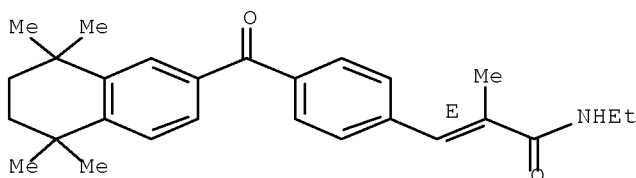
CN 2-Propenamide, N-ethyl-2-methyl-3-[4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]phenyl]-, (E)-, mixt. with 6-hydroxy-1,3-benzoxathiol-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 117168-43-1

CMF C27 H33 N O2

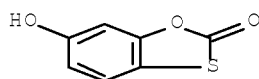
Double bond geometry as shown.



CM 2

CRN 4991-65-5

CMF C7 H4 O3 S



IT 117168-43-1P 117260-01-2P

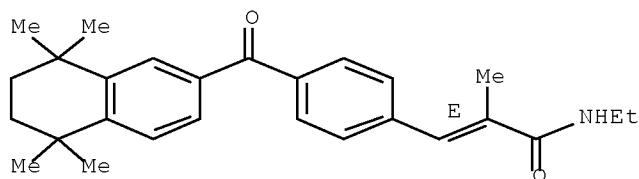
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as drug and cosmetic agent)

RN 117168-43-1 ZCAPLUS

CN 2-Propenamide, N-ethyl-2-methyl-3-[4-[(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)carbonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

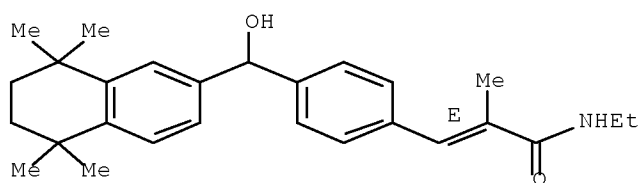
10/581947



RN 117260-01-2 ZCAPLUS

CN 2-Propenamide, N-ethyl-3-[4-[hydroxy(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthalenyl)methyl]phenyl]-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 39 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1988:37648 ZCAPLUS Full-text

DOCUMENT NUMBER: 108:37648

ORIGINAL REFERENCE NO.: 108:6295a,6298a

TITLE: Benzopyranyl- and benzothiopyranyl compounds of benzoic acid, procedure for their preparation, formulations containing them, and their use in cosmetics and in human and veterinarian medicine

INVENTOR(S): Maignan, Jean; Lang, Gerard; Malle, Gerard; Restle, Serge; Shroot, Braham

PATENT ASSIGNEE(S): Oreal S. A. , Fr.

SOURCE: Ger. Offen., 24 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

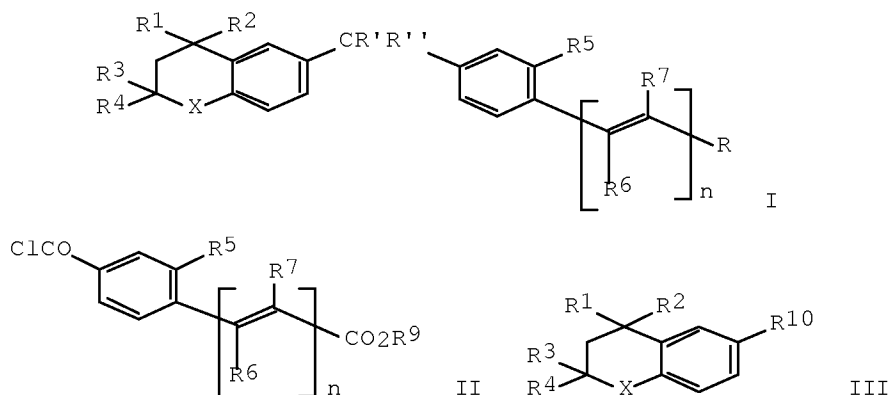
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 3708060	A1	19870924	DE 1987-3708060	19870312
DE 3708060	C2	19980409		
FR 2600064	A1	19871218	FR 1987-3257	19870310
FR 2600064	B1	19890331		
JP 62234078	A	19871014	JP 1987-57887	19870311
JP 2548176	B2	19961030		
GB 2189482	A	19871028	GB 1987-5765	19870311

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GB 2189482	B	19900328		
CH 672638	A5	19891215	CH 1987-910	19870311
US 4829080	A	19890509	US 1987-25200	19870312
CA 1298304	C	19920331	CA 1987-531909	19870312
CA 1315685	C	19930406	CA 1987-531912	19870312
PRIORITY APPLN. INFO.:			LU 1986-86351	A 19860312

OTHER SOURCE(S): CASREACT 108:37648; MARPAT 108:37648

GI



AB Benzo(thio)pyrans I [ $n = 0, 1$ ;  $X = O, S, S(O), S(O_2)$ ;  $R' = H, OH, Cl-4$  alkoxy or acyloxy,  $NH_2$ ;  $R'' = H, Cl-4$  alkoxy;  $R' R'' = O, CH_2 NOH$ ;  $R = CH_2OH, COR_8$ ;  $R_8 = H, OR_9$ , (un)substituted amino;  $R_9 = H, Cl-20$  alkyl, (poly)hydroxyalkyl, (un)substituted aryl or aralkyl, sugar moiety, (un)substituted aminoalkyl;  $R_1-R_4 = H$ , alkyl;  $R_5, R_6, R_7 = H, Me$ ; when  $n = 1$ ,  $R_5 R_7 = CH:CH$ ] and their salts and geometrical and optical isomers, useful in human and veterinary medicine and in cosmetics (no data), were prepared: a) by reaction, under Friedel-Crafts conditions, of acid chlorides II ( $R_9 = Cl-20$  alkyl) with III ( $R_{10} = H$ ) with optional further conversion to the oxo acid, and/or amide by reaction with an amine; b) reaction of oxo aldehydes I ( $n = 0, R = CHO, R' R'' = O$ ) with  $(EtO)_2P(O)CH(R_7)CO_2R_9$  ( $R_9 =$  alkyl) in the presence of NaH in THF and preparation of the product unsatd. oxo ester for conversion to other I; c) reaction of Grignard reagent III ( $R_{10} = MgBr$ ) with 4-OCHC6H4CH:CR7CO2R9 and preparation of the product unsatd. hydroxy ester for conversion to other I. Benzopyran I ( $n = 0, R' R'' = O, R_1, R_2 = Me, R_3 = R_4 = R_5 = H, R = CO_2H$ ) (IV) was prepared in 4 steps from 4-OCHC6H4CO2Me via reactions of 4,4-dimethyl-3,4-dihydrobenzopyran (V) with 4-ClCOC6H4CO2Me. Tablets (0.2%) comprised IV 0.005, starch 0.114, CaHPO4 0.020, SiO2 0.020, lactose 0.030, talc 0.010, and Mg stearate 0.005 g.

IT 112110-36-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

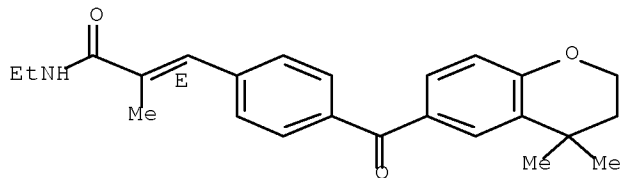
(preparation of, as pharmaceutical, veterinary medicine, and/or cosmetic)

RN 112110-36-8 ZCAPLUS

CN 2-Propenamide, 3-[4-[(3,4-dihydro-4,4-dimethyl-2H-1-benzopyran-6-yl)carbonyl]phenyl]-N-ethyl-2-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.





OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L41 ANSWER 40 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1987:487044 ZCAPLUS Full-text  
 DOCUMENT NUMBER: 107:87044  
 ORIGINAL REFERENCE NO.: 107:14103a,14106a  
 TITLE: Monodisperse silver halide photographic emulsions  
 INVENTOR(S): Obayashi, Keiji; Oshima, Naoto; Kobayashi, Hidetoshi; Takada, Shunji  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62008147	A	19870116	JP 1985-147779	19850705
JP 07043521	B	19950515		
PRIORITY APPLN. INFO.:			JP 1985-147779	19850705

AB A photog. material is provided with  $\geq 1$  Ag halide emulsion layer wherein  $\geq 1$  emulsion comprises monodisperse Ag halide grains having a particle size distribution characterized by the coefficient of variation  $< 0.25$  and wherein the emulsion contains a fogging agent, a development promotor, or a precursor which releases the compound in the amount commensurate with the aromatic primary amine developer for the emulsion. A high-sensitivity superior-granularity photog. material is obtained.

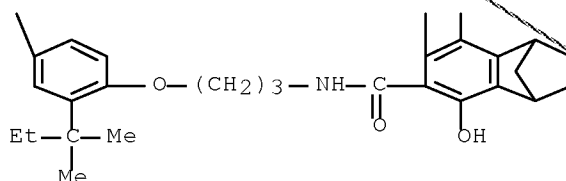
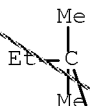
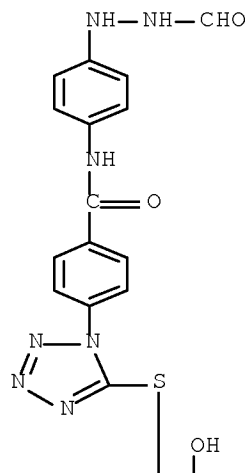
IT 108304-17-2

RL: USES (Uses)

(fogging agent, in monodisperse photog. emulsion)

RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
 N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)



L41 ANSWER 41 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:224352 ZCAPLUS Full-text

DOCUMENT NUMBER: 106:224352

ORIGINAL REFERENCE NO.: 106:36221a,36224a

TITLE: Silver halide photographic material containing development inhibitor releasing hydroquinone

INVENTOR(S): Hirano, Shigeo; Nakamura, Takemare; Yagihara, Morio; Ito, Isamu; Ikeda, Tadashi; Kuwabara, Kenichi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 89 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61230135	A	19861014	JP 1985-71768	19850404
JP 2529822	B2	19960904		
EP 167168	A2	19860108	EP 1985-108303	19850704
EP 167168	A3	19870415		

10/581947

EP 167168 B1 19891115  
EP 167168 B2 19970702

R: DE, GB

US 4740453 A 19880426  
US 5142029 A 19920825

PRIORITY APPLN. INFO.:

US 1985-813308 19851224  
US 1991-741229 19910805  
JP 1984-138808 A 19840704  
JP 1984-278853 A 19841227  
JP 1985-71768 A 19850404  
US 1985-751905 B1 19850705  
US 1987-42611 B1 19870421  
US 1989-370138 B1 19890623

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

GI For diagram(s), see printed CA Issue.

AB A photog. material, having  $\geq 1$  Ag halide emulsion layer on a support, is characterized by containing a compound I ( $R_1, R_2 = H$ , substituent;  $n, m = 0, 1$ ;  $CA, CB = C$ ;  $X =$  a group forming a (un)substituted benzene-ring in combination with  $CA$  and  $CB$  to provide a redox nucleus;  $R_3 =$  an electron-withdrawing group having a Hammett's  $\sigma_{para} > 0.3$ ;  $Z$  a timing group,  $S, N, Se$ , or simply a bond when  $m = 0$ ;  $R_4 =$  a photog. useful group, linked to  $CB$  through  $S, N, Se$  when  $m = 0$ ) in the emulsion or other layers which releases image wise a photog. useful group during the development step.

IT 108304-17-2 108304-18-3

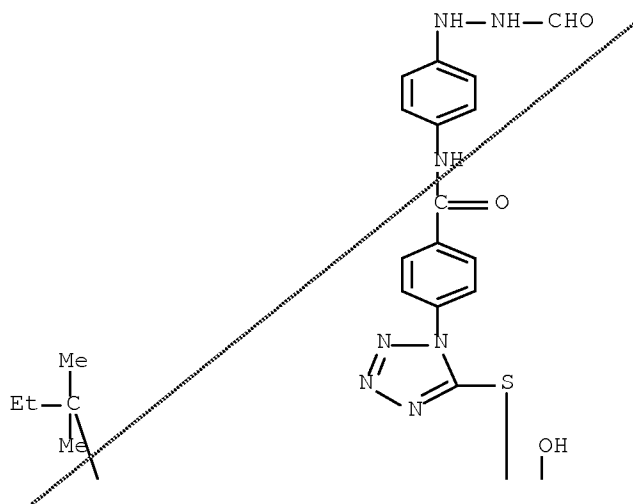
RL: USES (Uses)

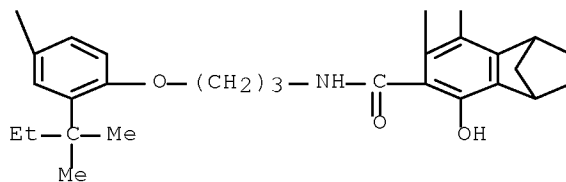
(development inhibitor releaser from)

RN 108304-17-2 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carboxamide,  
N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-7-[[1-[4-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl]thio]-1,2,3,4-tetrahydro-5,8-dihydroxy- (CA INDEX NAME)

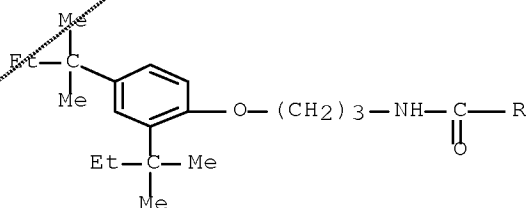
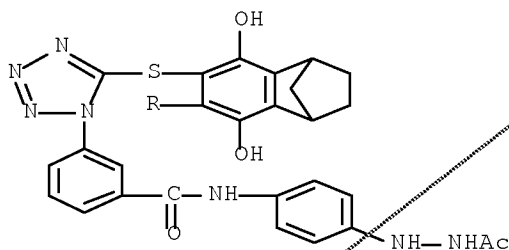
PAGE 1-A





RN 108304-18-3 ZCAPLUS

CN Acetic acid, 2-[4-[3-[5-[7-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]amino]carbonyl]-1,2,3,4-tetrahydro-5,8-dihydroxy-1,4-methanonaphthalen-6-yl]thio]-1H-tetrazol-1-yl]benzoyl]amino]phenyl]hydrazide (CA INDEX NAME)



L41 ANSWER 42 OF 42 ZCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:186312 ZCAPLUS [Full-text](#)

DOCUMENT NUMBER: 106:186312

ORIGINAL REFERENCE NO.: 106:30057a,30060a

TITLE: Silver halide photographic material

INVENTOR(S): Ito, Isamu; Ichijima, Yasushi; Hirano, Shigeo

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/581947

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61213847	A	19860922	JP 1985-54881	19850319
JP 06090486	B	19941114		

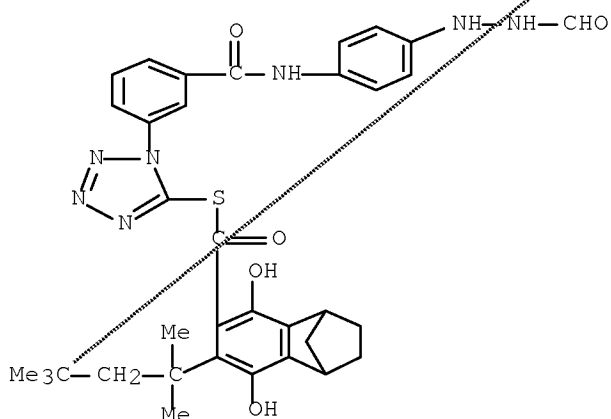
PRIORITY APPLN. INFO.: JP 1985-54881 19850319

AB In a Ag halide photog. material containing a redox compound containing  $\geq 1$  carbonyl group, upon oxidation of the redox compound the CO group(s) is attacked by a nucleophilic agent to release a photog. useful reagent. Rapid release of the redox compound is effected and good shelflife is achieved.

IT 108110-83-4P  
RL: PREP (Preparation)  
(preparation of, as photog. reagent-releasing compound)

RN 108110-83-4 ZCAPLUS

CN 1,4-Methanonaphthalene-6-carbothioic acid,  
1,2,3,4-tetrahydro-5,8-dihydroxy-7-(1,1,3,3-tetramethylbutyl)-,  
S-[1-[3-[[[4-(2-formylhydrazinyl)phenyl]amino]carbonyl]phenyl]-1H-tetrazol-5-yl] ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

10/581947

=> d his full

(FILE 'HOME' ENTERED AT 12:52:35 ON 30 APR 2010)

FILE 'REGISTRY' ENTERED AT 12:52:52 ON 30 APR 2010

L1 STRUCTURE UPLOADED

L2 50 SEA SSS SAM L1

FILE 'ZCAPLUS' ENTERED AT 12:57:40 ON 30 APR 2010

E US2006-581947/APPS

L3 1 SEA SPE=ON ABB=ON PLU=ON US2006-581947/AP

D SCA

SEL RN

FILE 'REGISTRY' ENTERED AT 12:58:04 ON 30 APR 2010

L4 52 SEA SPE=ON ABB=ON PLU=ON (102121-54-0/BI OR 102121-55-1/BI  
OR 102121-59-5/BI OR 102121-60-8/BI OR 103031-30-7/BI OR  
10521-06-9/BI OR 119435-90-4/BI OR 119436-52-1/BI OR 119436-53-  
2/BI OR 119454-82-9/BI OR 121866-06-6/BI OR 149647-78-9/BI OR  
149648-52-2/BI OR 1571-08-0/BI OR 1679-64-7/BI OR 168301-01-7/B  
I OR 168301-02-8/BI OR 18469-52-8/BI OR 4518-10-9/BI OR  
505-48-6/BI OR 540-37-4/BI OR 56-91-7/BI OR 5781-53-3/BI OR  
619-45-4/BI OR 62-53-3/BI OR 667-27-6/BI OR 6683-46-1/BI OR  
6683-48-3/BI OR 853728-52-6/BI OR 853728-53-7/BI OR 853728-54-8  
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853728-65-1/BI OR 853728-66-2/BI OR 853728-67-3/BI OR 853728-68  
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853728-72-0/BI OR 92050-16-3/BI OR 94497-53-7/BI OR 95-54-5/BI)

D SCA

L5 STRUCTURE UPLOADED

L6 0 SEA SSS SAM L5

D STAT QUE L2

L\*\*\* DEL SCREEN 989

L7 STRUCTURE UPLOADED

L8 SCREEN 989

L9 1 SEA SSS SAM L7 AND L8

D SCA

L10 SCREEN 990 OR 1210 OR 1338

L11 1 SEA SSS SAM L7 AND L10

D SCA

L12 STRUCTURE UPLOADED

L13 1 SEA SSS SAM (L7 AND L12) AND L10

D SCA

L14 STRUCTURE UPLOADED

L15 0 SEA SSS SAM (L14 AND L12) AND L10

L16 1 SEA SSS SAM L14 AND L10

D SCA

L17 389 SEA SSS FUL L14 AND L10

SAVE TEMP L17 BRO947L14/A

L18 STRUCTURE UPLOADED

L19 6 SEA SUB=L17 SSS SAM L18

D SCA

L20 103 SEA SUB=L17 SSS FUL L18

FILE 'ZCAPLUS' ENTERED AT 13:36:41 ON 30 APR 2010

10/581947

L21 26 SEA SPE=ON ABB=ON PLU=ON L20  
L22 71 SEA SPE=ON ABB=ON PLU=ON L17  
L23 ANALYZE PLU=ON L21 1- RN HIT : 89 TERMS  
D  
SEL 1-15

FILE 'REGISTRY' ENTERED AT 13:37:27 ON 30 APR 2010

L24 15 SEA SPE=ON ABB=ON PLU=ON (188844-78-2/RN OR 112110-36-8/RN  
OR 628739-86-6/RN OR 628739-89-9/RN OR 628739-92-4/RN OR  
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-0/RN OR 628740-12-5/RN OR 628740-19-2/RN OR 628740-22-7/RN OR  
628740-25-0/RN OR 628740-28-3/RN OR 853728-57-1/RN)  
D SCA  
D SCA L20  
L25 STRUCTURE UPLOADED  
L26 22 SEA SUB=L17 SSS SAM L25  
L27 338 SEA SUB=L17 SSS FUL L25  
L28 STRUCTURE UPLOADED  
L29 7 SEA SUB=L17 SSS SAM L28  
L30 115 SEA SUB=L17 SSS FUL L28  
L31 21 SEA SPE=ON ABB=ON PLU=ON L20 NOT L30

FILE 'ZCAPLUS' ENTERED AT 13:49:32 ON 30 APR 2010

L32 33 SEA SPE=ON ABB=ON PLU=ON L30  
L33 42 SEA SPE=ON ABB=ON PLU=ON L21 OR L32  
L34 72 SEA SPE=ON ABB=ON PLU=ON LEBLOND B?/AU,AUTH  
L35 0 SEA SPE=ON ABB=ON PLU=ON LE BLOND B?/AU,AUTH  
L36 27 SEA SPE=ON ABB=ON PLU=ON BEAUSOLEIL E?/AU,AUTH  
L37 10 SEA SPE=ON ABB=ON PLU=ON (L34 OR L35) AND L36  
L38 1 SEA SPE=ON ABB=ON PLU=ON (L34 OR L35 OR L36) AND L33

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 13:52:33 ON 30 APR 2010

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX, JAPIO, COMPENDEX' ENTERED AT  
13:52:50 ON 30 APR 2010

L39 17 SEA SPE=ON ABB=ON PLU=ON L37

FILE 'REGISTRY' ENTERED AT 13:53:14 ON 30 APR 2010

FILE 'ZCAPLUS' ENTERED AT 13:53:16 ON 30 APR 2010  
D STAT QUE L37

FILE 'MEDLINE, EMBASE, BIOSIS, WPIX, JAPIO, COMPENDEX' ENTERED AT  
13:53:24 ON 30 APR 2010  
D STAT QUE L39

FILE 'ZCAPLUS, MEDLINE, EMBASE, BIOSIS, WPIX' ENTERED AT 13:53:31 ON 30  
APR 2010

L40 16 DUP REM L37 L39 (11 DUPLICATES REMOVED)  
ANSWERS '1-10' FROM FILE ZCAPLUS  
ANSWER '11' FROM FILE BIOSIS  
ANSWERS '12-16' FROM FILE WPIX  
D IBIB ABS L40 1-10  
D IALL L40 11-16

FILE 'REGISTRY' ENTERED AT 13:54:16 ON 30 APR 2010

FILE 'ZCAPLUS' ENTERED AT 13:54:20 ON 30 APR 2010  
D STAT QUE L21  
D STAT QUE L32

10/581947

L41            42 SEA SPE=ON   ABB=ON   PLU=ON   L21 OR L32  
              D IBIB ABS HITSTR L41 1-42

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES:    29 APR 2010    HIGHEST RN 1220951-91-6

DICTIONARY FILE UPDATES:   29 APR 2010    HIGHEST RN 1220951-91-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

FILE ZCAPLUS

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FILE COVERS 1907 - 30 Apr 2010   VOL 152 ISS 19

FILE LAST UPDATED: 29 Apr 2010   (20100429/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED:   Feb 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:   Feb 2010

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the first quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MEDLINE

FILE LAST UPDATED: 29 Apr 2010 (20100429/UP).   FILE COVERS 1947 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National Library of Medicine (NLM). Additional information is available at



[http://www.nlm.nih.gov/pubs/techbull/nd09/nd09\\_medline\\_data\\_changes\\_2010](http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010).

The Medline file has been reloaded effective January 24, 2010. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

#### FILE EMBASE

FILE COVERAGE: EMBASE-originated material 1974 to 30 Apr 2010 (20100430/E)  
Unique MEDLINE content 1948 to present

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

For further assistance, please contact your local helpdesk.

#### FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT  
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 28 April 2010 (20100428/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

#### FILE WPIX

FILE LAST UPDATED: 28 APR 2010 <20100428/UP>

MOST RECENT UPDATE: 201027 <201027/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms  
and FI-Terms have been updated with reclassifications to  
end of December 2009.

No update date (UP) has been created for the reclassified  
documents, but they can be identified by  
specific update codes (see HELP CLA for details) <<<

>>> FOR THE LATEST DERWENT WORLD PATENTS INDEX (DWPI)

STN USER DOCUMENTATION, PLEASE VISIT:

[http://www.stn-international.com/stn\\_dwpi.html](http://www.stn-international.com/stn_dwpi.html) <<<

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> For changes in DWPI see HELP CHANGE - last updated April 6, 2010 <<<

>>> New display format ALLSTR available - see NEWS <<<

>>> US National Patent Classification thesaurus added - see NEWS <<<

#### FILE JAPIO

10/581947

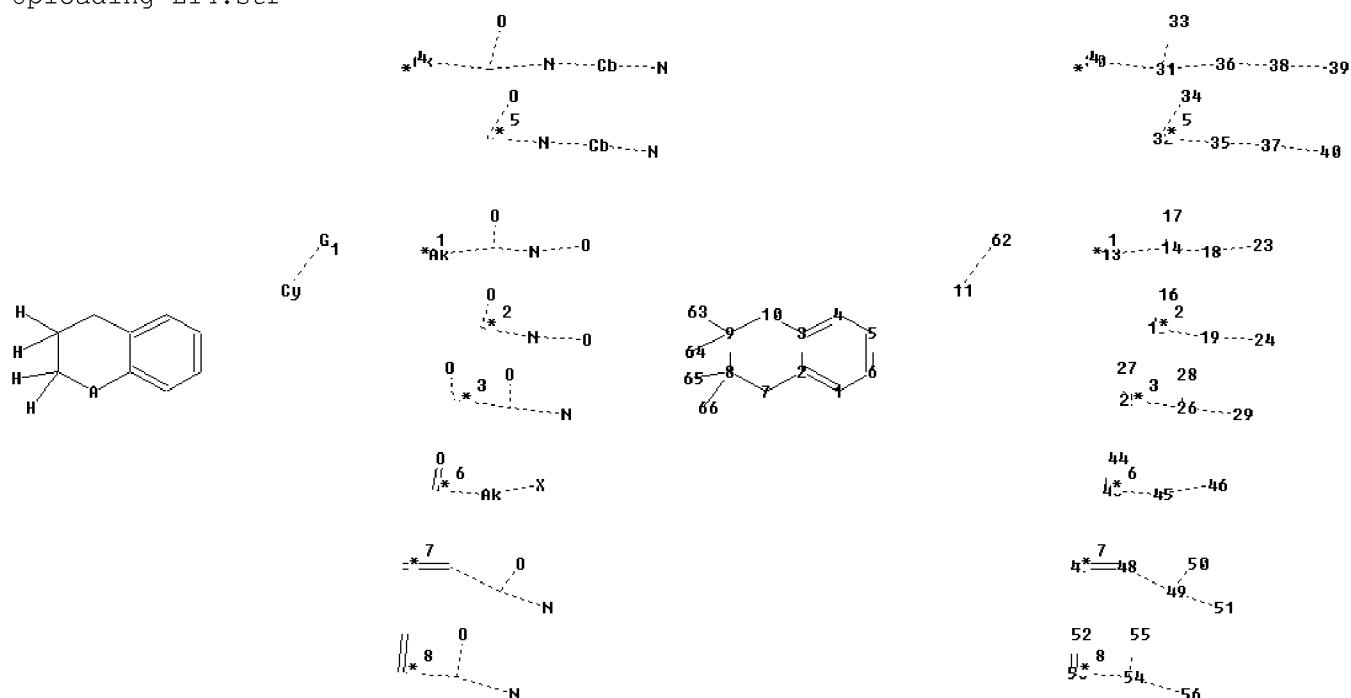
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MOST RECENT PUBLICATION DATE: 28 JAN 2010 <20100128/PD>  
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>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION (SLART) IS AVAILABLE  
IN THE BASIC INDEX (/BI) FIELD <<<

FILE COMPENDEX  
FILE LAST UPDATED: 27 APR 2010 <20100427/UP>  
FILE COVERS 1970 TO DATE.

<<< SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN  
THE BASIC INDEX (/BI), ABSTRACT (/AB), and TITLE (/TI) FIELDS >>>

Uploading L14.str



chain nodes :

11 13 14 15 16 17 18 19 23 24 25 26 27 28 29 30 31 32 33 34 35  
36 37 38 39 40 43 44 45 46 47 48 49 50 51 52 53 54 55 56 62 63  
64 65 66

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

8-65 8-66 9-63 9-64 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-  
26  
25-27 26-28 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39  
43-44 43-45  
45-46 47-48 48-49 49-50 49-51 52-53 53-54 54-55 54-56

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds :

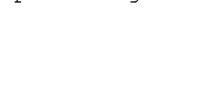
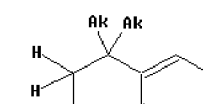
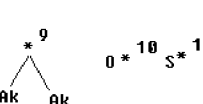
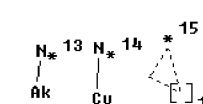
11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-26 25-27 26-28 26-29  
30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39 43-44 43-45 45-46  
48-49 49-50  
49-51 53-54 54-55 54-56

```
exact bonds :
2-7  3-10  7-8  8-9  8-65  8-66  9-10  9-63  9-64  47-48  52-53
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
```

```

Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS
35:CLASS 36:CLASS 37:Atom 38:Atom 39:CLASS 40:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS
47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS
55:CLASS 56:CLASS
62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS
Generic attributes :
11:
Saturation      : Unsaturated

```

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1 2 3 4 5 6 7 8 9 68 71 72 73 74 76 78 79 80 91

chain bonds :

7-64 8-62 8-63 9-66 9-67 10-61 12-13 13-16 13-17 14-15 14-18 17-22 18-23

24-25 24-26 25-27 25-28 29-30 30-32 30-35 31-33 31-34 34-36 35-37 36-39  
37-38 42-43

42-44 44-45 46-47 47-48 48-49 48-50 51-52 52-53 53-54 53-55 68-69 68-70  
74-75 76-77

ring bonds :

1-2 1-6 2-3 2-91 3-4 3-9 4-5 5-6 7-65 7-8 7-91 8-9 78-79 78-80 79-80

exact/norm bonds :

2-91 7-64 7-91 8-62 8-63 9-66 9-67 10-61 12-13 13-16 13-17 14-15 14-18  
17-22 18-23 24-25 24-26 25-27 25-28 29-30 30-32 30-35 31-33 31-34 34-36  
35-37 36-39

37-38 42-43 42-44 44-45 46-47 47-48 48-49 48-50 51-52 52-53 53-54 53-55  
68-69 68-70

74-75 76-77 78-79 78-80 79-80

exact bonds :

3-9 7-65 7-8 8-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8]

G2:[\*9],[\*10],[\*11],[\*12],[\*13],[\*14],[\*15]

Connectivity :

5:3 M minimum RC ring/chain 10:2 M minimum RC ring/chain 73:2 E exact RC  
ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 22:CLASS

23:CLASS 24:CLASS

25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS

33:CLASS 34:CLASS

35:CLASS 36:Atom 37:Atom 38:CLASS 39:CLASS 42:CLASS 43:CLASS 44:CLASS

45:CLASS 46:CLASS

47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS

55:CLASS 61:CLASS

62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:CLASS 68:Atom 69:CLASS

70:CLASS 71:Atom

72:Atom 73:Atom 74:Atom 75:CLASS 76:Atom 77:Atom 78:Atom 79:Atom 80:Atom

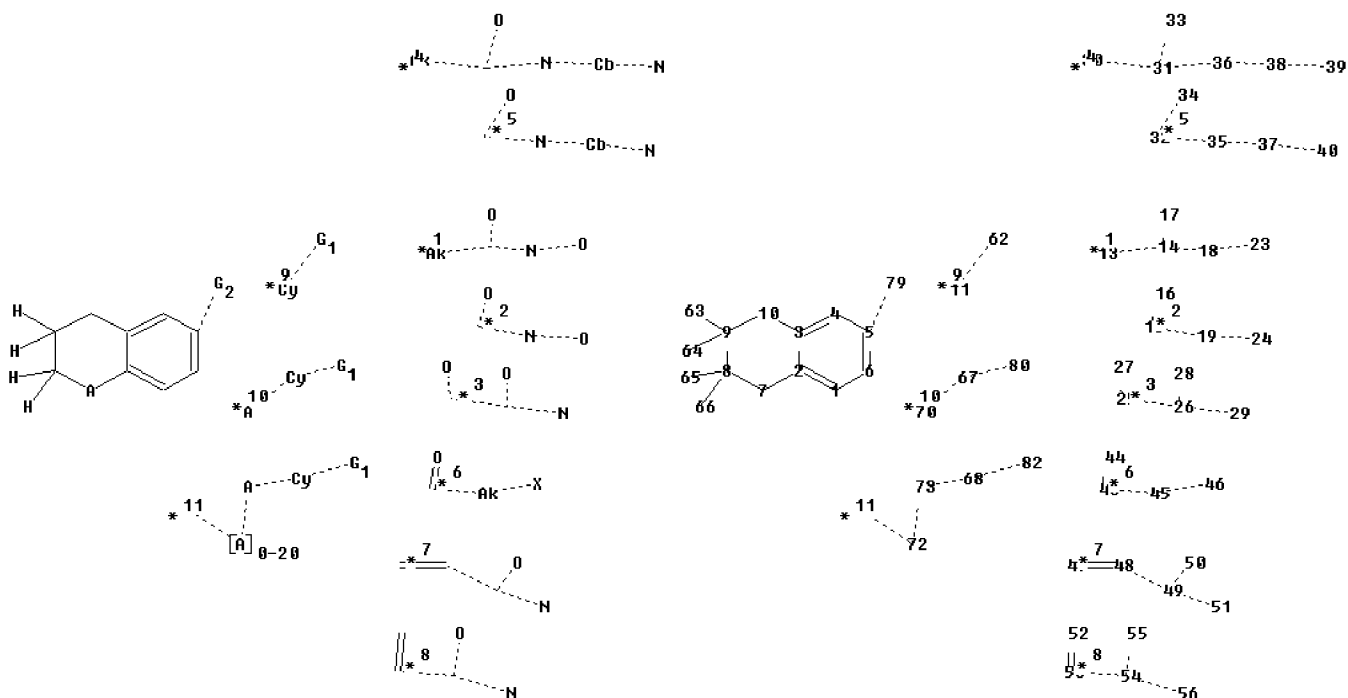
91:Atom

Generic attributes :

10:

Saturation : Unsaturated

Uploading L28.str



chain nodes :

11 13 14 15 16 17 18 19 23 24 25 26 27 28 29 30 31 32 33 34 35  
 36 37 38 39 40 43 44 45 46 47 48 49 50 51 52 53 54 55 56 62 63  
 64 65 66  
 67 68 79 80 82

ring nodes :

1 2 3 4 5 6 7 8 9 10

ring/chain nodes :

70 71 72 73

chain bonds :

5-79 8-65 8-66 9-63 9-64 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24  
 25-26 25-27 26-28 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40  
 38-39 43-44  
 43-45 45-46 47-48 48-49 49-50 49-51 52-53 53-54 54-55 54-56 67-70 67-80  
 68-73 68-82

ring/chain bonds :

71-72 72-73

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds :

5-79 11-62 13-14 14-17 14-18 15-16 15-19 18-23 19-24 25-26 25-27 26-28  
 26-29 30-31 31-33 31-36 32-34 32-35 35-37 36-38 37-40 38-39 43-44 43-45  
 45-46 48-49  
 49-50 49-51 53-54 54-55 54-56 67-70 67-80 68-73 68-82 71-72 72-73

exact bonds :

2-7 3-10 7-8 8-9 8-65 8-66 9-10 9-63 9-64 47-48 52-53

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8]

G2:[\*9],[\*10],[\*11]

10/581947

```
Connectivity :
5:3 M minimum RC ring/chain  11:2 M minimum RC ring/chain
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom 10:Atom
11:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
23:CLASS 24:CLASS
25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS
33:CLASS 34:CLASS
35:CLASS 36:CLASS 37:Atom 38:Atom 39:CLASS 40:CLASS 43:CLASS 44:CLASS
45:CLASS 46:CLASS
47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS 52:CLASS 53:CLASS 54:CLASS
55:CLASS 56:CLASS
62:CLASS 63:CLASS 64:CLASS 65:CLASS 66:CLASS 67:Atom 68:Atom 70:CLASS
71:CLASS 72:CLASS
73:CLASS 79:CLASS 80:CLASS 82:CLASS
Generic attributes :
11:
Saturation           : Unsaturated
67:
Saturation           : Unsaturated
68:
Saturation           : Unsaturated
```

=>